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PYRIMIDINE DERIVATIVES AND USE THEREOF AS AGRICULTURAL AND HORTICULTURAL FUNGICIDES

The present invention relates to the use of benzylpyrimidine derivatives as agricultural and horticultural fungicides, to novel benzylpyrimidine derivatives and to a process for their preparation.

It has been already known that some kinds of pyrimidine derivatives show an action as fungicides (cf. for example, German Patent Specification No. 4029649, PCT International Laid-open Pamphlet WO 02/74753, PCT International Laid-open Pamphlet WO 03/43993, European Patent Specification No. 4034762, European Patent Specification No. 407899, Japanese Laid-open Patent Publication No. 283246/1996).

10 It has been also known that some kinds of pyrimidine derivatives have various physiological activities (cf. for example, PCT International Laid-open Pamphlet WO 92/18498: Enhancement of anti-tumor activities, PCT International Laid-open Pamphlet WO 99/19305: Action to central nervous system, PCT International Laid-open Pamphlet WO 00/61562: Action to nervous system, Swiss Patent Specification No. 479591: Pharmacological action).

Further, in the field of organic chemistry, various pyrimidine derivatives have been synthesized and reported (cf. for example, Journal of Organic Chemistry, Vol.65, p.9261-9264 (2000), Armyanskii Khimicheskii Zhurnal, Vol.22, No.5, p.401-405 (1969), Armyanskii Khimicheskii Zhurnal, Vol.23, No.5, p.462-468 (1970), Armyanskii Khimicheskii Zhurnal, Vol.24, No.1, p.45-50 (1971), Armyanskii Khimicheskii Zhurnal, Vol.24, No.8, p.721-726 (1971),).

It has now been found that a group of benzylpyrimidine derivatives of the following formula (I) have fungicidal activities;

wherein

R¹ and R² form, together with the nitrogen atom to which they are bonded, a 3 to 10-membered heterocyclic group that may be optionally substituted, and may contain further one to three hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_n, besides the

nitrogen atom to which R1 and R2 are bonded,

n represents 0, 1 or 2,

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R³ represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted with a group selected from the group consisting of halogen, alkyl and haloalkyl, or

R³ represents a group selected from the group consisting of the following groups A-H and J-M

in which

R⁷ represents hydrogen atom, alkyl or haloalkyl, and

15 R⁸ represents alkyl, phenyl, alkoxy or cyano, or

R⁷ and R⁸ form, together with the carbon atom to which they are bonded, cycloalkylidene,

R9 represents alkyl, haloalkenyl or benzyl,

- R¹⁰ represents hydrogen atom or alkyl,
- R¹¹ represents alkyl, alkoxyalkyl, dialkylaminoalkyl, phenyl, benzyl or cyano,
- R¹² represents alkyl or phenyl,
- R¹³ represents alkyl or benzyl,
- 5 R¹⁴ represents hydrogen atom or alkyl,
 - R¹⁵ represents hydrogen atom, haloalkyl or phenyl,
 - R¹⁶ represents hydrogen atom or alkyl,
 - R¹⁷ represents hydrogen atom, alkyl or haloalkyl,
 - R¹⁸ represents alkyl or phenyl,
- 10 R¹⁹ represents hydrogen atom or alkyl,
 - R²⁰ represents alkyl,
 - R21 represents alkyl,
 - R²² represents alkyl, alkenyl, haloalkenyl, alkoxyalkyl, phenoxyalkyl or
 - alkoxycarbonylalkyl,
- 15 R²³ represents alkyl,

- R²⁴ represents hydrogen atom or alkyl,
- R²⁵ represents alkyl or phenyl,
- R²⁴ and R²⁵ form, together with the nitrogen atom to which they are bonded, a 5 to 8-membered saturated-monoheterocyclic group that may be optionally substituted, and may contain further one or two hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)₁₀, besides the nitrogen atom to which R²⁴ and R²⁵ are bonded,
 - R⁴ represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl or group

$$-N$$
 R^{1A}

R⁵ and R⁶ each independently represents hydrogen atom, halogen, alkyl, haloalkyl, or phenyl that may be optionally substituted, and

Q represents anyl that may be optionally substituted or a 5 or 6- membered heterocyclic group that contains one hetero atom selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted.

The benzylpyrimidine derivatives of the following formula (IA) being included in the aforementioned formula (I), according to the present invention are novel compounds that have not been described in the existing publications.

The formula

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$$\mathbb{R}^{6A}$$
 \mathbb{R}^{1A}
 \mathbb{R}^{2A}
 \mathbb{R}^{1A}
 \mathbb{R}^{2A}
 \mathbb{R}^{1A}
 \mathbb{R}^{2A}
 \mathbb{R}^{1A}
 \mathbb{R}^{2A}
 \mathbb{R}^{1A}
 \mathbb{R}^{2A}
 \mathbb{R}^{2A}
 \mathbb{R}^{2A}
 \mathbb{R}^{2A}

wherein

R^{1A} and R^{2A} form, together with the nitrogen atom to which they are bonded, a

3 to 10-membered heterocyclic group that may be optionally substituted, and may contain further one to three hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and $S(O)_{mb}$ besides the nitrogen atom to which R^{1A} and R^{2A} are bonded,

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m represents 0, 1 or 2,

R^{2A} represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenylalkyl that may be optionally substituted, or

5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted with a group selected from the group consisting of halogen, alkyl and haloalkyl, or

R^{3A} represents a group selected from the group consisting of the following groups A-H and J-M

in which

R^{7A} represents hydrogen atom, alkyl or haloalkyl, and

R8A represents alkyl, phenyl, alkoxy or cyano, or

R7A and R8A form, together with the carbon atom to which they are bonded, cycloalkylidene,

10 R^{9A} represents alkyl, haloalkenyl or benzyl,

R^{10A} represents hydrogen atom or alkyl,

R^{11A} represents alkyl, alkoxyalkyl, dialkylaminoalkyl, phenyl, benzyl or cyano,

R^{12A} represents alkyl or phenyl,

 R^{13A} represents alkyl or benzyl,

R^{14A} represents hydrogen atom or alkyl,

R^{15A} represents hydrogen atom, haloalkyl or phenyl,

R^{16A} represents hydrogen atom or alkyl,

R^{17A} represents hydrogen atom, alkyl or haloalkyl,

5 R^{18A} represents alkyl or phenyl,

R^{19A} represents hydrogen atom or alkyl,

R^{20A} represents alkyl,

R^{21A} represents alkyl,

R^{22A} represents alkyl, alkenyl, haloalkenyl, alkoxyalkyl, phenoxyalkyl or

10 alkoxycarbonylalkyl,

R^{23A} represents alkyl,

R^{24A} represents hydrogen atom or alkyl,

R^{25A} represents alkyl or phenyl,

R^{24A} and R^{25A} form, together with the nitrogen atom to which they are bonded, a 5 to 8-membered saturated-monoheterocyclic group that may be optionally substituted, and may contain further one or two hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_n, besides the nitrogen atom to which R^{24A} and R^{25A} are bonded,

R^{4A} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl or group

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R^{5A} and R^{6A} each independently represents hydrogen atom, halogen, alkyl, haloalkyl, or phenyl that may be optionally substituted, and

Q^A represents aryl that may be optionally substituted or a 5 or 6-membered heterocyclic group that contains one hetero atom selected from the group consisting of nitrogen atom, oxygen atom and

sulfur atom and may be optionally substituted,

provided that, the following cases (T-1)-(T-6) are excluded:

(T-1) the case in which group

represents 1-indolyl, 1-pyrrolyl, 1-imidazolyl, 3-oxopiperidino or 4-oxopiperidino, R^{3A} represents hydrogen atom, R^{4A} represents hydrogen atom, and Q^A represents 1-naphthyl or phenyl group that may be optionally substituted by one or two groups selected from the group consisting of chloro, bromo, methyl, ethyl and trifluoromethyl,

(T-2) the case in which group

$$--N$$
 R^{1A} R^{2A}

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represents 3-oxopiperidino, 4-oxopiperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino, 4-ethylpiperazino, 4-(2-hydroxyethyl)piperazino or morpholino, R^{3A} represents amino, R^{4A} represents hydrogen atom, and Q^A represents 3-pyridyl or phenyl group that may be optionally substituted by one to three groups selected from the group consisting of fluoro, chloro, bromo, methyl, ethyl, isopropyl, trifluoromethyl, hydroxy, methoxy and 4-chlorobenzyloxy,

(T-3) the case in which group

$$-N$$
 R^{1A} R^{2A}

represents piperidino, 4-hydroxypiperidino, 4-methylpiperazino, morpholino, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl or 6,7-dimethoxy- 1-(3,4-dimethoxybenzyl)-1,2,3,4-tetrahydroisoquinolin-2-yl, R^{3A} represents chloro, dimethylamino, anilino, 2-(2-hydroxyethoxy)ethylamino, piperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino or morpholino,

R^{4A} represents hydrogen atom, and Q^A represents phenyl group that may be optionally substituted by one or two groups selected from the group consisting of methyl and methoxy,

(T-4) the case in which group

represents 1-pyrrolidinyl, piperidino, morpholino or 1-pyrrolyl, R3A represents

methyl or methoxymethyl, R^{4A} represents chloro, and Q^A represents phenyl or 1-naphthyl, 5

(T-5) the case in which group

represents 1-azilidinyl, piperidino or morpholino, R3A represents methylthio, R4A represents chloro, and QA represents phenyl group substituted by methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, 10 iso-butoxy or allyloxy,

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(T-6) the case in which group endarman, en er har i hajarita jurgena g

$$--N$$
 R^{1A} R^{2A}

Andrew Markette 15 represents 1-azilidinyl, R3A represents hydrogen atom or amino, R4A represents chloro, and QA represents phenyl group substituted by methoxy, ethoxy or allyloxy.

The compound of the formula (IA) can be obtained by a process in which

a) In case that R3A represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl or alkenyl:

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compounds of the formula (II)

5 wherein

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Xa represents halogen, preferably chloro or bromo,

R^{3Aa} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and

R^{4Aa} represents hydrogen atom, halogen, alkyl, haloalkyl or alkenyl,

R^{5A}, R^{6A} and Q^A have the same definition as aforementioned, are reacted with compounds of the formula (III)

wherein

20 R^{1A} and R^{2A} have the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder,

or

b) in case that R^{3A} represents alkylsulfinyl or alkylsulfonyl and R^{4A} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkoxy, haloalkoxy or group

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or

R^{3A} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and R^{4A} represents alkylsulfinyl or alkylsulfonyl:

compounds of the formula (IAb)

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$$R^{1A}$$
 R^{2A}
 R^{5A}
 R^{6A}
 R^{4Ab}
 R^{3Ab}
 R^{3Ab}
 R^{3Ab}

wherein

15 R^{3Ab} represents alkylthio, and R^{4Ab} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy or group

or

20 R^{3Ab} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and 25¹¹² haloalkyl, and R^{4Ab} represents alkylthio,

R^{1A}, R^{2A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are reacted with an oxdizing agent in the presence of innert solvents,

or,

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c) in case that R^{3A} represents cyano, hydroxy, azido, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or represents the aforementioned group A, group B, group C, group F, group G or group H, and

R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, cyano or group

compounds of the formula (IAc)

$$R^{1A}$$
 R^{2A}
 R^{5A}
 R^{6A}
 R^{6A}
 R^{4Ac}
 R^{4Ac}
 R^{4Ac}
 R^{4Ac}
 R^{4Ac}
 R^{4Ac}
 R^{4Ac}
 R^{4Ac}

wherein

Xc represents halogen, preferably chloro, bromo or iodo, or methylsulfonyl,

20 R^{4Ac} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, cyano or group

$$-N$$
 R^{1A}

R^{1A}, R^{2A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

25 are reacted with compounds of the formula (IV)

$$Y-R^{3Ac}$$
 (IV)

wherein

Y represents hydrogen, sodium, potassium, copper, trimethylsilyl or tetraalkylammonium,

R^{3Ac} represents cyano, hydroxy, azido, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, or 5 to10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or represents the aforementioned group A, group B, group C, group F, group G or group H,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder, and if appropriate, in the presence of a catalyst,

or

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d) In case that R^{3A} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and

R^{4A} represents cyano, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio or group

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$$= N_{\rm p}^{1A} + N_$$

compounds of the formula (IAd)

(IAd)

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wherein

Xd represents halogen, preferably chloro, bromo or iodo, or methylsulfonyl,

R^{3Ad} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl,

R^{1A}, R^{2A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are reacted with compounds of the formula (V)

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wherein

Y represents hydrogen, sodium, potassium, copper, trimethylsilyl or tetraalkylammonium,

R^{4Ad} represents cyano, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, or group

in the presence of innert solvents, and if appropriate, in the presence of an acid binder, and if appropriate, in the presence of a catalyst,

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or

e) In case that R^{3A} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and

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25 R4A represents hydrogen

compounds of the formula (IAe)

wherein

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Xe represents halogen, preferably chloro, bromo or iodo,

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R^{3Ae} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl,

R^{1A}, R^{2A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are hydrogenated in the presence of innert solvents, and if appropriate, in the presence of a catelyst, and if appropriate, in the presence of an acid binder,

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or

f) In case that R^{3A} represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenylalkyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or the aforementioned groups A-H or groups J-M,

R^{4A} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

$$-N$$
 R^{1A} R^{2A}

compounds of the formula (IAf)

$$(R^{26A})_q$$
 $(CH_2)_p$
 $(CH_2)_p$
 $(IAf)_q$

wherein

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10 R^{3Af} represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or the aforementioned groups A-H or groups J-M,

R^{4Af} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

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R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

R^{26A} represents alkyl, p - represents 1 or 2, q represents 0, 1 or 2,

are reacted with difluorocarbene derived from sodium chlorodifluoroacetate or with dichlorocarbene derived from chloroform, in the presence of innert solvents, and if appropriate, in the presence of a phasetransfer catalyst,

g) In case that R^{3A} represents amino:

compounds of the formula (IAg)

wherein

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R^{1A}, R^{2A}, R^{4A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are hydrogenated or reacted with metal hydride in the presence of innert solvents, and if appropriate, in the presence of a catalyst,

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h) In case that R^{3A} represents halogen:

First step:

compounds of the formula (IAh) was a party of the state of the formula (IAh) was a party of the state of the

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wherein

R^{1A}, R^{2A}, R^{4A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are reacted with nitrite ester or nitrous acid in the presence of innert solvents, and if appropriate, in

the presence of acid catalyst to form a diazonium salt,

Second step:

The diazonium salts obtained in the above-mentioned first step is reacted according to Sandmeyer process or Gattermann process in the presence of copper halide, potassium halide or copper powder,

5 in the presence innert sollvents, and if appropriate, in the presence of acid catalyst,

or

i) In case that R^{3A} represents the aforementioned group E:

First step:

10 compounds of the aforementioned formula (IAh) are reacted with dimethylformamide dimethylacetal in the presence of innert solvents,

Second step:

compounds of the formula (VI), obtained in the above-mentioned first step,

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$$R^{6A}$$
 R^{5A}
 R^{6A}
 R

wherein

R^{1A}, R^{2A}, R^{4A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

20 are reacted with compounds of the formula (VII)

$$H_2N^O R^{13A}$$
 (VII)

wherein

R^{13A} has the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder, and if appropriate, in the presence of an acid catalyst,

or

- j) In case that R^{3A} represents the aforementioned group D:
- 5 compounds of the formula (IAh) are reacted with compounds of the formula (VIII)

wherein

R^{26A} represents chloro or group

wherein

R^{12A} has the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder,

or

k) In case that R^{3A} represents the aforementioned group K, and

R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

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$$-N$$
 R^{1A} R^{2A}

compounds of the formula (IAk)

$$R^{5A}$$
 R^{5A}
 R^{6A}
 R^{6A}
 R^{4A}
 R^{4A}

wherein

R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

$$-N$$
 R^{1A}

and

5 R^{1A} , R^{2A} , R^{5A} , R^{6A} and Q^{A} have the same definition as aforementioned,

are reacted with compounds of the formula (IX)

$$R^{20A}$$
-Mg-Xk (IX)

wherein

Xk represents halogen, preferably chloro, bromo or iodo,

10 R^{20A} has the same definition as aforementioned,

in the presence of innert solvents,

or

1) In case that R^{3A} represents the aforementioned group L or group M, and

R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

compounds of the formula (IAI)

wherein

R^{27A} represents alkyl,

$$R^{6A}$$
 R^{6A}
 R^{6A}

R^{4Al} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkyrryl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

$$-N$$
 R^{1A} R^{2A}

and

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 R^{1A} , R^{2A} , R^{5A} , R^{6A} and Q^{A} have the same definition as aforementioned,

are reacted with compounds of the formula (X) as a large relation of the formula (X) as a large

$$H_2N-R^{28A}(X)$$

10 wherein

R^{28A} represents group

-O-R^{22A}

or group

wherein

R^{22A}, R^{24A}, and R^{25A} have the same definition as aforementioned,

In the presence of innert solvents, and if appropriate, in the presence of acid

binder, and if appropriate, in the presence of acid catalyst,

5 or

m) In case that R^{3A} represents the aforementioned group J, and

compounds of the formula (IAk) are reacted with compounds of the formula (XI)

$$H_2NO-R^{19A}(XI)$$

wherein

10 R^{19A} has the same definition as aforementioned,

In the presence of innert solvents, and if appropriate, in the presence of acidbinder, and if appropriate, in the presence of acid catalyst.

Active component compounds of the formula (I) of the present invention show a strong plant disease controlling action, in particular against phytopathogenic fungi.

15 In the present specification,

"Halogen" represents fluoro, chloro, bromo or iodo, preferably represents fluoro, chloro or bromo.

"Alkyl" can be straight-chain or branched-chain and there can be mentioned, for example, C₁₋₆alkyl, specifically methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl, n- or neo-pentyl, n-hexyl etc.

"Cycloalkyl": there can be mentioned, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclope

"Cycloalkylidene": there can be mentioned, for example, cyclopentylidene, cyclohexylidene, cyclohexylidene, cyclohexylidene, etc.

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"Alkenyl" can be straight-chain or branched-chain and there can be mentioned, for example, C₂₋₇alkenyl, specifically vinyl, allyl, isopropenyl, 1-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-pentenyl, 2-pentenyl, 1-hexenyl, 2-hexenyl, 1-heptenyl, 2-heptenyl, etc.

"Alkynyl" can be straight-chain or branched-chain and there can be mentioned, for example, C₂₋₇alkynyl, specifically ethynyl, 1-propynyl, 2-propynyl, 1-butynyl 2-butynyl, 3-butynyl, 1-pentynyl, 2-pentynyl, 1-hexynyl, 1-heptynyl, 2-heptynyl, etc.

"Alkoxy" represents an alkyl-O-group, whose alkyl part has the above-mentioned meaning and can be, for example, C_{1-6} alkoxy, and there can be specifically mentioned methoxy, ethoxy, n- or iso-propoxy, n-, iso-, sec- or tert-butoxy, n-pentyloxy, n-hexyloxy, etc.

"Alkenyloxy" represents an alkenyl-O-group, whose alkenyl part has the above-mentioned meaning and there can be mentioned, for example, allyloxy, 2-butenyloxy, 3-butenyloxy, 2-methyl-4-pentenyloxy, etc.

"Alkylthio" represents an alkyl-S-group, whose alkyl part has the above-mentioned meaning and can be, for example, C₁₋₆alkylthio, and there can be specifically mentioned methylthio, ethylthio, nor iso-propylthio, n-, iso-, sec- or tert-butylthio, n-pentylthio, n-hexylthio, etc.

"Alkenylthio" represents an alkenyl-S-group, whose alkenyl part has the above-mentioned meaning and there can be mentioned, for example, allylthio, 2-butenylthio, 3-butenylthio, etc.

"Alkylsulfinyl" represents an alkyl-S(O)-group, whose alkyl part has the above-mentioned meaning and can be, for example, C₁₋₆alkylsulfinyl, and there can be specifically mentioned, for example, methylsulfinyl, ethylsulfinyl, n- or iso-propylsulfinyl, n-, iso-, sec- or tert-buty sulfinyl, n-pentylsulfinyl, n-hexylsulfinyl, etc.

"Alkylsulfonyl" represents an alkyl-SO₂-group, whose alkyl part has the above-mentioned meaning and can be, for example, C₁₋₆alkylsulfonyl, and there can be specifically mentioned, for example, methylsulfonyl, ethylsulfonyl, n- or iso-propylsulfonyl, n-, iso-, sec- or tert-butylsulfonyl, n-pentylsulfonyl, n-hexylsulfonyl, etc.

"Alkylcarbonyl": there can be mentioned, for example, methylcarbonyl (acetyl), ethylcarbonyl (propionyl), etc.

"Alkylcarbonylamino": there can be mentioned, for example, methylcarbonylamino, ethylcarbonylamino, etc.

"Alkoxycarbonyl": there can be mentioned, for example, methoxycarbonyl, ethoxycarbonyl, etc.

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"Haloalkyl" represents a straight-chain or branched-chain alkyl, at least one of whose hydrogen is substituted by halogen and there can be mentioned, for example, C₁₋₆alkyl substituted by one to six fluoro, chloro and /or bromo, and as specific examples there can be mentioned fluoromethyl, chloromethyl, dichloromethyl, bromomethyl, difluoromethyl, trifluoromethyl, chlorodifluoromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-chloro-1,1,2-trifluoroethyl, 3-fluoropropyl, 3-chloropropyl, 2,2,3,3,3-pentafluoropropyl, 1,2,2,3,3,3-hexafluoropropyl, etc.

"Haloalkylene": there can be mentioned, for example, difluoromethylene, dichloromethylene, etc.

Haloalkyl part in "haloalkoxy", "haloalkylthio", "haloalkylcarbonyl" and "haloalkylcarbonyl-amino" can be of the same definition as the aforementioned "haloalkyl" and specifically as "haloalkoxy" there can be mentioned, for example, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, dichloromethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2,2-trifluoroethoxy, 3-chloropropoxy, etc., as "haloalkylthio" there can be mentioned, for example, difluoromethylthio,

trifluoromethylthio, 2,2,2-trifluoroethylthio, 3-fluoropropylthio, etc., as "haloalkylcarbonyl" there can be mentioned, for example, trifluoromethylcarbonyl, trichloromethylcarbonyl, 1,1,2,2-te-tra-fluoroethylcarbonyl, perfluoroethylcarbonyl, perfluoroheptylcarbonyl, etc. and as "haloalkylcarbonylamino" there can be mentioned, for example, trifluoromethylcarbonylamino, etc.

"Haloalkenyl" represents a straight-chain or branched-chain alkenyl, at least one of whose hydrogen is substituted with halogen and there can be mentioned, for example, 2-chloro-2-propenyl, 3-chloro-2-propenyl, 3-chloro-4,4,4-trifluoro-2-butenyl, etc.

Haloalkenyl part in "haloalkenyloxy" and "haloalkenylthio" can be of the same definition as the aforementioned "haloalkenyl" and specifically as "haloalkenyloxy" there can be mentioned, for example, 2-chloro-2-propenyloxy, 3-chloro-2-propenyloxy, 3,3-dichloro-2-propenyloxy, 3-chloro-4,4,4-trifluoro-2-butenyloxy, etc., and as "haloalkenylthio" there can be mentioned, for example, 2-chloro-2-propenylthio, 3-chloro-2-propenylthio, 3,3-dichloro-2-propenylthio, 3-chloro-2-propenylthio, etc.

"Phenylalkyl": there can be mentioned, for example, benzyl, 1-phenylethyl, phenethyl, 1-phenylpropyl, 2-phenylpropyl, 3-phenylpropyl, etc.

"Phenoxyalkyl": there can be mentioned, for example, phenoxymethyl, 1-phenoxyethyl, 30 2-phenoxyethyl, 1-phenoxypropyl, 2-phenoxypropyl, 3-phenoxypropyl, etc.

"Alkoxyalkyl": there can be mentioned, for example, methoxymethyl, 2-methoxyethyl, 1-methoxyethyl, 3-methoxypropyl, ethoxymethyl, 2-ethoxyethyl, etc.

"Dialkylaminoalkyl": there can be mentioned, for example, dimethylaminomethyl, 2-dimethylaminoethyl, 1-dimethylaminoethyl, 3-dimethylaminopropyl, diethylaminomethyl, 2-diethylaminoethyl, etc.

"Alkoxycarbonylalkyl": there can be mentioned, for example, methoxycarbonylmethyl, ethoxycarbonylmethyl, (n- or iso-) propyloxycarbonylmethyl, (n-, iso-, sec.-or tert-)butyloxycarbonylmethyl, 2-methoxycarbonylethyl, 3-methoxycarbonylpropyl, etc.

"Hydroxyalkyl": there can be mentioned, for example, hydroxymethyl, 2-hydroxyethyl, etc.

"Anilinoalkyl": there can be mentioned, for example, anilinomethyl, 2-anilinoethyl, etc.

"Aryl": there can be mentioned, for example, phenyl, 1-naphthyl, 2-naphthyl, etc.

10 The heterocyclic group in "R¹ and R² form, together with the nitrogen atom to which they are bonded, a 3 to 10-membered heterocyclic group that may contain further one to three hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_n besides the nitrogen atom to which R¹ and R² are bonded" and "5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom", defined in the group

$$-N$$
 R^1 R^2

and

the heterocyclic group in "R²⁴ and R²⁵ form, together with the nitrogen atom to which they are bonded, a 5 to 8-membered, saturated, monocyclic, heterocyclic group that may contain further hetero one or two atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_n, besides the nitrogen atom to which R²⁴ and R²⁵ are bonded", defined in the group

includes saturated heterocyclic group, unsaturated heterocyclic group and aromatic heterocyclic group.

Thus, as "saturated heterocyclic group" there can be mentioned monovalent group derived from,

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for example, aziridine, azetidine, pyrrolidine, piperidine, piperazine, amorpholine, thiomorpholine, thiomorpholine-1,1-dioxide, perhydroazepine, perhydroazocine, perhydro-1,2-diazepine, perhydro-1,2,5-oxadiazepine, perhydroindole, perhydroquinoline, perhydroisoquinoline, etc.

"Unsaturated heterocyclic group": there can be mentioned monoval ent group derived from, for example, 3-pyrroline, 2-pyrazoline, thiazolidine, 2,3-dihydroin dole, 1,2,3,3a,4,7,7a-hepta-hydroisoindole, 1,2,3,6-tetrahydropyridine, 1,4,5,6-tetrahydropiridazin e, etc.

"Aromatic heterocyclic group": there can be mentioned monovale nt group derived from, for example, pyrrole, furan, thiophene, pyrazole, imidazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, 1,2,3-triazole, 1,2,4-triazole, tetrazole, 1H-indazole, quinoline, isoquinoline, etc.

In the plant pest controlling active compounds of the aforementioned formula (I), preferably there can be mentioned the compounds in which

R¹ and R² form, together with the nitrogen atom to which they are bonded, a heterocyclic group which is a monovalent group derived from a heterocycle selected from aziridine, azetidine, pyrrolidine, 3-pyrroline, piperidine, perhydroazepine, perhydroazoc ine, perhydro-1,2-diazepine, perhydro-1,2,5-oxadiazepine, 2-pyrazoline, thiazolidine, perhydroirodole, 1,2,3,3a,4,7,7a-hepta-hydroisoindole, 1,2,3,6-tetrahydropyridine, perhydroquinoline, perhydroisoquinoline, 1,4,5,6-tetrahydropyridazine, morpholine, thiomorpholine, thiomorpholine-1,1-dioxide, piperazine, pyrrole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, tetrazole or 1H-ind azole and may be optionally substituted by one to three groups selected from the group consisting of fluoro, bromo, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄alkylthio, benzylthio, hydroxyC₁₋₄alkyl, C₁₋₄alkoxyC₁₋₄alkyl, anilinoC₁₋₄alkyl, C₁₋₄haloalkylene, C₁₋₄alkoxy-carbonyl, benzyloxycarbonyl, C₁₋₄alkyl-carbonyl, C₁₋₄alkoxy-carbonyl, phenyl, benzyl, pyridyl, hydroxy, oxo, cyano, carboxy, carbamoyl, C₁₋₄alkoxy-carbonylC₁₋₄alkyl, C₁₋₄alkyl-carbonylamino,

R³ represents hydrogen, chloro, bromo, cyano, hydroxy, amino, azido, C₁₋₆alkyl, C₁₋₆haloalkyl, C₁₋₆haloalkyl, C₁₋₆alkoxyC₁₋₆alkyl, C₂₋₇alkenyl, C₂₋₇alkynyl, C₁₋₆alkoxy, C₁₋₆haloalkoxy, C₂₋₇alkenyloxy, C₂₋₇haloalkenyloxy, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfinyl, phenoxy, benzyloxy, phenyl that may be optionally substituted by one or two groups selected from the group consisting of chloro, C₁₋₆alkyl, C₁₋₆alkoxy and C₁₋₆haloalkyl, phenylC₁₋₄alkyl that may be optionally chloro-substituted, or

R³ represents a heterocyclic group which is a monovalent group derived from a heterocycle selected from pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, thiophene, thiazole, pyridine, quinoline, isoquinoline, pyrazine, pyridazine, pyrimidine, imidazole, pyrazole, tetrazole,

1,2,4-triazole and 2,3-dihydroindole, and may be optionally substituted by a group selected from the group consisting of chloro, bromo, C₁₋₆alkyl and C₁₋₆haloalkyl, or

R³ represents a group selected from the group consisting of the following groups A-H and J-M

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R⁷ represents hydrogen atom, C₁₋₆alkyl or C₁₋₆haloalkyl,

R⁸ represents C₁₋₆alkyl, phenyl, C₁₋₆alkoxy or cyano,

R7 and R8 form, together with the carbon atom to which they are bonded, C5-8cycloalkylidene,

R9 represents C1-6alkyl, C2-7haloalkenyl or benzyl,

10 R¹⁰ represents hydrogen atom or C₁₋₆alkyl,

 R^{11} represents C_{1-6} alkyl, C_{1-6} alkoxy C_{1-6} alkyl, di(C_{1-6} alkyl)amino C_{1-6} alkyl,

phenyl, benzyl or cyano,

R¹² represents C₁₋₆alkyl or phenyl,

R¹³ represents C₁₋₆alkyl or benzyl,

15 R¹⁴ represents hydrogen atom or C₁₋₆alkyl,

R¹⁵ represents hydrogen atom, C₁₋₆haloalkyl or phenyl,

 R^{16} represents hydrogen atom or C_{1-6} alkyl,

R¹⁷ represents hydrogen atom, C₁₋₆alkyl or C₁₋₆haloalkyl,

R¹⁸ represents C₁₋₆alkyl or phenyl,

5 R¹⁹ represents hydrogen atom or C₁₋₆alkyl,

R²⁰ represents C₁₋₆alkyl,

R²¹ represents C₁₋₆alkyl,

 R^{22} represents C_{1-6} alkyl, C_{2-7} alkenyl, C_{2-7} haloalkenyl, C_{1-6} alkoxy C_{1-6} alkyl, phenoxy C_{1-6} alkyl or C_{1-6} alkoxycarbonyl C_{1-6} alkyl,

10 R²³ represents C₁₋₆alkyl,

R²⁴ represents hydrogen atom or C₁₋₆alkyl,

R²⁵ represents C₁₋₆alkyl or phenyl,

R²⁴ and R²⁵ form, together with the nitrogen atom to which they are bonded, a saturated-monocyclic,heterocyclic group which is a monovalent group derived from a monoheterocycle selected from the group consisting of pyrrolidine, piperidine, morpholine and piperazine and may be optionally substituted by C_{1.4}alkyl,

R4 represents hydrogen atom, fluoro, chloro, cyano, C1-6alkyl,

C1-6haloalkyl, C2-7alkenyl, C2-7alkynyl, C1-6alkoxy, C1-6haloalkoxy, C1-6alkylthio,

C₁₋₆haloalkylthio, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl or pyrazolyl that may be optionally C₁₋₆alkyl-substituted or C₁₋₆haloalkyl-substituted,

R⁵ and R⁶ each independently represents hydrogen atom, fluoro, C₁₋₄alkyl, C₁₋₄haloalkyl or phenyl, and

Q represents naphthyl, phenyl that may be optionally substituted, py ridyl that may be optionally substituted, thienyl that may be optionally substituted, or furyl that may be optionally substituted, wherein substituents to phenyl, pyridyl, thienyl and furyl are one to five groups selected from the group consisting of fluoro, chloro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, cyano, nitro, amino and phenyl.

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In the plant pest controlling active compounds of the aforementioned formula (I), particularly preferably there can be mentioned the compounds in which

R1 and R2 form, together with the nitrogen atom to which they are bonded, a heterocyclic group which is a monovalent group derived from a heterocycle selected from the group consisting of aziridine, azetidine, pyrrolidine, 3-pyrroline, piperidine, perhydroazepine, perhydroazocine, perhydro-1,2-diazepine, perhydro-1,2,5-oxadiazepine, 2-pyrazoline, thiazolidine, perhydroindole, 1,2,3,6-tetrahydropyridine, perhydroguinoline, 1,2,3,3a,4,7,7a-heptahydroisoindole, morpholine, thiomorpholine, 1,4,5,6-tetrahydropyridazine, perhydroisoquinoline, thiomorpholine-1,1-dioxide, piperazine, pyrrole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, tetrazole and 1H-indazole and may be optionally substituted with 1-3 groups selected from the group consisting of fluoro, bromo, methyl, ethyl, n-propyl, fluoromethyl, trifluoromethyl, benzylthio, hydroxymethyl, 2-hydroxyethyl, methylthio, methoxy, 2.2.2-trifluoroethyl, methoxycarbonyl, difluoromethylene, dichloromethylene, anilinomethyl, methoxymethyl. ethoxycarbonyl, benzyloxycarbonyl, acetyl, trifluoromethylcarbonyl, trichloromethylcarbonyl, 1,1,2,2-tetrafluoroethylcarbonyl, perfluoroethylcarbonyl, perfluoroheptylcarbonyl, phenyl, benzyl, 2-pyridyl, hydroxy, oxo, cyano, carboxy, carbamoyl, ethoxycarbonylmethyl, methylcarbonylamino and trifluoromethylcarbonylamino,

R³ represents hydrogen, chloro, cyano, hydroxy, amino, azido, methyl, ethyl, iso-propyl, tert-butyl, trifluoromethyl, methoxymethyl, cyclopropyl, allyl, ethynyl, 1-propynyl, methoxy, ethoxy, n-propyloxy, n-butyloxy, 2,2,2-trifluoroethyloxy, allyloxy, 2-methyl-4-pentenyloxy, 3-chloro-4,4,4-trifluoro-2-butenyloxy, methylthio, ethylthio, n- or iso-propylthio, n-, sec- or tert-butylthio, allylthio, 3,3-dichloroallylthio, methylsulfinyl, methylsulfonyl, phenoxy, benzyloxy, phenyl that may be optionally substituted with 1-2 groups selected from the group consisting of chloro, methyl, methoxy and trifluoromethyl, benzyl that may be optionally chloro-substituted, or phenoxymethyl that may be optionally chloro-substituted, or

R³ represents a heterocyclic group which is a monovalent group derived from a heterocycle selected from the group consisting of pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, thiophene, thiazole, pyridine, quinoline, isoquinoline, pyrazine, pyridazine, pyrimidine, imidazole, pyrazole, tetrazole, 1,2,4-triazole and 2,3-dihydroindole, and may be optionally substituted with a group selected from the group consisting of chloro, bromo, methyl and trifluoromethyl, or

R represents a group selected from the group consisting of the following groups A-H and J-M

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in which

R⁷ represents hydrogen atom, methyl or trifluoromethyl,

R⁸ represents methyl, iso- or tert-butyl, neo-pentyl, phenyl, ethoxy or cyano, or

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5 R⁷ and R⁸ form, together with the carbon atom to which they are bonded, cyclopentylidene or cyclohexylidene,

R⁹ represents methyl, 3,3-dichloroallyl or benzyl,

R¹⁰ represents hydrogen atom, methyl or ethyl,

R¹¹ represents methyl, ethyl, iso-propyl, methoxyethyl, dimethylaminoethyl, phenyl, benzyl or cyano,

R¹² represents methyl or phenyl,

R¹³ represents methyl or benzyl,

R¹⁴ represents hydrogen atom or methyl,

R¹⁵ represents hydrogen atom, 2,2,2-trifluoroethyl or phenyl,

15 R¹⁶ represents hydrogen atom or methyl,

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R¹⁷ represents hydrogen atom, methyl or trifluoromethyl,

R¹⁸ represents methyl or phenyl,

R¹⁹ represents hydrogen atom or methyl,

R²⁰ represents methyl, ethyl, n- or iso-propyl,

5 R²¹ represents methyl or ethyl,

R²² represents methyl, ethyl, n-propyl, n- or tert-butyl, allyl, 2-chloro-2-propenyl, 3-chloro-2-propenyl, 2-methoxyethyl, 2-phenoxypropyl or tert-butoxycarbonylmethyl,

R²³ represents methyl,

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10 R²⁴ represents hydrogen atom or methyl,

R²⁵ represents iso-propyl or phenyl,

R²⁴ and R²⁵ form, together with the nitrogen atom to which they are bonded, a saturated-monoheterocyclic group which is a monovalent group derived from a monoheterocycle selected from the group consisting of pyrrolidine, piperidine, morpholine and piperazine and may be optionally substituted with methyl,

R⁴ represents hydrogen atom, chloro, cyano, methyl, trifluoromethyl, allyl, ethynyl, 1-propynyl, methoxy, 2,2,2-trifluoroethoxy, methylthio, C₁₋₆haloalkylthio, methylsulfinyl, methylsulfonyl or pyrazolyl that may be optionally methyl-substituted or trifluoromethyl-substituted,

R⁵ and R⁶ each independently represents hydrogen atom, fluoro, methyl, ethyl, iso-propyl, trifluoromethyl or phenyl, and

Q represents naphthyl, phenyl that may be optionally substituted, pyridyl that may be optionally substituted, thienyl that may be optionally substituted, or furyl that may be optionally substituted, wherein substituents to phenyl, pyridyl, thienyl and furyl are 1-5 groups selected from the group consisting of fluoro, chloro, methyl, tert-butyl, trifluoromethyl, methoxy, trifluoromethoxy, cyano, nitro, amino and phenyl,

Similarly, in the compounds of the aforementioned formula (IA), there can be mentioned the compounds in which R^{1A} , R^{2A} , R^{3A} , R^{4A} , R^{5A} , R^{6A} , R^{7A} , R^{8A} , R^{9A} , R^{10A} , R^{11A} , R^{12A} , R^{13A} , R^{14A} , R^{15A} , R^{16A} , R^{17A} , R^{18A} , R^{19A} , R^{20A} , R^{21A} , R^{22A} , R^{23A} , R^{24A} , R^{25A} and Q^A each has the same definition as the definition of R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , R^{12} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} , R^{21} ,

R²², R²³, R²⁴, R²⁵ and Q mentioned in the definition of the preferable compounds of the aforementioned formula (I), respectively,

provided that, the following cases (T-1)-(T-6) are excluded:

(T-1) the case in which group

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represents 1-pyrrolyl, 1-imidazolyl, 3-oxopiperidino or 4-oxopiperidino, R^{3A} represents hydrogen atom, R^{4A} represents hydrogen atom, and Q^A represents 1-naphthyl or phenyl group that may be optionally substituted by one or two groups selected from the group consisting of chloro, methyl, ethyl and trifluoromethyl,

(T-2) the case in which group

$$-N$$
 R^{1A} R^{2A}

represents 3-oxopiperidino, 4-oxopiperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino, 4-ethylpiperazino, 4-(2-hydroxyethyl)piperazino or morpholino, R^{3A} represents amino, R^{4A} represents hydrogen atom, and Q^A represents 3-pyridyl or phenyl group that may be optionally substituted by one to three groups selected from the group consisting of fluoro, chloro, methyl, ethyl, isopropyl, trifluoromethyl and methoxy,

(T-3) the case in which group

$$-N$$
 R^{1A}

represents piperidino, 4-hydroxypiperidino, 4-methylpiperazino or morpholino, R^{3A} represents chloro, dimethylamino, anilino, piperidino, 4-methylpiperazino or morpholino, R^{4A} represents hydrogen atom, and Q^A represents phenyl group that may be optionally substituted by one or two groups selected from the group consisting of methyl and methoxy;

(T-4) the case in which group

represents 1-pyrrolidinyl, piperidino, morpholino or 1-pyrrolyl, R^{3A} represents methyl or methoxymethyl, R^{4A} represents chloro, and Q^A represents phenyl or 1-naphthyl,

(T-5) the case in which group

$$-N$$
 R^{1A} R^{2A}

represents 1-azilidinyl, piperidino or morpholino, R^{3A} represents methylthio, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy or iso-butoxy,

(T-6) the case in which group

represents 1-azilidinyl, R^{3A} represents hydrogen atom or amino, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy or ethoxy,

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as preferable.

Moreover, in the compounds of the aforementioned formula (IA), the compounds in which R^{1A}, R^{2A}, R^{3A}, R^{4A}, R^{5A}, R^{6A}, R^{7A}, R^{8A}, R^{9A}, R^{10A}, R^{11A}, R^{11A}, R^{12A}, R^{13A}, R^{14A}, R^{15A}, R^{16A}, R^{17A}, R^{18A}, R^{19A}, R^{20A}, R^{21A}, R^{22A}, R^{23A}, R^{24A}, R^{25A} and Q^A each has the same definition as the definition of R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰, R²¹, R²², R²³, R²⁴, R²⁵ and Q mentioned in the definition of the particularly preferable compounds of the aforementioned formula (I), respectively,

provided that, the following cases (T-1)-(T-6) are excluded:

(T-1) the case in which group this left has been a supported by the case of th

represents 1-pyrrolyl, 1-imidazolyl, 3-oxopiperidino or 4-oxopiperidino, R^{3A} represents hydrogen atom, R^{4A} represents hydrogen atom, and Q^A represents 1-naphthyl or phenyl group that may be optionally substituted by one or two groups selected from the group consisting of chloro, methyl and trifluoromethyl,

(T-2) the case in which group

represents 3-oxopiperidino, 4-oxopiperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino, 4-ethylpiperazino, 4-(2-hydroxyethyl)piperazino or morpholino, R^{3A} represents amino, R^{4A} represents hydrogen atom, and Q^A represents 3-pyridyl or phenyl group that may be optionally substituted by one to three groups selected from the group consisting of fluoro, chloro, methyl, trifluoromethyl and methoxy,

(T-3) the case in which group

$$-N$$
 R^{1A} R^{2A}

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represents piperidino, 4-hydroxypiperidino, 4-methylpiperazino or morpholino, R^{3A} represents chloro, dimethylamino, anilino, piperidino, 4-methylpiperazino or morpholino, R^{4A} represents hydrogen atom, and Q^A represents phenyl group that may be optionally substituted by one or two groups selected from the group consisting of methyl and methoxy,

(T-4) the case in which group

represents 1-pyrrolidinyl, piperidino, morpholino or 1-pyrrolyl, R^{3A} represents methyl or methoxymethyl, R^{4A} represents chloro, and Q^A represents phenyl or 1-naphthyl,

(T-5) the case in which group

$$-N$$
 R^{1A} R^{2A}

represents 1-azilidinyl, piperidino or morpholino, R^{3A} represents methylthio, R^{4A} represents chloro, and Q^A represents phenyl group substituted with methoxy,

(T-6) the case in which group

$$-N$$
 R^{1A}

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represents 1-azilidinyl, R^{3A} represents hydrogen atom or amino, R^{4A} represents chloro, and Q^A represents phenyl group substituted with methoxy,

are particularly preferable.

The aforementioned preparation process (a) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4,6-dichloropirimidine and pyrrolidine are used as starting materials.

The aforementioned preparation process (b) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-2-methylthio-6-pyrrolidin-1-yl-pirimidine is used as starting material and, for example, m-chloroperbenzoic acid, as oxidizing agent.

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The aforementioned preparation process (c) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-2-methanesulfonyl-6-pyrrolidin-1-yl-pirimidine and pyrazole are used as starting materials.

The aforementioned preparation process (d) can be illustrated by the following reaction scheme in case that, for example, 4-chloro-5-(3-fluorobenzyl)-6-pyrrolidin-1-yl-pirimidine and sodium methoxide are used as starting materials.

The aforementioned preparation process (e) can be illustrated by the following reaction scheme in case that a starting material, for example, 4-chloro-5-(3-fluorobenzyl)-6-pyrrolidin-1-yl-pirimidine is catalytically hydrogenated.

The aforementioned preparation process (f) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-6-(2,5-dihydropyrrol-1-yl)pyrimidine and sodium chlorodifluoroacetate are used as starting materials.

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The aforementioned preparation process (g) can be illustrated by the following reaction scheme in case that, for example, 2-azido-5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidine and sodium borohydride are used as starting materials.

The aforementioned preparation process (h) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidin-2-ylamine and tert-butyl nitrite and copper (II) chloride are used as starting materials (Sandmeyer process).

The aforementioned preparation process (i) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidin-2-ylamine and dimethyl-formamide dimethylacetal and O-methylhydroxylammonium chloride are used as starting materials.

5 + base

15.

The aforementioned preparation process (j) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidin-2-ylamine and acetic anhydride are used as starting materials.

The aforementioned preparation process (k) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidine-2-carbonitrile and methyl magnesium bromide are used as starting materials.

The aforementioned preparation process (l) can be illustrated by the following reaction scheme in case that, for example, 1-(5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidin-2-yl)ethanone and O-ethylhydroxylammonium chloride are used as starting materials.

The aforementioned preparation process (m) can be illustrated by the following reaction scheme in case that, for example, 5-benzyl-4-chloro-6-

(pyrrolidin-1-yl)pyrimidine-2-carbonitrile and hydroxylammonium chloride are

5 used as starting materials.

The compounds of the formula (II), starting materials in the above-mentioned preparation process (a), which are partly novel compounds and are not described in the existing literatures, can be easily prepared, for example, by reacting a compound represented by the formula

Parties of the Statement that in

$$R^{6A}$$
 OH R^{6A} (XII)

10

R^{4Aa2} represents hydrogen atom, hydroxy, alkyl, haloalkyl or alkenyl,

 R^{3Aa} , R^{5A} , R^{6A} and QA have the same definition as aforementioned,

with a halogenating agent, for example, phosphorus oxychloride, phosphorus oxybromide, etc. according to the process described in Journal of Heterocyclic Chemistry, Vol.29, p.1369-1370 (1992); Journal of Organic Chemistry, Vol.32, No.2, p.1591-1596 (1967), etc.

The compounds of the above-mentioned formula (XII), which are partly novel compounds and are not described in the existing literatures, can be easily prepared, for example, by reacting a compound represented by the formula

wherein

5

R^{4Aa3} represents hydrogen atom, alkyl, haloalkyl, alkenyl or C₁₋₄alkoxy,

R^{26A} represents C₁₋₄alkyl,

10 R^{5A}, R^{6A} and QA have the same definition as aforementioned,

with a compound represented by the formula

15 wherein

R^{3Aa} has the same definition as aforementioned,

according to the process described in, for example, Journal of the American Chemical Society, Vol.77, p.745-749 (1955); Journal of the American Chemical Society, Vol.69, p.2941-2942 (1938), etc.

The above-mentioned formula (XIII), which is also partly novel compounds that are not described in the existing literatures, can be easily prepared, for example, by reacting a compound represented by the formula

wherein

5

R^{4Aa3} and R^{26A} have the same definition as aforementioned,

with a compound represented by the formula

$$Q^{A} \xrightarrow{R^{5A}} X^{1} \qquad (XVI)$$

wherein

X1 represents halogen, preferably chloro, bromo or iodo,

R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

according to the process described in, for example, Japanese Laid-open Patent Publication No. 228500/1999 etc.

The compounds of the above-mentioned formulae (XV) and (XVI) are per se known compounds.

The above-mentioned formula (XIV), which is partly novel compounds that are not described in the existing literatures, can be easily prepared, for example, from a compound represented by the formula

wherein

R^{3A2} has the same definition as aforementioned,

by treating according to the process described in Journal of Organic Chemistry, Vol.26, p.412-418 (1961); Journal of Organic Chemistry, Vol.34, p.292-296 (1969); Chemical Reviews Washington, D. C., Vol.35, p.351-425 (1944), etc.

5 The compounds of the above-mentioned formula (XVII) are per se known compounds.

The compounds of the formula (III), starting materials in the above-mentioned preparation process (a), are per se known compounds.

As specific examples for the compounds of the formula (II), used as the starting materials in the above-mentioned preparation process (a), can be mentioned as follows:

10 5-benzyl-4,6-dichloropyrimidine

5-benzyl-4,6-dichloro-2-methylpyrimidine

4,6-dichloro-5-(3-fluorobenzyl)pyrimidine

4,6-dichloro-5-(3-chlorobenzyl)pyrimidine

4,6-dichloro-5-(2,6-difluorobenzyl)pyrimidine

15 4,6-dichloro-5-(3,5-difluorobenzyl)pyrimidine

5-benzyl-4-chloro-6-methylpyrimidine

5-benzyl-4,6-dichloro-2-methylthiopyrimidine

5-benzyl-4,6-dichloro-2-(pyridin-2-yl)pyrimidine

5-benzyl-4,6-dichloro-2-(pyridin-3-yl)pyrimidine

20 5-benzyl-4,6-dichloro-2-(pyridin-4-yl)pyrimidine

5-benzyl-4,6-dichloro-2-(pyrazin-2-yl)pyrimidine, and so on.

As specific examples for the compounds of the formula (XII), used as starting materials in the preparation of the compounds of the aforementioned formula (II), the following can be mentioned:

5-benzylpyrimidin-4,6-diol,

25 5-(3-fluorobenzyl)pyrimidin-4,6-diol,

- 5-(3-chlorobenzyl)pyrimidin-4,6-diol,
- 5-benzyl-2-(pyridin-2-yl)pyrimidin-4,6-diol,
- 5-benzyl-2-methylpyrimidin-4,6-diol,
- 5-benzyl-2-methylthiopyrimidin-4,6-diol, and so on.
- As specific examples for the compounds of the formula (XIII), used as starting materials in the preparation of the compounds of the aforementioned formula (XII), the following can be mentioned:

diethyl benzylmalonate,

ethyl 2-benzylacetoacetate

10 diethyl 2-(3-fluorobenzyl)malonate,

diethyl 2-(3-chlorobenzyl)malonate, and so on.

As specific examples for the compounds of the formula (XIV), used as starting materials in the preparation of the compounds of the aforementioned formula (XII), the following can be mentioned:

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15 formamidine hydrochloride,

acetamidine hydrochloride,

tert-butylcarbamidine hydrochloride,

trifluoroacetamidine,

cyclopropylcarbamidine hydrochloride,

- 20 benzamidine hydrochloride,
 - 2-(4-chlorophenoxy)-acetamidine hydrochloride,

pyrrolidinoformamidine hýdrobromide (1990) (1990) (1990) (1990) (1990) (1990) (1990) (1990) (1990) (1990) (1990)

morpholinoformamidine hydrobromide,

2-amidinothiophene hydrochloride,

3-amidinopyridine hydrochloride,

2-methylthiazole-4-carboxyamidine hydrochloride, and so on.

As specific examples for the compounds of the formula (XV), used as the starting materials in the preparation of the compounds of the aforementioned formula (XIII), the following can be mentioned:

diethyl malonate

5

methyl actoacetate

ethyl butyrylacetate

ethyl 4,4,4-trifluoroacetoacetate

10 methyl 3-oxo-6-octenoate, and so on.

As specific examples for the compounds of the formula (XVI), used as the starting materials in the preparation of the compounds of the aforementioned formula (XIII), the following can be mentioned:

benzyl bromide,

15 1-phenylethyl bromide,

3-methylbenzyl bromide,

2-nitrobenzyl bromide,

3-fluorobenzyl bromide,

3-chlorobenzyl bromide,

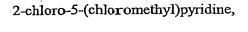
20 3-(bromomethyl)benzonitrile,

4-tert-butylbenzyl bromide,

4-(trifluoromethyl)benzyl bromide

2-(bromomethyl)naphthalene,

3-chloro-2-(chloromethyl)-5-(trifluoromethyl)pyridine,



2-chloro-5-(chloromethyl)thiophene,

2-(bromomethyl)-5-nitrofuran, and so on.

As specific examples for the compounds of the formula (XVII), used as the starting materials in the preparation of the compounds of the aforementioned formula (XIV), the following can be mentioned:

benzonitrile,

2-cyanopyridine,

2-quinolinecarbonitrile,

10 1-isoquinolinecarbonitrile,

3-isoquinolinecarbonitrile,

cyanopyrazine, and so on.

As specific examples for the compounds of the formula (III), used as

the starting materials in the above-mentioned preparation process (a), the following can be mentioned:

2-methylazilidine

azetidine,

pyrrolidine,

2-pyrrolidone,

20 2-methylpyrrolidine,

3-pyrroline,

thiazolidine,

pyrrole,

2-pyrazoline,

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pyrazole,
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imidazole,

1H-1,2,3-triazole,

1H-1,2,4-triazole,

5 1H-tetrazole,

indoline,

piperidine,

4-methylpiperidine,

morpholine,

10 thiomorpholine,

piperazine,

hexamethyleneimine,

heptamethyleneimine,

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octahydroindole, and so on.

15 The compounds of the formula (IAb), used as the starting materials in the above-mentioned preparation process (b), can be prepared by the aforementioned preparation processes (a), (d), (e) or (f) and as their specific examples the following can be mentioned:

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- 5-benzyl-4-chloro-2-methylthio-6-(pyrrolidin-1-yl)pyrimidine,
- 5-benzyl-4-chloro-2-methylthio-6-(piperidin-1-yl)pyrimidine,
- 20 5-benzyl-4-chloro-6-(4-methylpiperidin-1-yl)-2-methylthiopyrimidine,
 - 4-(5-benzyl-6-chloro-2-methylthiopyrimidin-4-yl)morpholine,
 - 2-allylthio-5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidine,
 - 5-benzyl-4-chloro-2-(3,3-dichloroallylthio)-6-(pyrrolidin-1-yl)pyrimidine, and so on.

As oxidizing agents used in the above-mentioned preparation process (b), there can be mentioned,

for example, m-chloroperbenzoic acid, hydrogen peroxide, and so on.

The compounds of the formula (IAc), used as the starting materials in the above-mentioned preparation process (c), are compounds that can be prepared by the aforementioned preparation processes (b) or (h) and as their specific examples the following can be mentioned:

- 5 5-benzyl-4-chloro-2-methylsulfornyl-6-(pyrrolidin-1-yl)pyrimidine,
 - 5-benzyl-4-chloro-2-methylsulfonyl-6-(piperidin-1-yl)pyrimidine,
 - 5-benzyl-4-chloro-2-methylsulfonyl-6-(4-methylpiperidin-1-yl)pyrimidine,
 - 4-(5-benzyl-6-chloro-2-methylsulfonylpyrimidin-4-yl)morpholine,
 - 5-benzyl-2,4-dichloro-6-(pyrrolidin-1-yl)pyrimidine, and so on.
- The compounds of the formula (IV), used as the starting materials in the above-mentioned preparation process (c), are per se known compounds and can be prepared according to the process described in, for example, Bulletin of the Chemical Society of Japan, Vol.64, p.2948-2953 (1991); Journal of Organic Chemistry, Vol.31, p.677-681 (1966); Journal of the American Chemical Society, Vol.75, p.4053-4054 (1953), etc. As their specific examples the following can be mentioned:
- sodium cyanide, copper cyanide, tetrabutylammonium cyanide, sodium azide, 1-hexyne, ethynyltrimethylsilane, sodium methoxide, 2,2,2-trifluoroethanol, allyl alcohol, 3-chloro-4,4,4-trifluoro-2-buten-1-ol, sodium thiomethoxide, phenol, benzyl alcohol, pyrrolidine, pyrazole, imidazole, 1,2,4-triazole, cyclopentane oxime, 2-(hydroxyimino)propanenitrile, O-benzylhydroxylamine, aniline, hydrazine hydrate, N-methyl-N-(1-phenylethylidene)hydrazine, N-phenylguanidine, and so on.

The compounds of the formula (IAd), used as the starting materials in the above-mentioned preparation process (d), can be prepared by the aforementioned preparation processes (a) or (f) and as their specific examples the following can be mentioned:

- 4-chloro-5-(3-fluorobenzyl)-6-(pyrrolidin-1-yl)pyrimidine,
- 5-benzyl-4-chloro-2-(pyrazol-1-yl)-6-(pyrrolidin-1-yl)pyrimidine,
 - 5-benzyl-4-chloro-6-(piperidin-1-yl)-2-(pyridin-2-yl)pyrimidine,
 - 3-(5-benzyl-6-chloropyrimidin-4-yl)-6,6-difluoro-3-azabicyclo[3,1,0]hexane, and so on.

The compounds of the formula (V), used as the starting materials in the above-mentioned

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preparation process (d) are per se known compounds and as their specific examples the following can be mentioned:

sodium cyanide, potassium cyanide, copper (I) cyanide, sodium methoxide, 2,2,2-trifluoroethanol, sodium thiomethoxide, 2,2,2-trifluoroethanethiol, 1-hexyne, pyrazole, imidazole, 1,2,4-triazole, and so on.

The compounds of the formula (IAe), used as the starting materials in the above-mentioned preparation process (e), are compounds that can be prepared by the above-mentioned preparation processes (a) or (f) and as their specific examples the following can be mentioned:

4-chloro-5-(3-fluorobenzyl)-6-(pyrrolidin-1-yl)pyrimidine,

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10 5-benzyl-4-chloro-2-(pyrazol-1-yl)-6-(pyrrolidin-1-yl)pyrimidine,

5-benzyl-4-chloro-6-(piperidin-1-yl)-2-(pyridin-2-yl)pyrimidine,

3-(5-benzyl-6-chloropyrimidin-4-yl)-6,6-difluoro-3-azabicyclo[3,1,0]hexane, and so on.

As catalyst used in the above-mentioned preparation process (e), there can be mentioned, for example, palladium-carbon and so on.

The compounds of the formula (IAf), used as the starting materials in the above-mentioned preparation process (f), can be prepared by the aforementioned preparation processes (a), (c) or (d) and as their specific examples the following can be mentioned:

5-benzyl-4-chloro-6-(2,5-dihydropyrrol-1-yl)pyrimidine,

5-benzyl-4-(2,5-dihydropyrrol-1-yl)-6-methoxypyrimidine,

4-chloro-6-(3,6-dihydro-2H-pyridin-1-yl)-5-(3-fluorobenzyl)-2-(1,2,4-triazol-1-yl) pyrimidine, and so on.

The compounds of the formula (IAg), use as the starting materials in the above-mentioned preparation process (g), can be prepared by the aforementioned preparation process (c) and as their specific examples the following can be mentioned:

25. 2-azido-4-chloro-5-(3-chlorobenzyl)-6-(pyrrolidin-1-yl) pyrimidine,

2-azido-5-(6-chloropyridin-3-ylmethyl)-4-(pyrrolidin-1-yl) pyrimidine,

2-azido-4-chloro-6-(2,5-dihydropyrrol-1-yl)-5-(naphthalen-2-ylmethyl) pyrimidine and so on.

As catalyst used in the above-mentioned preparation process (g), there can be mentioned, for example, palladium-carbon and so on.

As metal hydrides used in the above-mentioned preparation process (g), there can be mentioned, for example, sodium borohydride, lithium aluminium hydride, and so on.

The compounds of the formula (IAh), used as the starting materials in the first step of the above-mentioned preparation process (h), the first step of the above-mentioned preparation process (i) and the above-mentioned preparation process (j) can be prepared by the aforementioned preparation processes (c) or (g) and as their specific examples the following can be mentioned:

4-chloro-6-(pyrrolidin-1-yl)-5-(3,4,5-trifluoro benzyl)pyrimidin-2-ylamine,

10 5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidin-2-ylamine,

5-benzyl-4-chloro-6-(4,5-dihydropyrazol-1-yl)pyrimidin-2-ylamine, and so on.

As nitrite esters used in the first step of the above-mentioned preparation process (h), there can be mentioned, for example, tert-butyl nitrite etc., and nitrous acid can be formed on the spot, for example, by exposing sodium nitrite to an acidic condition.

As copper halides or potassium halides used in the second step of the above-mentioned preparation process (h), there can be mentioned, for example, copper (I) chloride, copper (II) chloride, copper (II) bromide, potassium i odide, and so on.

As specific examples of the compounds of the formula (VI), use as the starting materials in the second step of the above-mentioned preparation process (i), the following can be mentioned:

20 N'-(5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidin-2-yl)-N,N-dimethylformamidine,

N'-(4-chloro-6-(piperidin-1-yl)-5-(pyridin-2-ylmethyl)pyrimidin-2-yl)-N,N-dimethyl formamidine,

N'-(4-chloro-5-(5-nitrofuran-2-ylmethyl)-6-(pyrrolidin-1-yl)pyrimidin-2-yl)-N,N-

dimethylformamidine, and so on.

The compounds of the formula (VII), used as the starting materials in the above-mentioned preparation process (i) are per se known compounds and as their specific examples the following can be mentioned:

O-methylhydroxylamine,

O-ethylhydroxylamine,

O-isopropylhydroxylamine,

O-benzylhydroxylamine, and so on.

The compounds of the formula (VIII), used as the starting materials in the above-mentioned preparation process (j) are per se known compounds and as their specific examples the following can be mentioned:

acetic anhydride, propionic anhydride, acetyl chloride, n-butyryl chloride, benzoyl chloride, and so on.

The compounds of the formula (IAk), used as the starting materials in the above-mentioned preparation process (k) and the above-mentioned preparation process (m) can be prepared by the aforementioned preparation processes (c) or (d) and as their specific examples the following can be mentioned:

5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidine-2-carbonitrile,

5-(3-fluorobenzyl)-4-(4-methylpiperadin-1-yl)pyrimidine-2-carbonitrile,

4-(2,3-dihydroindol-1-yl)-5-(3-fluoro-4-trifluoromethylbenzyl)pyrimidine-2-carbonitrile, and so on.

The compounds of the formula (IX), used as the starting materials in the above-mentioned preparation process (k) are per se known compounds and can be also prepared according to the process described in, for example, Journal of the American Chemical Society, Vol.94, p.5421-5434 (1972) etc. As their specific examples the following can be mentioned:

methyl magnesium bromide,

isopropyl magnesium bromide,

pentyl magnesium bromide, and so on.

The compounds of the formula (IAI), used as the starting materials in the above-mentioned preparation process (I) can be prepared by the aforementioned preparation process (k) and as their specific examples the following can be mentioned:

1-(5-benzyl-4-chloro-6-(pyrrolidin-1-yl)pyrimidin-2-yl)ethanone,

1-(5-benzyl-4-methyl-6-(pyrrolidin-1-yl)pyrimidin-2-yl)ethanone,

1-(5-benzyl-4-methoxy-6-(piperidin-1-yl)pyrimidin-2-yl)propan-1-one, and so on.

The compounds of the formula (X), used as the starting materials in the above-mentioned preparation process (I) are per se known compounds and as their specific examples the following can be mentioned:

O-ethylhydroxylamine,

O-(3-chloroallyl)hydroxylamine,

O-(2-methoxyethyl)hydroxylamine,

10 phenylhydrazine,

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1-methyl-1-phenylhydrazine, and so on.

The compounds of the formula (XI), used as the starting materials in the above-mentioned preparation process (m) are per se known compounds and as their specific examples the following can be mentioned:

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• 15 hydroxylamine,

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O-methylhydroxylamine,

O-ethylhydroxylamine, and so on.

The compounds of the formula (IAc), Xc of which represents iodo, used as the starting materials in the above-mentioned preparation process (c), can be easily prepared from compounds, Xc of which is chloro, according to the process described in, for example, Journal of Heterocyclic Chemistry, Vol.23, p.1079-1084 (1986); Journal of the Chemical Society, (c), p.1204-1209 (1967), etc. and the compounds of the formula (IAd), Xd of which represents iodo, starting materials in the above-mentioned preparation process (d), can be easily prepared from compounds, Xd of which is chloro, according to the similar process,

The reaction of the above-mentioned preparation process (a) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform,

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carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; ketones, for example, acetone, methyl ethyl ketone (MEK), methyl isopropyl ketone, methyl isobutyl ketone (MIBK), etc.; nitriles, for example, acetonitrile, propionitrile, acrylonitrile,, etc.; esters, for example, ethyl acetate, amyl acetate, etc.; acid amides, for example, dimethylformamide (DMF), dimethylacetamide (DMA), N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidinone, hexamethylphosphoric triamide (HMPA), etc.; sulfones, sulfoxides, for example, dimethyl sulfoxide (DMSO), sulfolane, etc.; bases, for example, pyridine etc.

The preparation process (a) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as inorganic bases, hydrides, hydroxides, carbonates and bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.; inorganic alkali metal amides, for example, lithium amide, sodium amide, potassium amide, etc.; as organic bases, alcoholates, tertiary amines, dialkylaminoanilines and 1,1,4,4-tetramet.hylethylenediamine triethylamine, example, for pyridines, pyridine, 4-dirnethylaminopyridine (DMAP), N.N-diethylaniline, N,N-dimethylaniline, 1,4-diazabicyclo[2,2,2]octane (DABCO) and 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU), etc.; organic amine hydrochlorides, for example, pyridine hydrochloride, triethylamine hydrochloride, etc.; amine sulfonates, for example, pyridine p-toluenesulfonate, triethylamine p-toluenesulfonate, etc.

The preparation process (a) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -78 to about 180°C, preferably about -20 to about 120°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (a), the aimed compound can be obtained, for example, by reacting 1.1 to 8.0 moles of a compound of the formula (III) to 1 mole of a compound of the formula (III) in a diluent, for example, tetrahydrofuran, in the presence of triethylamine.

The reaction of the above-mentioned preparation process (b) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water; aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; alcohols, for

example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc.; esters, for example, ethyl acetate, amyl acetate, etc.; carboxylic acids, for example, acetic acid etc.

The preparation process (b) can be conducted in the presence of a catalyst and as example of said catalyst there can be mentioned, for example, tungstates etc.

The preparation process (b) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -78 to about 180°C, preferably about -20 to about 120°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (b), the aimed compound can be obtained, for example, by reacting 2.0 to 2.4 moles of m-chloroperbenzoic acid (MCPBA) to 1 mole of a compound of the formula (IAb) in a diluent, for example,

dichloromethane.

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The reaction of the above-mentioned preparation process (c) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; ketones, for example, acetone, methyl ethyl ketone (MEK), methyl isopropyl ketone, methyl isobutyl ketone (MIBK), etc.; nitriles, for example, acetonitrile, propionitrile, acrylonitrile,, etc.; alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc.; acid amides, for example, N-methylpyrrolidone, (DMA), dimethylformamide (DMF), dimethylacetamide 1,3-dimethyl-2-imidazolidinone, hexamethylphosphoric triamide (HMPA), etc.; sulfones, sulfoxides, for example, dimethyl sulfoxide (DMSO), sulfolane, etc.; bases, for example, pyridine etc.

The preparation process (c) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as inorganic bases, hydrides, hydroxides, carbonates and bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.; inorganic alkali metal amides, for example, lithium amide, sodium amide, potassium amide, etc.; as organic bases, alcoholates, tertiary amines, dialkylaminoanilines and

1,1,4,4-tetramethylethylenediamime (TMEDA), pyridines, for example, triethylamine, 4-dimethylaminopyridine (DMAP), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 1,4-diazabicyclo[2,2,2]octane (DABCO) and 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU), etc.; organic lithium compounds, for example, methyl lithium, n-butyl lithium, sec-bu tyl lithium, tertbutyl lithium, phenyl lithium, dimethyl copper lithium, lithium diisopropyl amide, lithium cyclohexyl isopropyl amide, lithium dicyclohexyl amide, n-butyl lithium · DABCO, n-butyl lithium · DBU, n-butyl lithium · TMEDA, etc.; organic amine hydrochlorides, for example, pyridine hydrochloride, triethylamine hydrochloride, etc.; amine sulfonates, for example, pyridine p-toluenesulfonate, triethylamine p-toluenesulfonate, etc.

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The preparation process (c) can be conducted in the presence of a catalyst and as example of said 10 catal ysts as can be mentioned. for example, palladium dichlorobis(triphenylphosphine) palladium, etc., metal catalysts such as copper (I) i odide etc.

The preparation process (c) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -78 to about 180°C, preferably about 0 to about 150°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (c), the aimed compound can be obtained, for example, by reacting 1.5 to 2.5 moles of a compound of the formula (IV) to 1 mole of a compound of the formula (IAc) in a diluent, for example, DMF, in the presence of potassium carbonate. Contract to the second of the second

The reaction of the above-mentioned preparation process (d) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water; aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethox yethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; ketones, for example, acetone, methyl ethyl ketone (MEK), methyl isopropyl ketone, methyl isobutyl ketone (MIBK), etc.; nitriles, for example, acetonitrile, propionitrile, acrylonitrile, etc.; alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc.; esters, for example, ethyl acetate, 30 amyl acetate, etc., acid amides, for example, dimethylformamide (DMF), dimethylacetamide (DMA), N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidinone, hexamethylphosphoric triamide (HMPA), etc.; sulfones, sulfoxides, for example, dimethyl sulfoxide (DMSO), sulfolane, etc.; bases, for example, pyridine etc.

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The preparation process (d) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as inorganic bases, hydrides, hydroxides, carbonates and bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.; inorganic alkali metal amides, for example, lithium amide, sodium amide, potassium amide, etc.; as organic bases, alcoholates, tertiary amines, dialkylaminoanilines and 1,1,4,4-tetramethylethylenediamine (TMEDA), triethylamine, example, pyridines, for (DMAP), 4-dimethylaminopyridine N,N-dimethylaniline, N,N-diethylaniline, pyridine, 1,4-diazabicyclo[2,2,2]octane (DABCO) and 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU), etc.; organic lithium compounds, for example, methyl lithium, n-butyl lithium, sec-butyl lithium, tertbutyl lithium, phenyl lithium, dimethyl copper lithium, lithium diisopropyl amide, lithium cyclohexyl isopropyl amide, lithium dicyclohexyl amide, n-butyl lithium · DABCO, n-butyl lithium · DBU, n-butyl lithium · TMEDA, etc.; organic amine hydrochlorides, for example, pyridine hydrochloride, triethylamine hydrochloride, etc.; amine sulfonates, for example, pyridine p-toluenesulfonate, triethylamine p-toluenesulfonate, etc.

The preparation process (d) can be conducted in the presence of a catalyst and as example of said catalyst there can be mentioned, for example, palladium catalysts such as dichlorobis(triphenylphosphine) palladium etc. and metal catalysts such as copper (I) iodide etc.

The preparation process (d) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -78 to about 180°C, preferably about -20 to about 120°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure:

In conducting the preparation process (d), the aimed compound can be obtained, for example, by reacting 1.5 to 2.5 moles of a compound of the formula (V) to 1 mole of a compound of the formula (IAd) in a diluent, for example, THF, in the presence of triethylamine.

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The reaction of the above-mentioned preparation process (e) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water; aromatic hydrocarbons, for example, benzene, toluene, xylene, etc.; alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc.; esters, for example, ethyl acetate, amyl acetate, etc.; carboxylic acids, for example, acetic acid etc.

The preparation process (e) can be conducted in the presence of a catalyst and as said catalyst there can be mentioned, for example, palladium carbon etc.

The preparation process (e) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as inorganic bases, hydrides, hydroxides, carbonates and bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.

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The preparation process (e) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -40 to about 180°C, preferably about 0 to about 140°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (e), the aimed compound can be obtained, for example, by reacting a catalytic amount of palladium carbon to 1 mole of a compound of the formula (IAe) in a diluent, for example, toluene-ethanol, in the presence of aqueous solution of sodium carbonate and in hydrogen atmosphere.

The reaction of the above-mentioned preparation process (f) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water; aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexame, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; nitriles, for example, acetonitrile, propionitrile, acrylonitrile,, etc.

The preparation process (f) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -40 to about 200°C, preferably about 0 to about 180°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (f), the aimed compound can be obtained, for example, by reacting 5 to 20 moles of sodium chlorodifluoroacetate to 1 mole of a compound of the formula (IAf) at about 180°C in a diluent, for example, diglyme.

The reaction of the above-mentioned preparation process (g) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water; aromatic hydrocarbons, for example, benzene, toluene, xylene, etc.; alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc.; esters, for example, ethyl acetate, amyl acetate, etc.; acid amides, for example, dimethylformamide (DMF), dimethylacetamide (DMIA),

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N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidinone, hexamethylphosphoric triamide (HMPA), etc.; sulfones, sulfoxides, for example, dimethyl sulfoxide (DMSO), sulfolane, etc.; carboxylic acids, for example, acetic acid etc.

The preparation process (g) can be conducted in the presence of an appropriate catalyst and as said catalyst there can be mentioned, for example, palladium carbon etc.

The preparation process (g) can be conducted also by using an appropriate metal hydride and as said metal hydrides there can be mentioned, for example, sodi um borohydride, lithium aluminium hydride, etc.

In conducting the preparation process (g), the aimed compound can be obtained, for example, by reacting a catalytic amount of palladium carbon to 1 mole of a compound of the formula (IAg) in a diluent, for example, ethanol, in hydrogen atmosphere.

The reaction of the first step and the second step of the above-mentioned preparation process (h) can be conducted continuously in one pot in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water; ketones, for example, acetone, methyl ethyl ketone (MEK), methyl isopropyl ketone, methyl isobutyl ketone (MIBK), etc.; nitriles, for example, acetonitrile, propionitrile, etc.; sulfones, sulfoxides, for example, dimethyl sulfoxide (DMSO), sulfolane, etc.; carboxylic acids, for example, acetic acid,; mineral acids, for example, hydrochloric acid, sulfuric acid, etc.

The preparation process (h) can be conducted in the presence of an acid catalyst and as example of said acid catalyst there can be mentioned mineral acids, for example, nitric acid, hydrobromic acid, etc.

The preparation process (h) can be conducted in the presence of a catalyst and as example of such catalyst there can be mentioned copper halide compounds, for example, copper (I) chloride, copper (II) chloride, etc.

- The reaction of the first step and the second step of the preparation process (h) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -40 to about 180°C, preferably about -20 to about 120°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.
- In conducting the preparation process (h), the aimed compound can be obtained, for example, by reacting 1.2 to 2.5 moles of tert-butyl nitrite to 1 mole of a compound of the formula (IAh) in a diluent, for example, acetonitrile, in the presence of copper (II) chloride.

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The reaction of the first step of the above-mentioned preparation process (i) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned aromatic hydrocarbons, for example, benzene, toluene, xylene, etc.; acid amides, for example, dimethylformamide (DMF), dimethylacetamide (DMA), N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidinone, hexamethylphosphoric triamide (HMPA), etc

The first step of the preparation process (h) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -40 to about 180°C, preferably about 0 to about 140°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the first step of the preparation process (i), the aimed compound of the formula (VI) can be obtained, for example, by reacting 1.1 to 2.0 moles of dimethylformamide dimethylacetal to 1 mole of a compound of the formula (IAh) in a diluent, for example, DMF.

The reaction of the second step of the above-mentioned preparation process (i) can also be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc.

The second step of the preparation process (i) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as inorganic bases, hydrides, hydroxides, carbonates and bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium hydroxide, sodium hydroxide, sodium hydroxide, sodium hydroxide, potassium hydroxide, etc.; as organic bases, alcoholates, tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylamiline, N,N-diethylamiline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2,2,2]octane (DABCO) and 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU), etc.

The second step of the preparation process (i) can also be conducted in the presence of an acid catalyst. As examples of said acid catalyst there can be mentioned organic acids, for example, formic acid, acetic acid, trifluoroacetic acid, propionic acid, methanesulfonic acid, benzenesulfonic acid, p-toluenesulfonic acid, etc.; organic amine hydrochlorides, for example, pyridine hydrochloride, triethylamine hydrochloride, etc.; amine sulfonates, for example, pyridine

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p-toluenesulfonate, triethylamine p-toluenesulfonate, etc

The second step of the preparation process (i) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -4O to about 180°C, preferably about 0 to about 140°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the second step of the preparation process (i), the Objective compound can be obtained, for example, by reacting 1.1 to 8.0 moles of the compound of the formula (VII) to 1 mole of a compound of the formula (VI) in a diluent, for example, toluene, in the presence of triethylamine

In conducting the second step of the preparation process (i), the compound of the formula (IA) can also be obtained by continuously conducting reactions starting from a compound of the formula (IAh) and without isolating and purifying the compound of the formula (VI) intermediately.

The reaction of the above-mentioned preparation process (j) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, di chloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; bases, for example, pyridine

The preparation process (j) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as organic bases, alcoholates, tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylamiline, N,N-diethylamiline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2,2,2]octane (DABCO) and 1,8-diazabicyclo[5,4,0]uradec-7-ene (DBU), etc.

The preparation process (j) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -78 to about 180°C, preferably about -20 to about 120°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (j), the aimed compound can be obtained, for example, by reacting 0.8 to 1.5 moles of a compound of the formula (VIII) to 1 mole of a compound of the formula (IAh) in a diluent, for example, pyridine.

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The reaction of the above-mentioned preparation process (k) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned ethers, for example, ethyl ether, methyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.

The preparation process (k) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -78 to about 180°C, preferably about -20 to about 120°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (k), the aimed compound can be obtained, for example, by reacting 1.1 to 3.3 moles of a compound of the formula (IX) to 1 mole of a compound of the formula (IAk) in a diluent, for example, ethyl ether.

The reaction of the above-mentioned preparation process (I) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water, aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc

The preparation process (1) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as inorganic bases, hydrides, hydroxides, carbonates and bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.; as organic bases, alcoholates, tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2,2,2]octane (DABCO) and 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU), etc.

The preparation process (1) can also be conducted in the presence of an acid catalyst. As examples of said acid catalyst there can be mentioned p-toluenesulfonic acid, etc.; organic aminesalts, for example, pyridine p-toluenesulfonate etc.

The preparation process (I) can be conducted in a substantially wide range of temperature. There can be applied temperatures generally of about -78 to about 180°C, preferably about -20 to about

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120°C. Although said reaction is conducted desirably under normal pressure, it can be conducted optionally under elevated pressure or under reduced pressure.

In conducting the preparation process (1), the objective compound can be obtained, for example, by reacting 1.1 to 8.0 moles of a compound of the formula (X) to 1 mole of a compound of the formula (IAI) in a diluent, for example, ethanol, in the presence of sodium hydrogen carbonate.

The reaction of the above-mentioned preparation process (m) can be conducted in an appropriate diluent. As examples of the diluent usable in that case there can be mentioned water; aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene, etc.; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM), etc.; alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, etc.

The preparation process (m) can be conducted in the presence of an acid binder, and as said acid binder there can be mentioned, for example, as inorganic bases, hydrides, hydroxides, carbonates and bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.; as organic bases, alcoholates, tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine,

1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2,2,2]octane (DABCO) and 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU), etc.

The preparation process (m) can also be conducted in the presence of an acid catalyst. As examples of said acid catalyst there can be mentioned organic acids, for example, formic acid, acetic acid, trifluoroacetic acid, propionic acid, methanesulfonic acid, benzenesulfonic acid, p-toluenesulfonic acid, etc.; organic amine hydrochlorides, for example, pyridine hydrochloride, triethylamine hydrochloride, etc.; amine sulfonates, for example, pyridine p-toluenesulfonate, triethylamine p-toluenesulfonate, etc.

In conducting the preparation process (m), the aimed compound can be obtained, for example, by

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reacting 1.1 to 8.0 moles of a compound of the formula (XI) to 1 mole of a compound of the formula (IAk) in a diluent, for example, toluene in the presence of triethylamine.

The active component compounds of the formula (I) of the present invention show a strong fungicidal and bactericidal action and in fact, they can be used to control undesirable plant pathogens.

The active component compounds of the formula (I) of the present invention can be used generally as fungicidal and bacteriacidal agents against various plant diseases by Plasmodiophoromaycetes, Oomycetes, Zygomycetes, Ascomycetes, Basidiomycetes and Deuteromycetes.

According to the present invention the active component compounds of the formula (L) show 10 excellent controlling effect particularly against such plant pathogens as Sphaerotheca fulliginea, Gibberella fujikuroi, Alternaria mali, Pyricularia oryzae, Phytophthora infestans, Cochliobolus miyabeanus, Botrytis cinerea, etc.

The active component compounds of the formula (I) of the present invention show good compatibility to plants at the concentration of the active compound necessary to control plant pathogens and, in case of using, chemical treatment of aboveground parts of plant, chemical treatment of stocks and seeds, and soil treatment are possible.

The active component compounds of the formula (I) of the present invention can be used further, in the protection of various materials, to protect them from infection and destruction by und esirable microorganisms.

The materials in the present specification are understood to mean inanimate objects manufactured 20 age til englisher til to be widely used. of Dath One by July James and highly

As the materials to be able to be protected by the active compounds of the present invention from changes or destruction by attack of microorganisms they can be, for example, adhesive s, sizes, paper and cardboard, textiles, leather, wood, (synthetic) paints, cooling lubricants, heat exchange liquid and other materials that can be infected and destructed by microorganisms, amon g which wood is particularly favorable. In the scope of materials to be protected there can be included a part of a manufacturing plant, for example, a cooling water circuit that can be damaged by proliferation of microorganisms. Agricult diverse of carried their test to traville that the

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As examples of the microorganisms that cause deterioration or changes of materials there can be mentioned bacteria, molds, yeasts, algae, slime organisms, etc... The active compounds of the 30 formula (I) of the present invention show actions preferably against molds, molds that discolor wood and/or destruct wood (Basidiomycetes).

As controlling objects, microorganisms of the following genera can be mentioned as examples:

Alternaria, for example, Alternaria tenuis;

Aspergillus, for example, Aspergillus niger;

Chaetomium, for example, Chaetomium globosum;

5 Coniophora, for example, Coniophora puetana;

Lentinus, for example, Lentinus tigrinus;

Penicillium, for example, Penicillium glaucum;

Polyporus, for example, Polyporus versicolor;

Aureobasidium, for example, Aureobasidium pullulans;

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10 Sclerophoma, for example, Sclerophoma pityophila;

Trichoderma, for example, Trichoderma viride.

Moreover, the active component compounds of the formula (I) of the present invention are low toxic against warm-blooded animals and can be used safely.

The active component compounds of the formula (I), according to the present invention, can be made into customary formulation forms, in case that they are used as agricultural chemicals. As formulation forms there can be mentioned, for example, solutions, wettable powders, emulsions, suspensions, powders, foaming agents, pastes, tablets, granules, aerosols, active compound-impregnated natural and synthetic substances, microcapsules, seed coating agents, ULV [cold mist, warm mist], etc.

- These formulations can be prepared according to per se known methods, for example, by mixing the active compounds with extenders, namely liquid diluents, solid diluents or carriers, and optionally with surface-active agents, namely emulsifiers and/or dispersants and/or foam-forming agents
 - As liquid diluents or carriers there can be mentioned, for example, aromatic hydrocarbons (for example, xylene, toluene, alkylnaphthalene, etc.), chlorinated aromatic or chlorinated aliphatic hydrocarbons (for example, chlorobenzenes, ethylene chlorides, methylene chloride, etc.), aliphatic hydrocarbons [for example, cyclohexane etc. or paraffins (for example, mineral oil fractions etc.)], alcohols (for example, butanol, glycols etc.) and their ethers, esters, etc., ketones (for example,

acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, etc.), strongly polar solvents (for example, dimethylformamide, dimethyl sulfoxide, etc.), water, etc. In case of using water as extender, for example, organic solvents can be used as auxiliary solvents.

As solid diluents there can be mentioned, for example, ground natural minerals (for example, kaolin, clay, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, etc.), ground synthetic minerals (for example, highly dispersed silicic acid, alumina, silicates, etc.).

As solid carriers for granules there can be mentioned, for example, crushed and fractionated rocks (for example, calcite, marble, pumice, sepiolite, dolomite, etc.) synthetic granules of inorganic and organic meals, particles of organic materials (for example, saw dust, coconut shells, maize cobs, tobacco stalks, etc.), etc.

As emulsifiers and/or foam-forming agents there can be mentioned, for example, nonionic and anionic emulsifiers [for example, polyoxyethylene fatty acid esters, polyoxyethylene fatty acid alcohol ethers (for example, alkylaryl polyglycol ethers, alkylsulfonates, alkylsulfates, arylsulfonates, etc.)], albumin hydrolysis products, etc.

Dispersants include, for example, lignin sulfite waste liquor, methyl cellulose, etc.

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Tackifiers can also be used in preparations (powders, granules, emulsifiable concentrates). As the tackifiers usable in that case there can be mentioned, for example, carboxymethyl cellulose, natural and synthetic polymers (for example, gum Arabic, polyvinyl alcohol, polyvinyl acetate, etc.).

Colorants can also be used. As said colorants there can be mentioned inorganic pigments (for example, iron oxide, titanium oxide, Prussian Blue, etc.), organic dyestuffs such as alizarin dyestuffs, azo dyestuffs or metal phthalocyanine dyestuffs, and further traces nutrients such as iron, manganese, boron, copper, cobalt, molybdenum, zinc and salts of such metals.

Said formulations can contain the active component compounds of the formula (I) of the present invention at the concentration in the range of generally 0.1 to 95 % by weight, preferably 0.5 to 90 % by weight.

The active component compounds of the formula (I), according to the present invention can exist, in the above-mentioned formulations or various application forms, together with other known active compounds, for example, germicides (fungicides, bactericides), insecticides, miticides, nematicides, herbicides, bird repellents, growth regulators, fertilizers and/or soil improvement agents.

The active component compounds of the formula (I), according to the present invention can be

used directly as they are or used in such a form as ready-to use solutions, emulsifiable concentrates, suspensions, powders, tablets, pastes, microcapsules, granules, etc., or used in application forms prepared by further dilution, when they are practically used. And the active component compounds of the formula (I), according to the present invention can be applied in a usual way, for example, watering, soaking, spraying, atomizing, misting, drenching, suspension formation, painting, dusting, seed dressing, etc.

In case of treating each part of the plant, the concentration of the active component compounds in the actual application form can be varied in a substantial range and can be in the range of generally 0.0001 to 1% by weight, preferably 0.001 to 0.5% by weight.

In case of seed treatment, the active component compounds, according to the present invention can be used in the range of generally 0.001 to 50g, preferably 0.01 to 10g per 1kg of seeds.

In case of soil treatment, the active component compounds, according to the present invention can be used in the range of concentration of generally 0.00001 to 0.1% by weight, particularly 0.0001 to 0.02% by weight at the application point.

15 Then the present invention is described more specifically by Examples. The present invention, however, should not be restricted to them in any way.

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Synthesis Example 1

5-Benzyl-4,6-dichloropyrimidine (960mg, 4.0mmmol) was dissolved in tetrahydrofuran (20ml), to which then pyrrolidine (660µl, 8.0mmol) and triethylamine (1.2ml, 8.6mmol) were added and the mixture was refluxed for 3 hours. After finishing the reaction, the precipitation was removed and the filtrate was concentrated under reduced pressure. The residue was purified by flush column chromatography (eluent n-hexane: ethyl acetate = 4:1) to obtain 5-benzyl-4-chloro-6-pyrrolidin-1-yl-pyrimidine (1.05g).

¹H NMR (CDCl₃, 300MHz) δ 1.80-1.85 (4H, m), 3.54-3.58 (4H, m),

4.27 (2H, s), 7.082H, d, J=6.9H, z), 7.21-7.31 (3H, m), 8.31 (1H, s).

Synthesis Example 2

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5-Benzyl-4,6-dichloro-2-methylthiopyrimidine (1.14g, 4.0mmol) was dissolved in tetrahydrofuran (20ml), to which then pyrrolidine (660µl, 8.0mmol) and triethylamine (1.2ml, 8.6mmol) were added and the mixture was refluxed for 3 hours. After finishing the reaction, the precipitation was removed and the filtrate was concentrated under reduced pressure. The residue was purified by flush column chromatography (eluent in-hexane: ethyle acetate = 4:1) to obtain 5-benzyl-4-chloro-2-methyltio-6-pyrrolidin-1-yl-pyrimidine (1.1g).

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Synthesis Example 3

5-Benzyl-4-chloro-2-methyltio-6-pyrrolidin-yl-pyrimidine (1.9g, 6mmol) was dissolved in 30ml of dichloromethane, to which m-chloroperbenzoic acid (3g, 12mmol) was added under ice cooling and the mixture was stirred at room temperature for 1 hour. After finishing the reaction, an aqueous solution of sodium thiosulfate was added thereto and the precipitation was filtered off. Then the reaction solution was washed with an aqueous solution of sodium hydrogen carbonate and a saturated aqueous solution of sodium chloride and the solvent was removed under reduced pressure. The residue was purified by flush column chromatography (eluent n-hexane: ethyl acetate = 4:1) to obtain 2.0g of 5-benzyl-4-chloro-2-methanesulfonyl-6-pyrrolidin-1-yl-pyrimidine.

Synthesis Example 4

4-Chloro-5-(3-fluorobenzyl)-6-pyrrolidin-1-yl-pyrimidine (370mg, 1.3mmol) was dissolved in tetrahydrofuran (20ml), to which 28% methanol solution of sodium methoxide (370mg, 1.9mmol) was added dropwise at room temperature and the mixture was stirred at room temperature for 2 hours. After finishing the reaction, the reaction solution was poured into ice water and

extracted with ethyl acetate. The organic layer was dried with anhydrous magnesium sulfate, the solvent was distilled off under reduced pressure and the obtained crude product was purified by silica gel column chromatography (eluent n-hexane: ethyl acetate = 5:1 (v/v)) to obtain 5-(3-fluorobenzyl)-4-methoxy-6-pyrrolidin-1-yl-pyrimidine (0.3g).

5 mp 74-76°C.

Synthesis Example 5

5-Benzyl-4-chloro-2-methanesulfonyl-6-pyrrolidin-1-yl-pyrimidine (500mg, 1.42mmol) was dissolved in N,N-dimethylformamide (50ml), to which potassium carbonate (390mg, 2.8mmol) and pyrazole (145mg, 2.1mmol) were added and the mixture was stirred at 50°C for 3 hours. After finishing the reaction, the reaction solution was poured into water and extracted with ethyl acetate. The solvent was distilled off under reduced pressure and the residue was purified by flush column chromatography (eluent n-hexane: ethyl acetate = 4:1) to obtain 5-benzyl-4-chloro-2-pyrazol-1-yl-pyrimidine (400mg).

15 mp 149-151°C.

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Synthesis Example 6

4-Chloro-5-(3-fluorobenzyl)-6-pyrrolidin-1-yl-pyrimidine (500mg, 1.7mmol) was dissolved in toluene (7ml) and ethanol (5ml), to which an aqueous

solution prepared by dissolving sodium carbonate (0.1g) in water (1ml) was added. Further, 5%

palladium carbon (0.15g) was added thereto and the mixture was contacted with hydrogen gas at room temperature for 1 hour. After finishing the reaction, the catalyst was filtered off, and the filtrate was separated by adding chloroform and water. The organic layer was dried with anhydrous magnesium sulfate, the solvent was distilled off under reduced pressure and the obtained crude product was purified by silica gel column chromatography (eluent hexane: ethyl acetate = 5:1 (v/v)) to obtain 5-(3-fluorobenzyl)-4-pyrrolidin-1-yl-pyrimidine (0.35g).

mp 51-54°C.

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Specific examples of the compounds obtained in the same manner to the above-mentioned Synthesis Examples 1-6 are shown, together with the compounds synthesized in Synthesis Examples 1-6, in the following Tables 1-3, and their physical and chemical properties are shown in Table 4.

In the compounds of the formula (IA) of the present invention, examples of the compounds in case that they represent the formula

are shown in Tabel 1, examples of the of the compounds in case that they represent the formula

$$Z^{c}$$
 Z^{b}
 Z^{a}
 Z^{a}

are shown in Table 1, examples of the compounds in case that they represent the formula

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$$Z^h$$
 Z^g
 Z^f
 Z^e
 Z^e
 Z^e
 Z^{e}
 Z^{e}
 Z^{e}
 Z^{e}
 Z^{e}

are shown in Table 3.

In Table 1, Table 2 and Table 3, Ph represents phenyl and Naph represents naphthyl.

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R ^{3A}	Τ.	Ξ-	I	H	Н	Н	Ŧ	H	H	Ή	Η	I	C(CH ₃) ₃	T	т	Ŧ	Н	Ή	Ι	æ	Н.	Ι	Н	Ξ	Ι	
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φ		3,5-(F) ₂ -Ph	''' 'B	3,5-(F) ₂ -Ph	Ph	(Ph	Ьh	Ph	Ph	Ph	Ph	Ph	- HA	3-⊬-Ph	3-CLPh	3,4-(F) ₂ -Ph	3,5-(F) ₂ -Ph	Ph	h-	, SPh	Ph	, bh	. Ph	Ч а :	, Ph	
Comp. No.	1-1	1-2	1-3	1-4	1-5	1-6	1-7	1-8	1-9	1-10	1-11	1-12	1-13	1-14	1-15	1-16	1-17	1-18	1-19	1-20	1-21	1-22	1-23	1-24	1-25	

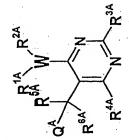


Table 1

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Comp.	1-26	1-27	1-28	1-29	1-30	1-31	-32	1-33	1-34	-35	1-36	1-37	1-38	1-39	4	4 4	1-42	1-43	1-44	1-45	1-46	1-47	1-48	1-49	1-50	1-51	1-52	1-53	1-54	1-55	1-56	1-57	1-58	7

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R3A	C(CH ₃) ₃	CH(CH ₃) ₂	cyclopropyl	ō	Ph	4-CI-Ph	3,5-(Cl) ₂ -Ph	CH ₂ -2,6-(Cl) ₂ -Ph	CH ₂ O-4-CI-Ph	CH ₂ OCH ₃	4-CH ₃ -Ph	4-CF ₃ -Ph	3,5-(CF ₃) ₂ -Ph	4-OCH ₃ -Ph	НО	OCH3	OCH ₂ CH ₃	OCH ₂ CF ₃	OCH2CH2CH3	O(CH ₂) ₃ CH ₃	OCH(CH ₃) ₂	OC(CH ₃) ₃	OCH(CH ₃)CH ₂ CH ₃	OCH2CH=CH2	OCH2CH=C(CI)CF3	OCH2CH(CH3)CH2CH=CH2	OPh	OCH ₂ Ph	ON=CHCH ₃	ON≈C(CH ₃) ₂	ON=C(CN)CH ₃	ON=C(CH ₃)C(CH ₃) ₃		
		-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ OH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ - ;	-CH ₂ (CH ₂) ₂ CH ₂ -		-CH ₂ (CH ₂) ₂ CH ₂ -:	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ - :	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ - ;	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	
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Comp. No. 1721	A STATE OF S	1 5							1-129									majority of majority or	The Carlot of the Carlot			The same of the same of	1							1-150 Ph		1-152	1-153	

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R ^{3A}		ON=(CH ₃)Ph	ON=CHPh	ON=(CF ₃)CH ₃	ON=(CF ₃)Ph	ON=(CH ₃)OCH ₂ CH ₃	ON=(CH ₃)CH ₂ CH(CH ₃) ₂	ON=(CH ₃)CH ₂ C(CH ₃) ₃	NHOCH	NHOCH₂Ph	NHOCH2CH=CC12	SCH3	SCH ₂ CH ₃	SCH2CH3	S(CH ₂) ₃ CH ₃	SC(CH ₃) ₃	SCH(CH ₃) ₂	SCH(CH ₃)CH ₂ CH ₃	SCH2CH=CH2	SCH2CH=CI2	SOCH3	SO ₂ CH ₃	NHPh	N ₃	NH ₂	N(CH ₃) ₂	N(CH ₂ CH ₃) ₂	NHCH(CH ₃) ₂	NHCH2CH2OCH3	NHCH ₂ CH ₂ N(CH ₃) ₂	NH ₂ CH ₂ Ph	NHCN
R ^{2A}	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -
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Comp. No.	1-154	1-155	1-156	1-157	1-158	1-159	1-160	1-161	1-162	1-163	1-164	1-165	1-166	1-167	1-168	1-169	1-170	1-171	1-172	1-173	1-174	1-175	1-176	1-177	1-178	1-179	1-180	1-181	1-182	1-183	1-184	1-185

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R³A		<i>إ</i>	<u></u>	\(\frac{1}{2} \)	_ _	NHC(=0)CH ₃	NHC(=O)Ph	NHC(=NOCH ₃)H	NHC(=NOCH ₂ Ph)H	NHNH ₂	N(CH ₃)NH ₂	NHNHCH ₂ CF ₃	NHNHPh	NHN=C(CH ₃) ₂	N(CH ₃)N=C(CH ₃) ₂	N(CH ₃)N=(Ph)CH ₃	N(CH ₃)N=CHPh	N(CH ₃)N=C(CH ₃)CF ₃	N(CH ₃)N=C(Ph)CF ₃	NHC(=NH)NHPh	C(=NOH)NH ₂	C(=NOCH ₃)NH ₂	NO
R1A	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂)2CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-СH ₂ (СH ₂) ₂ СH ₂ ;		-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -		-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -
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No.	1-186	1-187	1-188	1-189	1-190	1-191	1-192	1-193	1-194	1-195	1-196	1-197	1-198	1-199	1-200	1-201	1-202	1-203	1-204	1-205	1-206	1-207	1-208

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R ^{4A}	Ö	ō	Ö	ō	ਹ	Ö	CI	CI	ប	ច	ប	O	ច	ប	Cl	Ö	ਹ	D	ਠ	ប	ប	ਠ	Ö	ਹ
R ^{3A}	<i>II</i>	ř.	C(=O)CH ₃	C(=O)CH2CH3	C(≈O)CH(CH ₃) ₂	C(=0)CH2CH2CH3	C(CH ₃)=NOCH ₃ -	C(CH ₃)=NOCH ₂ CH ₃	C(CH ₃)=NOCH ₂ CH ₂ CH ₃	C(CH ₃)=NO(CH ₂) ₃ CH ₃	C(CH ₂ CH ₃)=NOCH ₃	C(CH ₃)=NOC(CH ₃) ₃	C(CH ₃)=NOCH ₂ CH=CH ₂	C(CH ₃)=NOCH ₂ CH=CHCI	C(CH ₃)=NOCH ₂ CCI=CH ₂	C(CH ₃)=NOCH ₂ CH=Cl ₂	C(CH ₃)=NOCH ₂ CH ₂ OCH ₃	C(CH ₃)=NOCH ₂ CH(CH ₃)OPh	$C(CH_3)=NOCH_2C(=O)OC(CH_3)_3$	C(CH ₃)=NNHCH(CH ₃) ₂	C(CH ₃)=NNHPh	C(CH ₃)=NN(CH ₃)Ph	CH, N	Ç, , , , , , , , , , , , , , , , , , ,
R ^{1A} R ^{2A}	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -
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Comp. No.	1-209	1-210	1-211	1-212	1-213	1-214	1-215	1-216	1-217	1-218	1-219	1-220	1-221	1-222	1-223	1-224	1-225	1-226	1-227	1-228	1-229	1-230	1-231	1-232

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R ^{4A} .	ਹ	ō	ОСН	ō	ō	ರ	ರ	C	CI	C	C	CI	IJ	C	O	·	Ö	CI	Ö	CI	Ö	Ö	ਠ	ਹ	Cl	ច
R ^{3A}	N HO	CH, NCH, CH,	CH³	<u></u>	CH,	pyridin-2-yl	pyridin-2-yi	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yi	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl						
R ^{2A}	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH2(CH2)2CH2-	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	$-CH_2(CH_2)_2CH_2-$	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	$-CH_2(CH_2)_2CH_2$ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	$-CH_2(CH_2)_2CH_2$ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -
W R ^{1A}		Z	z	Z	Z	 V	Z	Z	Z		Z	Z.	Z	z	Z	N	N	· Z	· N	z	Z	Z	Z	Z	z	z
∀ O	Ph	Ph	hqئىقىدا	Ph	h D	Ud	خَبِر -Naph		·	2-CI-Ph	2-Br-Ph	2-I-Ph	·	2-©F ₃ -Ph	2-CN-Ph	2-OCH ₃ -Ph	2-NO ₂ -Ph	2-NH2-Ph	-2-Ph-Ph	3-F-Ph	3-CI-Ph	3-Br-Ph	3-1-Ph	3-©H ₃ -Ph	3-CF ₃ -Ph	3-CN-Ph
Comp. No.	1-233	1-234	1-235	1-236	1-237	1-238	1-239	1-240	1-241	1-242	1-243	1-244	1-245	1-246	1-247	1-248	1-249	1-250	1-251	1-252	1-253	1-254	1-255	1-256	1-257	1-258

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R ^{6A}	I	T	I	I	I	I	I	T	I	T	I	I	I	I	x	I	I	エ	エ	I	I	I	I	I	I	н	Τ	Τ	I	ш	н	I	Ι	Ι	I
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R³A	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl	pyridin-2-yl∗	pyridin-2-yl	pyridin-2-yi	pyridin-2-yl	pyridin-2-yi	pyridin-2-yl	pyridin-2-yi																									
R ¹⁴	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -
>	z	N	Z	Z	Z	z	Z	z	Z	Z	z	z	z	Z	Z	z	z	z	z	Z	Z	z	Z	N	N	z	z	z	z	z	z	z	z	z	z
. DQA	3-OCH₃-Ph	3-OCF ₃ -Ph	3-NO ₂ -Ph	3-NH2-Ph	3-Ph-Ph	4-F-Ph	4-CI-Ph	4-Br-Ph	4-CH ₃ -Ph	4-C(CH ₃) ₃ -Ph	4-CF ₃ -Ph	4-CN-Ph	4-OCH ₃ -Ph	4-OCF ₃ -Ph	4-NO ₂ -Ph	4-NH ₂ -Ph	4-Ph-Ph	2-CI-4-F-Ph	2-CI-6-F-Ph	2,3-(F) ₂ -Ph	2,4-(F) ₂ -Ph	2,5-(F) ₂ -Ph	. 2,6 <u>-</u> (E) ₂ -Ph	3,4-(F) ₂ -Ph	3,5-(F) ₂ -Ph	2,3,4-(F) ₃ -Ph	2,3,6-(F) ₃ -Ph	2,3,6-(F) ₃ -Ph	2,3,4,5,6-(F) ₅ -Ph	2,3,4,5,6-(F) ₅ -Ph	pyridin-2-yi	6-Cl-pyridin-2-yl	3-CI-5-CF ₃ -pyridin-2-yl	pyridin-3-yl	6-Cl-pyridin-3-yl
No.	1-259	1-260	1-261	1-262	1-263	1-264	1-265	1-266	1-267	1-268	1-269	1-270	1-271	1-272	1-273	1-274	1-275	1-276	1-277	1-278	1-279	1-280	1-281	1-282.	1-283	1-284	1-285	1-286	1-287	1-288	1-289	1-290	1-291	1-292	1-293

C							
No.	6	×	R ^{1A}	R³A	R⁴ ⁴	R ^{5A}	R ^{6A}
1-294	pyridin-4-yl	Z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyridin-2-yl	ਠ	I	Ŧ
1-295	S.	Ż	-CH ₂ (CH ₂) ₂ CH ₂ -	pyridin-2-yl	ō	工	E
1-296	0 1 0 0	Z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyridin-2-yl	ਠ	I	I
1-297	HARRY OF	z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyridin-2-yl	Ŧ	I	I
1-298	Sec. 1.	z	$-CH_2(CH_2)_2$ - $CH(CF_3)$ -	pyridin-2-yl	ō	I	T
1-299		z	-CH ₂ (CH ₂) ₂ CH ₂ -	3-CH ₃ -pyridin-2-yl	IJ	I	I
1-300	HO WAR	z	-CH ₂ (CH ₂) ₂ CH ₂ -	4-CH ₃ -pyridin-2-yl	Ö	I	I
1-301	L	z	-CH ₂ (CH ₂) ₂ CH ₂ -	5-CF ₃ -pyridin-2-yl	Ö	I	I
1-302	100	z	-CH ₂ (CH ₂) ₂ CH ₂ -	6-CH ₃ -pyridin-2-yl	5	I	I
1-303	, London	z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyridin-3-yl	ರ	I	ェ
1-304	- Hall	z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyridin-4-yl	ರ	I	Ŧ
1-305	Ph	z	-CH ₂ (CH ₂) ₂ CH ₂ -	2,6-(Cl) ₂ -pyridin-4-yl	ō	I	T
1-306	HB.	z ·	-CH ₂ (CH ₂) ₂ CH ₂ -		ō	Ι	Ι
1-307	NG 1	Z	-CH ₂ (CH ₂) ₂ CH ₂ -		ਠ	Ι	工
1-308	Va	Z	-cH ₂ (CH ₂), CH ₂ -		ਠ	I	I
1-309		z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyrimidin-2-yl	Ö	I	I
1-310		z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyridazin-3-yl	D	I	I
1-311		z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyrazin-2-yl	ਠ	I	Ŧ
1-312		z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyrazin-2-yl	Cl	I	I
1-313	2-Naph	z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyrazin-2-yl	D	Ή	エ
1-314		z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyrazin-2-yl	ਹ	π	Ŧ
1-315	2-CI-Ph	z	-CH ₂ (CH ₂) ₂ CH ₂ -	pyrazin-2-yl	ਹ	Ι	エ

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R ^{4A}	ರ	Ö	Ö	<u></u>	Ö	ਠ	Ö	CI	Cl	Cl .	Ö	CI	CI	ರ	ਠ	Cl	IJ	Cl	ō	Ö	ت	CI	ō.	Ö	Ö	ర	ប	O	Ö	CI	O	Ö	CI	Ö	ਠ
R³A	pyrazin-2-yl	pyrazin-2-ył	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yi																										
R ^{2A}	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	4,(CH ₂	-CH ₂ (CH ₂) ₂ CH ₂ -
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₩ Ö :	. 2-Br-Ph	2-I-Ph	2-CH ₃ -Ph	2-CF₃-Ph	2-CN-Ph	2-OCH ₃ -Ph	2-NO ₂ -Ph	2-NH ₂ -Ph	2-Ph-Ph	.3-F-Ph	. 3-CI-Ph	3-Br-Ph	3-I-Ph	3-CH ₃ -Ph	3-CF ₃ -Ph	3-CN-Ph	3-OCH ₃ -Ph	3-OCF ₃ -Ph	3-NO ₂ -Ph	3-NH ₂ -Ph	H-H-Ph	4-F-Ph	4-CI-Ph	4-Br-Ph	4-CH ₃ -Ph	4-C(CH ₃) ₃ -Ph	4-CF ₃ Ph	4-CN-Ph	4-OCH ₃ -Ph	4-OCF ₃ -Ph	4-NO ₂ -Ph	4-NH ₂ -Ph	4-Ph-Ph	2-CI-4-F-Ph	2-Cl-6-F-Ph
Comp. No.	1-316	1-317	1-318	1-319	1-320	1-321	1-322	1-323	1-324	1-325	1-326	1-327	1-328	1-329	1-330	1-331	1-332	1-333	1-334	1-335	1-336	1-337	1-338	1-339	1-340	1-341	1-342	1-343	1-344	1-345	1-346	1-347	1-348	1-349	1-350

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R ^{3A}	pyrazin-2-yl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-vl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl		pyrazin-2-yl		pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-ví
RZA	-CH ₂ (CH ₂) ₂ CH ₂ -	(CH ₂) ₂ CH ₂ -	H ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	2(CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	2(CH ₂)2CH ₂ -	(CH ₂) ₂ CH ₂ -	(CH ₂) ₂ CH ₂ -	(CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -		-CH ₂ (CH ₂) ₂ CH ₂ -		CH ₂ (CH ₂) ₂ CH ₂ -	JH2(CH2)2CH2-	2(CH ₂)2CH ₂ -	2(CH ₂) ₂ CH ₂ -	2(CH ₂) ₂ CH ₂ -	2(CH ₂)2CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	;H ₂ (CH ₂) ₂ CH ₂ -	;H ₂ (CH ₂) ₂ CH ₂ -					
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64 . B	-Ph	2.4-(F) ₂ -Ph N	2,5-(F) ₂ -Ph N	#2,6-(F) ₂ -Ph N	344(E)2-Ph	3,5-(E) ₂ -Ph N	2;3,4-(F) ₃ -Ph N	2,3,6-(F) ₃ -Ph N	2,3,6-(F) ₃ -Ph N	2,3,4,5,6-(F) ₅ -Ph N	Z,3,4,5,6-(F) ₅ -Ph N	pyridin-2-yl N	6-Cl-pyridin-2-yl N	3-Cl-5-CF ₃ -pyridin-2-yl N	N pyridin-3-yl N	6-Cl-pyridin-3-yl N	N pyridin-4-yl	Z S		Z	01.0	Naph	2-Naph N	2-F-Ph	2-CI-Ph N	Z-Br-Ph N	2.I-Ph	2-CH ₃ -Ph N	2-CE3-Ph N	2-CN-Ph N	2-OCH ₃ -Ph N
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R ^{3A}	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-:1-yi	pyrazol-1-yl	pyrazol-1-yí	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yi	pyrazol-1-yi	pyrazol-1-yi						
R.A.	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -
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,	2-NO ₂ -Ph	2-NH ₂ -Ph	2-Ph-Ph	7.7.3-F-Ph	3-CI-Ph	∵3-Br-Ph	3-I-Ph	3-CH ₃ -Ph	3-CF ₃ -Ph	3-CN-Ph	3-OCH ₃ -Ph	3-OCF ₃ -Ph	3-NO ₂ -Ph	3-NH ₂ -Ph	3-Ph-Ph	4-F-Ph	4-CI-Ph	4-Br-Ph	4-CH3-Ph	4-C(CH ₃) ₃ -Ph	4-CE3-Ph	4-CN-Ph	4-0CH ₃ -Ph	4-OCF ₃ -Ph	4-NO ₂ -Ph	4-NH2-Ph	4-Ph-Ph	2-Cl-4-F-Ph	2-CI-6-F-Ph	2,3-(F) ₂ -Ph	2,4;(F) ₂ -Ph	2,5-(F) ₂ -Ph	2,6-(E) ₂ -Ph	3,4-(F) ₂ -Ph	3,5÷(F) ₂ -Ph
	1-380	1-381	1-382	1-383	1-384	1-385	1-386	1-387	1-388	1-389	1-390	1-391	1-392	1-393	1-394	1-395	1-396	1-397	1-398	1-399	1-400.	1-401	1-402	1-403	1-404	1-405	1-406	1-407	1-408	1-409	1-410	1-411	1-412	1-413	1-414

W R¹A -CH2(CH2)2CH2- N -CH2(CH2)2CH2CH2(CH2)2CH2CH2(CH2)2CH2CH2(CH2)2CH2CH2(CH2)2CH2CH2(CH2)2CH2CH2(CH2)2CH2CH2(CH2)2CH2- N -CH2(CH2)2CH2-	N			1	<u>.</u>		1-419 2,3	1-420	1-421 6-	1-422 3-CI-6			1-425	1-426	3	1-427	(Marian Paris)	1-428	1-429	1-430	1-431	1-432	1-433	1-434	· .	o di contra	;	1-437		
R ¹⁴ -CH ₂ (CH ₂)2CH ₂ CH ₂ (CH ₂)2CH ₂ .	R1A -CH ₂ (CH ₂) ₂ CH ₂ - Dyrazol-1-yl -CH ₂ (CH ₂) ₂ CH ₂ - Dyrazol-1-yl - CH ₂ (CH ₂) ₂ CH ₂ - Dyrazol-1-yl - CH ₂ (CH ₂) ₂ CH ₂ - Dyrazol-1-yl - CH ₂ (CH ₂) ₂ CH ₂ - Dyrazol-1-yl - CH ₂ (CH ₂) ₂ CH ₂ - Dyrazol-1-yl - CH ₂ (CH ₂) ₂ CH ₂ - CH ₂ (CH ₂ (CH ₂) ₂ CH ₂ - CH ₂ (CH ₂ (CH ₂) ₂ CH ₂ - CH ₂ (CH ₂ (CH ₂) ₂ CH ₂ - CH ₂ (CH ₂ (CH ₂) ₂ CH ₂ - CH ₂ (CH ₂ (CH ₂) - CH ₂ (CH ₂ (CH ₂) - CH ₂ (CH ₂ (CH ₂) - CH	O	2,3,4-(E) ₃ -Ph	2,3,6-(F) ₃ -Ph	Z ₁ 3,6-(F) ₃ -Ph	3,4,5,6-(F) ₅ -Ph	3,4,5,6-(F) ₅ -Ph	pyridin-2-yl	Cl-pyridin-2-yl	5-CF ₃ -pyridin-2-yl	pyridin-3-yl	6-Cl-pyridin-3-yl	pyridin-4-yl	IS VIEW) 	0	.∜≪ Ph	. Rh	(bh	Ph	- Eh	- Land	L	S. J. W. C.	. Ph	1-Naph	2-Naph	※12-F-Ph	1
-CH ₂ (CH ₂) ₂ CH ₂ -CH ₂ -CH ₂ (CH ₂) ₂ CH ₂ -CH ₂ -CH ₂ (CH ₂) ₂ CH ₂ -CH	CH₂(CH₂)2CH₂- -CH₂(CH₂)2CH₂- -CH₂(CH₂C	>	z	z	Z	z	z	z	z	z	z	Z	z	Z		z		z	z	z	Z	z	Z	z		z	Z	Z	z	
Pyrazol-1-yl 3,5-(CH ₃)2-pyrazol-1-yl 4-CH ₃ -pyrazol-1-yl imidazol-1-yl imidazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl			-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH3(CH3),CH3-	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂),CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-сн ₂ (сн ₂)2сн ₂ -		-CH ₂ (CH ₂) ₂ CH ₂ -			-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -		-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	
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		R ^{6A}	I	I	I	I	L	- 3	=					x		I		84 ·	T	I	-	T	F	I		T	I	I	二	

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	R ^{3A} .	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-vi	1,2,4-triazol-1-vl	1,2,4-triazol-1-yl		1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1.2.4-triazol-1-vl																				
	χ.	-CH ₂ (CH ₂) ₂ CH ₂ -		CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (GH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -		-CH,(CH,),CH,-
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A	3.	2-1-Ph	· 2-CH ₃ -Ph	2-CF ₃ -Ph	2-CN-Ph	2-OCH ₃ -Ph	2-NO ₂ -Ph	2-NH ₂ -Ph	2-Ph-Ph	3-F-Ph	3-CI-Ph		3-l-Ph	3-CH ₃ -Ph	3-CF ₃ -Ph		3-OCH ₃ -Ph	3-OCF ₃ -Ph	3-NO ₂ -Ph	3-NH ₂ -Ph	್ಟ್:3:Ph-Ph	4-F-Ph	1 - 74-CI-Ph	⊖ 4-Br-Ph	24-CH ₃ -Ph	4-C(CH ₃) ₃ -Ph	4-CF ₃ -Ph	4-CN-Ph	4-OCH ₃ -Ph	4-OCF ₃ -Ph	4-NO ₂ -Ph	4-NH2-Ph	4-Ph-Ph	.,2 <u>:</u> Cl:,4-F-Ph	2-CI-6-F-Ph	2,3-(F),-Ph
Сошр.		1-441	1-442	1-443	1-444	1-445	1-446	1-447	1-448	1-449	1-450	1-451	1-452	1-453	1-454	1-455	1-456	1-457	1-458	1-459	1-460	1-461	1-462:	1-463	1-464	1-465	1-466	1-467	1-468	1-469	1-470	1-474	1-472	1-473	1-474	1-475

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R³A	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-vl	1,2,4-triazol-1-vl	1,2,4-triazol-1-vi	1,2,4-triazol-1-vl	1.2.4-triazol-1-vl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yí	1,2,4-triazol-1-yl		1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,3-triazol-1-yl	1,2,5-triazol-1-yi	tetrazol-1-yi	tetrazol-2-yl	T	Τ	Ι	Ξ	Τ	H	I
R ¹ A.	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -		-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -	pyrrol-1-yl 😤 🐣	2-C(=O)CF ₃ -pyrrol-1-yl	2-C(=O)Cl ₃ -pyrrol-1-yl	2-CN-pyrrol-1-yl	3-CH ₃ -pyrrol-1-yl	2,4-(CH ₃) ₂ -pyrrol-1-yi	pyrazol-1-yl
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VO.	2,4-(E)2-Ph	2,5-(F) ₂ -Ph	2,6-(F) ₂ -Ph	3,4-(F) ₂ -Ph	3,5-(F) ₂ -Ph	2,3,4-(F) ₃ -Ph	2,3,6 ₇ (F) ₃ -Ph	2,3,6-(F) ₃ -Ph	2,3,4,5,6-(F) ₅ -Ph	2,3,4,5,6-(F) ₅ -Ph	pyridin-2-yl	6-CI-pyridin-2-yl	3-CI-5-CE3-pyridin-2-yl	pyridin-3-yl	6-Cl-pyridin-3-yl	pyridin-4-yl	S	Jane State S	O N O	Same some survey with the first of	Service Case Philips	y	Ph	- La	A Harake	HANNE TO	. ∵Ph	- Nager	S.Ph	. V.Sh	. Ph
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W R ^{1A} R ^{2A}	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	imidazol-1-vl	imidazol-1-vi	16-1-10300000		imidazoi-1-yi	imidazol-1-yi			7. 7. 7. 6. 6. 6. 6. 6. 6. 6. 6. 6. 6. 6. 6. 6.	3-Cr ₃ -pyrazol-1-yi	3-CF ₃ -pyrazol-1-yl		3,5-(CH ₃) ₂ -pyrazol-1-yi	3,5-(CH ₃) ₂ -pyrazoi-1-yl	3,5-(CH ₃) ₂ -pyrazol-1-yl	3,5-(CH ₃) ₂ -pyrazol-1-yl	3-CH ₃ -5-GF ₃ -pyrazol-1-yl	3,5-(CF ₃) ₂ -pyrazol-1-yl	3-CF ₃ -5-OCH ₃ -pyrazol-1-yl	4-Br-pyrazol-1-yl	4-CH ₃ -pyrazol-1-ył	1,2,3-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	3-SCH ₃ -1,2,4-triazol-1-yl	3-SCH ₂ Ph-1,2,4-triazol-1-yl	الإ-١٠-١٥-١١عم'>,١
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Comp.	200	/00-	200	500-1	1-510	1-511	1-512	1-513	1.514	247	0,0	<u>0</u>	1	- - -	4 510	0 0	20.0	1-520	1-521	1-522	1-523	1-524	1-525	1-526	1-527	1-528	1-529	1-530	1-531	1-532	1-533	1-534	1-535	1-536	1-537	1-538	1.000-1

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3-√ Z }=(R24	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₄ CH ₂ -	-CH ₂ (CH ₂) ₄ CH ₂ -	-(CH ₂) ₅ -NH-	CH ₂) ₂ -O-(CH ₂) ₂ -NH-	-CH ₂ (CH ₂) ₅ CH ₂ -	-CH ₂ (CH ₂) ₅ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-(CH ₂) ₂ -CH=CH-CH ₂ -	-(CH ₂) ₂ -СН=СН-СН ₂ -	-(CH ₂) ₂ -C(Ph)≕CH-CH ₂ -	-(CH ₂) ₂ -C(₄ -CI-Ph)=CH-CH ₂ -	·(CH ₂) ₂ -C(COOH)=CH ₂ -	(CH ₂) ₂ -CH=C(COOH)-CH ₂ -	-(CH ₂) ₃ -CH=N-	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	
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Table 1 (continued)	7.4	Ph		က		Ph	Ph	3,5-(F) ₂ -Ph	Ρh	FB 0.55	Ph.	: Ph	of a Physics	3,5-(F) ₂ -Ph		Ph.	.∵. ∂Ph	. Ph	: Ph	-1-Naph	2-Naph		Land St. 2-CI-Ph	A Section 1	1-566 W2-I-Ph	i2-CH ₃ -Ph	**	2-CN-Ph	1-570-1-1-2-0CH3-Ph	1-571 1 2-NO ₂ -Ph	
Table 1	Comp.	1-543	1-544	1-545	1-546	1.547	1-548	1-549	1-550	1-551	1-552	1-553	1-554	1-555	1-556	1-557	1-558	1-559	1-560	1.561	1-562	1-563	1-564	1-565	1-566	1-567	1-568	1-569	1-570	1-571	

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\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	3-Cl-Ph	3-Br-Ph	3-1-Ph	3-CH ₃ -Ph	3-CF ₃ -Ph	3-CN-Ph	3-OCH ₃ -Ph	3-OCF ₃ -Ph	3-NO ₂ -Ph	3-NH ₂ -Ph	3-Ph-Ph		4-CI-Ph	4-Br-Ph	4-CH ₃ -Ph	4-C(CH ₃) ₃ -Ph	∵4-CF ₃ -Ph	4-CN-Ph	4-0CH ₃ -Ph	4-OCF ₃ -Ph	:: 4-NO₂-Ph	4-NH ₂ -Ph	4-Ph-Ph	2-Cl-4-F-Ph	2-Cl:6-F-Ph	2;3-(F) ₂ -Ph	2,4-(F) ₂ -Ph	2,5 ₇ (F) ₂ -Ph	2,6-(F) ₂ -Ph	3,4-(F) ₂ -Ph	3,5-(F) ₂ -Ph	2,3,4-(F) ₃ -Ph	2,3,6-(F) ₃ -Ph	2,4,6-(F) ₃ -Ph	2,3,4,5,6-(F) ₅ -Ph	2,3,4,5,6-(F) ₅ -Ph	
Comp.	1-666	1-667	1-668	1-669	1-670	1-671	1-672	1-673	1-674	1-675	1-678	1-677	1-678	1-679	1-680	1-681	1-682	1-683	1-684	1-685	1-686	1-687	1-688	1-689	1-690	1-691	1-692	1-693	1-694	1-695	1-696	1-697	1-698	1-699	1-700	1.70	

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	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH2(CH2)3CH2-	The second secon		-CH ₂ (CH ₂) ₃ CH ₂ -	The second of th	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH2(CH2)3CH2-	-GH3(CH2)3CH2-	-CH3(CH2)3 CH2	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -
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ν	2-F-Ph	2-CI-Ph	2-Br-Ph	2-I-Ph	2.CH3-Ph	2+CFg-Ph	25CN-Ph	2-0CH ₃ -Ph	2:NO ₂ -Ph	2-NH ₂ -Ph	2-Ph-Ph	3-F-Ph	3,CI-Ph	3-Br-Ph	-3-(-Ph	3-CH ₃ -Ph	3-CF ₃ -Ph	3.CN.Ph	3-OCH3-Ph	3 OCF3-Ph	3.NO ₂ -Ph	3-NH2-Ph	: 3.Rh.Ph	4-F-Ph	4401-Ph	4-Br-Ph	4-CH3-Ph	4-C(CH ₃) ₃ -Ph	4-CF3-Ph	4-CN-Ph	4-OCH3-Ph	4.00F3-Ph	74-NO ₂ -Ph	'4'NH2-Ph	74-Ph	10,1,7,10,0
Comp.	1-725	1-726	1-727	1-728	1-729	1-730	1-731	1-732	1-733	1-734	1-735	1-736	1-737	1-738	1-739	1-740	1-741	1-742	1-743	1-744	1-745						1-751		1-753	1-754	1-755	1-756	1-757	1-758:	1-759	1700

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	R ^{1A} R ^{2A}	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH2,(CH2),CH2-	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-СH ₂ (GH ₂)3СH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -		-CH ₂ (CH ₂) ₃ CH ₂ -			-CH ₂ (CH ₂) ₃ CH ₂ -		-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH2(CH2)3CH2-	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ OH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂)3CH ₂ -
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R ^{2A}	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	.CH ₂ (CH ₂) ₃ CH ₂ .	CH ₂ (CH ₂) ₃ CH ₂ -		-CH ₂ (CH ₂) ₃ CH ₂ -			-CH2(CH2)3CH2-		CH ₂ (CH ₂) ₃ CH ₂ -		3,				-CH ₂ (CH ₂) ₃ CH ₂ -	CH ₂ (CH ₂) ₃ CH ₂ -		-CH ₂ (CH ₂) ₃ CH ₂ -		-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂	CH ₂ (CH ₂)3CH ₂ -	
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R ^{4A}	· -	5 2	5 2	5 2	5 2	5 0	5	5 2	5 0	Ö	Ö	Ö	ਹ	ច	ਹ	io S	ರ	ਹ	Ö	Ö	రె	อ	ರ	ਹ	ਠ	ਹ	ū	ਹ	ਠ	ਠ	ರ	ర	5	ö	ರ	ō	
R³A	1.2.4-triazol-1-vl	1 2 4-triazol-1-vl	1.2 4-triazol-1-vi	1.2.4-triazol-1-vl	1.2.4-triazol-1-vl	1,2,4-triazol-1-vi	-1.2.4-triazol-1-vl	1,2,4-triazol-1-vl	1,2,4-triazol-1-vi	1,2,4-triazol-1-vi	;	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl·	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2;4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-trlazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazoi-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	5.
R ^{2A}	-CH ₂ (CH ₂) ₃ CH ₂ -		-CH ₂ (CH ₂),CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	<u> </u>	-CH ₂ (CH ₂) ₃ CH ₂	CH ₂ (CH ₂) ₃ CH ₂	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	+CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	-CH ₂ (CH ₂) ₃ CH ₂ -	
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^A O.		2-Br-Ph	2-1-Ph	2-CH ₃ -Ph	2-CF ₃ -Ph	2-CN-Ph	2-OCH ₃ -Ph	2-NO ₂ -Ph	FINES-Ph	a deligence of	The state of the s		3-Br-Ph	3-l-Ph		TAN SECESTON	3-GN-Ph	3-OCH ₃ -Ph	3-OCF3-Ph	13-INO2-Ph	H. GSINHS-Ph			STATION STATE	HA-B-F	4.CH3.Ph	4-C(CH ₃) ₃ -Ph	A-CE3-Ph	AGN-Ph			4.NOPh	44.NH2-Bh			2-©16-F-Ph	
Сопр. No.	1-854	1-855	1-856	1-857	1-858	1-859	1-860	1-861	1-862	1-863	1-864	1-865	1-866	1-867	1-868	-869	1-870	1-871	1-872	1-873	1-874	1-875	1-876	1-877.	1-878	1-879	1-880	1-88-1	1-882	1-883	1-884	1-885	1-888	1-887	889	1-889	-

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	2			1,2,4-triazol-1-yl	ប	Ι.	Ι
	z		-CH2(CH2)3CH2-	1,2,4-triazol-1-yl	ច	±	Ξ
	z		-CH2(CH2)3CH2-	1,2,4-triazol-1-yl	ប	I	I
	z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl	ច	I	I
	Z		CH ₂	1,2,4-triazol-1-yl	ਹ	I	I
	N		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl-	ਹ	=	
	Z	\$100 pt 11	-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl	ਹ	1	:[=
12,3,6,(F) ₃ -Ph	Z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-vi	ਹ		=
2,4,6,(F) ₃ -Ph	z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2;4-triazol-1-vl	Ö	1	1
h	z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-vl	ō	1	= =
2;3;4;5;6-(F)5-Ph	z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-vl	ਹ	<u> </u>	L
	Z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yi	Ö	. 1	. I
6.Clfpyridin-2-yl	Z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl	ਹ	I	Ξ
3-CI-5-CE3-pyridin-2-yl	Z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl	ប	I	I
• 1	Z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl	Ö	I	I
6-CifeVildin-3-yl	N		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl	ਹ	I	Ι
	Z		-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-yl	ਹ	T	I
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1 1	z	A Comment of the Comm	-CH ₂ (CH ₂) ₃ CH ₂ -	1,2,4-triazol-1-vl	ਂਹ	Ξ. ;	3
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EPIN	Z	to the second of	***-CH2(CH2)3CH2-	1,2,4-triazol-1-yl	#	Η	H .
PH.	N	Section 18 Section 1	CH ₂ (CH ₂) ₃ CH ₂	1,2,3-triazol-1-yl	<u>5</u>	エ	Ŧ
Same of the same	N	and the second	CH ₂ (CH ₂) ₃ CH ₂ -	1,2,5-trlazol-1-yl	ວ	I	Ι
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Eh	N.	nich and and	-CH ₂ (CH ₂) ₃ CH ₂ -	tetrazol-2-yl	ت. د د د	I	Ξ
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			Q^{A} R^{AA} N R^{2A} N R^{8A}		.· 1		
			R ^{4A} N R ^{3A}				
Comp.	√Ö	M	R ^{1A} R ^{2A}	R ^{3A}	R ^{4A} .	R ^{5A}	R g
1-914	ud	z	-(CH ₂) ₄ -CH(CH ₃)-	I	ច	T	I
1-915	h Ph	z	-(CH ₂) ₄ -CH(CF ₃)-	Η.	Cl.	I	I
1-916	Hd. ∑∴	z	-(CH ₂) ₄ -CH(CH ₂ CH ₃)-	Η	CI	Н	I
1-917	ųd .≟.	Z	-(CH ₂) ₄ -CH(CH ₂ CH ₂ CH ₃)-	=	Ci	н	H
1-918	He was been	N	-(CH ₂) ₄ -CH(CH ₂ OH)-	Τ	CI	Н	エ
1-919	8003	Z	-(CH ₂) ₄ -CH(CH ₂ CH ₂ OH)-	工	CI	Н	エ
1-920	4d	Z	-(CH ₂)₄-CH(COOH)-	I	Cl	Н	エ
1-921	HA Sign	z	-(CH ₂)₄-CH(COOCH₃)-	Τ	CI	H	I
1-922	* C styrkeimere	Z	-(CH ₂)₄-CH(COOCH ₂ CH₃)-	Ξ	CI	Ι	エ
1-923	, Ph	z	-(CH ₂) ₄ -CH(=O)-	н	CI	. Н	I
1-924	****	z	-(CH ₂) ₃ -CH(CH ₃)-CH ₂ -	H	ប	Ŧ	エ
1-925	Hd	z	-(CH ₂) ₃ -C(CH ₃)CH ₃ -CH ₂ -	I	ວ	Ŧ	エ
1-926	tale the story and the second	Z	-(CH ₂) ₃ -CH(CH ₂ OH)-CH ₂ -	Τ	Ö	ェ	エ
1-927	Ph.	z	-(CH ₂) ₃ -CH(COOH)-CH ₂ -	Ŧ	CI	Ή	I
1-928	· · · · · · · · · · · · · · · · · · ·	z	-(CH ₂) ₃ -CH(COOCH ₂ CH ₃)-CH ₂ -	Τ	. CI	H	エ
1-929	" man confirmation"	z	-(CH ₂) ₃ -CH(F)-CH ₂ -	π	ਹ	I	피
1-930	- wheeling and a second	z	-(CH ₂) ₃ -CH(OH)-CH ₂ -	Н	Ö	I	工
1-931	ud	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	ত	Ŧ	I
1-932	h Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н	Ö	CH3	피
1-933	4d Francisco	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	т	Ö	CH ₂ CH ₃	ᅴ
1-934	m. meletin in Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ŧ	ರ	CH(CH ₃)CH ₃	피
1-935	HÀ : might firm and I	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Η.	ច	뫈	피
1-936	-	z	-(CH ₂) ₂ -CH(CF ₃)-(CH ₂) ₂ -	Η.	ບ [:]	I	피
1-937	, See Ph	Z	-(CH ₂) ₂ -CH(CF ₃)-C(CONH ₂)=CH-	Η.	ΞίΟ	I	ᄑ

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J. Shirts						
Comp. QA	W	RA	R³A	R ^{4A}	R ^{5A}	ReA
	Ň	CH2)2-CH(@FH3)-(CH2)2-		ō	I	Ξ
1-939 Ph	Z	-(CH ₂)2-CH(CH ₂ CH ₃)-(CH ₂)2-	1	ਹ	I	エ
1-940	N	-(CH ₂) ₂ +CH(CH ₂ OH)-(CH ₂) ₂ -	Ι	ਠ	I	ェ
1-941	Z	-(CH ₂) ₂ -CH(CH ₂ CH ₂ OH)-(CH ₂) ₂ -	Н	ਠ	I	Ŧ
1-942 France - Ph	Z	-(CH ₂) ₂ -CH(COOH)-(CH ₂) ₂ -	I	ਠ	I	ェ
1-9433 Ph	Z	-(CH ₂) ₂ -CH(COOCH ₂ CH ₃)-(CH ₂) ₂ -	T	ਠ	I	Ŧ
1-944	N	-(CH ₂) ₂ -CH(Ph)-(CH ₂) ₂ -	I	ਠ	т	I
1-945 Ph	Z	-(CH ₂) ₂ -CH(CH ₂ Ph)-(CH ₂) ₂ -	·	ਹ	I	エ
1-946(F. F. Ph	- N :-	(CH ₂) ₂ -CH(F)-(CH ₂) ₂ -	Τ	ਹ	I	エ
1-947/	N	(CH ₂) ₂ -CE ₂ -(CH ₂) ₂ -	.	ਹ	Ξ	I
1-948 Ph	V	-(CH ₂) ₂ -CH(Br)-(CH ₂) ₂ -	. Т	ರ	I	Ξ
	Ν	-(CH ₂) ₂ -CH(=O)-(CH ₂) ₂ -	н	. 5	I	工
1-950 Ph	- N	-(CH ₂) ₂ -CH(OH)-(CH ₂) ₂ -	I	ರ	I	I
1-95個 Ph	Ν	CH(CH ₃)-(CH ₂) ₃ -CH(CH ₃)-	Ι	ਠ	I	I
1-952; 3;5-(F) ₂ -Ph	Z	-cH(CH ₂)-(CH ₂) ₃ -CH(CH ₃)-	1	ប	I	I
1-953) (continuous properties Ph	Z	-CH ₂ -CH(CH ₃)-CH ₂ -CH(CH ₃)-CH ₂ -	3 E	Ö	Ŧ	T
1-954	N	-CH(CH3)-CH2-CH(CH3)-CH2-CH(CH3)-	Н	CI	Ι	т
1-955/	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	⊒ ⊑	. IO	Н	I
AND THE RESERVE OF THE PARTY OF	N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	CI	н	I
1-957	N.	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H,	, IO	н	I
1-958 2-CI-Ph	∴N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	CI	I	x
1-959 2-Br-Ph	. N	$-(CH_2)_2$ -CH(CH ₃)- $(CH_2)_2$ -	H	CI	Н	Ŧ
1-960 2-1-Ph	N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н	CI	Н	x
1-961 2-CH ₃ -Ph	N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H.	Ö	Н	H
1-962 \$2°CF ₃ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	CI	I	H
1-963 2+CN-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	CI	Н	エ
1-964 (2-OCH ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ι	Ö	Н .	I
1-965 5-02-NO ₂ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	T	Cl	I	I
1-966	z	(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Τ.	C	Н	I
1-967 - 2-Ph-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	. IO	Ι	ェ
1-968	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ŧ	.CI	I	İ
で、日本教会が、これでは						

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۵ ^A	*	R ^{1A} R ^{2A}	R³A	R ^{4A}	R ^{6A}	A [®] A
(文字: 3-CI-Ph	: Z :	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	I	·	 - 	. =
3-Br-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Σ	O	: I	: =
3-I-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	_	ō	I	: =
3-CH ₃ -Ph	z	-{CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ι	ਹ	T	=
A TOPEN 3-CF3-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -		ਹ	I	┆
- 3-CN-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ξ	ō	I	I
3-OCH3-Ph	Z	+(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -		. 10	I	=
	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ŧ	: D	E	: =
3-NO ₂ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	I) IO	I	=
3-NH2-Ph	 V	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Τ	Ö	王	ェ
- 114-13-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н	O	I	F
**************************************	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ξ	Ö	I	ェ
√24-CI-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ŧ	Ö	I	T
4-Br-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	I	Ö	I	┰
4-CH3-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н	IJ	I	ェ
4-C(CH ₃) ₃ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -		ច	I	ェ
€4-CF ₃ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	1	CI	I	ェ
4;CN-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н	CI	I	Ŧ
4-0CH ₃ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ή	IJ	工	ェ
∴ ##OGF3-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н		I	I
AAANO2-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н.	ō	I	ェ
04-NH ₂ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ŧ	10	I	Ŧ
- 14-Ph-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н	Ю	エ	ェ
2:01-4-F-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	Ö	I	I
2;Ole-F-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	IJ	Н	ェ
∴2,3-(F)₂-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H	IJ	I	工
2;4-(F) ₂ -Ph	z	2	H	IJ	I	ェ
∜2,5-(F)₂-Ph	Z	1 ₂) ₂ -CH(CH ₃)	Н	CI	エ	T
2,6-(F)2-Ph	Z	구	Τ	CI	I	I
314-(F)2-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	T	. IO	I	Ξ
3/5-(F) ₂ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	I.	. ·	I	T

Comp. No.	W	R.W.	R ^{3A}	R ^{4A}	R ^{5A}	R ^{6A}
	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	The second of the second of	ਠ	I	T
	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ξ	IJ	I	Ŧ
2.	Z	-(CH ₂) ₂ -GH(GH ₃)-(CH ₂) ₂ -	Ξ.	ວ	I	ェ
4	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	. H	ਠ	Ξ	Ī
2	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	I	ਹ	L	LL
1-1005 pyridin-2-yl	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	T	ਹ	I	I
1-1006 6.Cl-pyridin-2-yl	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -		Ö	I	I
1-1007 3-CL5-CF ₃ -pyridin-2-yl	N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	T	Ö	I	1
	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	=	ਹ	T	T
1-1009 6-0 pyridin-3-yl	Ż	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	T	ಶ	I	I
1-10/10 pyridin-4-yl	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	=	ਠ	I	r
1-10171 S	Z	-(CH2)2-CH(CH3)-(CH2)2-	.	Ö	I	工
1-1012	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-	ō	Ξ	T
OHN	V.,			. 40 - 1		_
-10/13 大学学 Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Н.	- ; I	I	I
1-1014	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H.	u.	I	I
1-10/15	Z	-(CH ₂) ₂ -CH(CH ₃) ₇ (CH ₂) ₂ -	Η	CH³	I	T
1-1016 Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	1 E	CF ₃	H	I
1-1017	Ż.	-(CH ₂) ₂ -CH(GH ₃)-(CH ₂) ₂ -	H	OCH3	I	Ŧ
I-1018	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	T	SCH3	Ι	F
-1019	Z	-(CH ₂)2-CH(CH ₃)-(CH ₂)2-	Ξ	SO ₂ CH ₃	I	Ŧ
-1020	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	I	CN	Τ	I
1-1021	Z ;	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Ι	# //	Ξ	I

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Comp. No. No.	W	. R ^{1A}	R ^{3A}	. R ^{4A}	R ^{5A}	R ⁸ A
1-1022	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	Τ	, fo	· エ	I
1-1023	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	CH3	ರ	ェ	I
1-1024	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	CF3	బ	T	Ξ
1-1025 min Ph	z	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	C(CH ₃) ₃	G	Ξ	I
1-1026 · ********** Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	cyclopropyl	: IO	Ŧ	I
1-1027	Z	CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	OCH ₃	ElO	エ	I
1-1028	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	O(CH ₂) ₃ CH ₃	CI	I	Τ
1-1029	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	ON=C(CH ₃) ₂	CI	x	T
1-1030 Ph	:- N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	SCH3	CI	x	π
1-1031	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	SO ₂ CH ₃	CI	I	Н
1-1032 · · · · · · · · Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	NHPh :	CI	エ	Τ
1-1033 Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	NH(CH ₃)NH ₂	CI	Ξ	Н
1-1034 Ph	Z	(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	N(CH ₃)N=C(CH ₃) ₂	CI	Ι	I
1-1035 ::	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	N(CH ₃)N=(Ph)CH ₃	CI	Ŧ	Η
1-1036 Ph	Ν	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	CN	O	I	Ŧ
1-1037 = 1-1037	Z	(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	. C(=NOH)NH ₂	ច	I	エ
1-1038	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	C(=NOCH ₃)NH ₂	ا ت	ĸ	ェ
1-1039	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	C(CH ₃)=NOCH ₂ CH ₃	D.	エ	エ
	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	C(CH ₃)=NOCH ₂ CH=CHCI	ច	I	I
1-1041 Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	C(CH ₃)=NOCH ₂ CH ₂ OCH ₃	Ю.	Τ	エ
1-1042 Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	. CH3	енэо	エ	エ
1-1043	Ż.	-(CH ₂)-(CH ₃)-(CH ₂)-	500	ō	T	I
1-1044	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	, CH ₃	ō	I	Ι
1-1045 Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	Cl.	エ	Ŧ
1-1046 - 1-Naph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ប	エ	エ

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Comp. No:	Φ	W	R ¹⁴	Ray	R4A	. D ^{5A}	D6A
1-1047	2-Naph	N) =	lv-2-vl	2	=	ء
1-1048	2-F-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₃) ₂ -		3 2	I.	r :
1-1049	2-CI-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	nvridin-2-vi	5 5	E =	Į:
1-1050	2-Br-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₉) ₂ -	Dyridin-2-yi	5 6	E E	Ξ:
1-1051	(1.2-1-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₃) ₂ -	pyridin-2-yl	5 5	I =	r
1-1052	2-CH ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pvridin-2-vl	5 2		
1-1053	2-CF ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-vl	5 2	E 2	
1-1054	2-CN-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	nvridin-2-vi	5 2	E .	
1-1055		Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-vi	5 0	E 3	
1-1056	2-NO ₂ -Ph	N ·	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-vl	5 0	= =	
		N	-(CH ₂) ₂ -CH(CH ₃) ₋ (CH ₂) ₂ -	pyridin-2-yl	ō		= =
	2-Ph-Ph	Z		pyridin-2-yl	ਹ	I	1
	3-F-Ph	Ż	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yi	ਹ	I	=
_	3-Cl-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	Ö	<u> </u>	
1-1061	3 - 1	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ਹ	I	
1-1062) I	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ਹ	I	T
<i>i</i> .	3-CH3-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ū	I	Ŧ
- 1	3.CF ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	 ত	I	I
1-1065	3-CN-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl		I	Ŧ
	3-OCH3-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ਹ	I	E
	3-OCF ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ਹ	I	I
1-1068	- NO2-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ច	I	エ
1-1069		z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ਠ	I	I
1-1070	3-Ph-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ច	I	I
1-1071	4-F-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ਠ	I	I
1-1072	1	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ច	I	ェ
		Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	రె	I	I
1-1074		Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ប	I	Ŧ
1-1075	4	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	రె	I	Ŧ
1-1076	4-CF ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyridin-2-yl	ō	I	I
1-1077	4-CN-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	. pyridin-2-yl	Ö	I	I
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R ^{5A}	н	. н	Ή	エ	I	Н	エ	エ	т	エ	I	I	エ	I	I	II.	I	ட	I	I	T	エ	I	I	I	 I
R4*A	CI	Ö	CI	ပ	Ö	O	ට	 :	5	ರ	ਹ	: CI	IJ	Ö	Cl	ె	Ö	Ö	ច	Ö	Ö	ರ	ਹ	ರ	ਹ	 ਹ ਹ
R ³ Å	pyridin-2-yl	pyrldin-2-yl	pyridin-2-yl																							
R ^{1A} R ^{2A}	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -
>	ŝ	z	z	z	z	Z	Z	z	z	Ž	z	z	z	Z	z	z	z	z	z	z	z	Z	Z	z	Z	Z
Comp.	1-1078 - 4-0CH ₃ -Ph	1-1079 7-7-7-4-OCF ₃ -Ph	1-1080 4-NO ₂ -Ph	1-1081 4-NH ₂ -Ph	1-1082 4-Ph-Ph	1-1083 2-CI-4-F-Ph				-	<u>\$</u>								pvridin-2		က			1-1101: pyridin-4-yl	1-1102 CI	1-1103

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R ^{4A}	ı	= 2	5 2	5 0	5 6	5 6	ວ	ರ	Ö	ច		ō		ਹ	Ö	ō	Ö	CI	Ö	<u>ာ</u>	Ö	ច	IJ	CI	Ö	ច	i)
R ³⁴	pvridin-2-vl	pvridin-2-vl	3-CH ₂ -pvridin-2-vi	4-CH ₂ -nvridin-2-vl	6-CH-nvridin-2-vl	L'A sipland	pyridin-5-yi	pyridin-4-yl	2,6-(Cl) ₂ -pyridin-4-yl						pyrimidin-2-yl	pyridazin-3-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl
R ^{1A} .	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂),-CH(CF ₃)-	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH;),-CH(CH;),(CH;);		(Cn2)2-Cn(Cn3)-(Cn2)2-	-(CH2)2-CH(CH3)-(CH2)2-	-(GH ₂)2-CH(CH ₃)2(CH ₂)2-	これの人は一般を対している。	-(CH ₂) ₂ -CH(OH ₃)-(CH ₂) ₂ -	The second secon	(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃) ² (CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃) ² (CH ₂) ₂ -	-(CH ₂) ₂ -CH(GH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -GH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -
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		では、			1-1:108 100 10	1-1109 2 Ph	1-11MO			1-1112 E	The second secon	1-1113	1000年の日本の日本の日本の日本の日本の日本の日本の日本の日本の日本の日本の日本の日本の	1-114	1-17(15				-1-1-19 CANADA		1-1121 SECI-PR			A Company		a sapan	1-1127 Z-OCH3-Ph

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1975年 19		R ⁵ A	I	I	I	1	I	I	I	I	Ι	I	Ι	I	I	I	T	Ι	Ŧ	I	I	I	I	I	I	エ	H	I	Н	工	I	I	I	
A-C A		R ^{4A}	ਹ	Ö	ō	ਹ	ō	ਠ	Ö	, D	ū	ਠ	ਠ	Ö	D	IJ	Ö	ច	<u>5</u>	ច	IJ	Ö	ਠ	ਠ	ប	Ö	CI	Ö	CI	IJ	Ö	ਹ	Ю.	
2-CH3-Bh		R3A.	pyrazin-2-yl	pyrazin-2-yi	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yl	pyrazin-2-yi	pyrazin-2-yl	pyrązin-2-yl	pyrazin-2-yl																
3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N 3-CH3-Ph N N N N N N N N N N N N N N N N N N N				(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -		-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	
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10000000000000000000000000000000000000	ŀ	::::-b	20	; . -		3-		-2											:) 	. 4	7	wgr.		_1, ²		****						The state of the s
来说,未被我们就一点,他们还有好的就是这种数据的,这就是不是,这种数据,这些数据的,但我们也没有的,这一样的这样的数据的数据的数据,可以是这样的,还是这样的,这		Comp.	4,428	17,17,29	FT-1130	1-1131	1,1132	1-1133	11:4134	1-1135	1-1136	11137	11-1138	1-1139	1-1140	1-1141	1-1142	11143	11-1144	1-1145	1-1146	1-1147	1-1-148	1-1149	1-1150	1-1151	1-1152	1-1153	1-1154	1-1155	1-1156	1-1157	1-1158	

-Comp. A Control of the control of t	. W.	R16 (4) A STATE OF ST	AS A Section of the s	R ^{4A}	R ^{5A}	R ^{6A}
***	Z	-(CH ₂)}-OH(OH3)}-(CH3)}-	pyrazin-2-yl	ਹ	I	I
	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	Ö	I	I
	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₃) ₂ -	pyrazin-2-yi	ō	I	I
22	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ਹ	I	I
-2.2	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ਠ	Ι	T
4-1164 2,3:6f(F)3-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ō	I	T
	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ō	I	T
451166 1.2,3,4,5,6-(F),-Ph	Z		pyrazin-2-yl	ਠ	I	I
4-1/167 2:3,4,5 6 (F) - Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ō	ட	L
7-1168 pyridin2-yl	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ō	I	I
	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₃) ₂ -	pyrazin-2-yl	.IO	I	F
1-1170 3-CI-5-CE3 pyridin-2-yl	-yl	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	Ö	I	Ξ
14-14.71 4 Per pyridin 3-yl	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ਠ	T	I
新-1172 - 基本6-CI-pyiidin-3-yl	N	-(CH ₂) ₂ -СH(СH ₃)-(СH ₂) ₂ -	pyrazin-2-yl	ਹ	I	Ŧ
1-1173 - pyridin 4-yl	Z	-(CH ₃)-(CH ₃)-(CH ₂) ² -	pyrazin-2-yl	ರ	I	I
	,	The second of th				
1-1-174 S	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ত	x	I
O		ないでは、大きなないできないできない。		ąu		~
					-	
1-1/75	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	ਠ	エ	I
0	! -					
11-1176 F	N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazin-2-yl	Ι	I	I
11-11-17 THE SHIP	N	D)-	pyrazol-1-yl	ច	I	I
1-1178 1-Naph	N	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	Ö	I	I
	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	IJ	н	H
**	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	Ö	н	エ
50.3 10.3	Z	CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	ت د	Ŧ	エ
1-1182	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	ت ت	н	ェ
1-1183 FE	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	Ö	Н	I
1-1184 2-CH3-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	ರ	Τ.	H
		the contract of the second second second second second second second second second second second second second				

Comp	QA ^{fi}	M	R ^{1A} . R ^{2A}	R ³⁴	R ⁴ A	R ^{6A}	R ⁶ A
1,1185	∵2-CF3-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-ýl	ū	I	エ
1-1186	: 2-CN-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	ō	I	Ŧ
1-1-1-1-1	2-OCH3-Ph	z	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	pyrazol-1-yl	ರ	I	I
1-1488	∙2-NO₂-Ph	z	$-(CH_2)_2-CH(CH_3)-(CH_2)_2-$	pyrazol-1-yi	ō	I	T
4-1189	2-NH ₂ -Ph	z	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	pyrazol-1-yl	<u>5</u>	I	I
1-1190	2-Ph-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	, O	I	I
11-1191	3-F-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl		Ι	I
11-1192	3-CI-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	ਹ	I	r
1-1193	3-Br-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	రె	I	I
1-1194	3-I-Ph	N	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	pyrazol-1-yl	Ö	I	I
1-1195	3-CH ₃ -Ph	Z	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	pyrazoi-1-yi	อ	工	エ
1-1196	3-CF ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yi	อ	I	I
1-1197	3-CN-Ph	Z	(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	Ö	I	I
.1-1198	3-0CH ₃ -Ph'	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	ಠ	I	r
.1-1199	3-OCF ₃ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yi	CI	Н	Н
1-1200	183-NO₂-Phiteles	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	CI	Ι	I
1-1201	13-NH ₂ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazoi-1-yl	Ö	エ	エ
1-1202	1. 3-Ph-Ph	Z	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	pyrazol-1-yl	ច	I	I
1-1203	} 4-F-Ph".	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	CI	I	エ
1-1204	114-CI-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-ył	CI	I	I
1-1205	14-Br-Ph.#	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	Cl	Н	Τ
1-1206	4-CH ₃ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yi	ວ	エ	ェ
1-1207	4+C(CH ₃) ₃ -Ph	Z	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	pyrazol-1-yi	రె	I	I
1-1208	2 4-CF ₃ -Ph	z	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	pyrazoi-1-yi	ರ	I	I
1-1209	4-CN-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	CI	H	Ι
1-1210	4-OCH ₃ -Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	CI	Η	I
1-1211	4-OCF3-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	Ö	エ	エ
1-1212	1: 4-NO ₂ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yi	IS	Ι.	エ
1-1213	4-NH ₂ -Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	IS	T	I
1-1214	1: 4-Ph-Ph	z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	 Ö	I	ェ
1-1215	2-CI-4-F-Ph	Z	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	pyrazol-1-yl	ច	Ι	I
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R ^{6A}	I	エ	工	I	I	포	エ	I	王	I	エ	ᄔ	Ξ	エ	I	H	I	エ	Н	Ξ.	エ	エ	H	エ	_	
R ^{5A}	I	Ŧ	I	I	I	I	I	I	T	I	. Н	ш	I	I	H	Н	Н	Н	Έ	Ι	I	н	I	Н	I	I
R ^{4A}	Ö	CI	ਠ	ਠ	ਹ	ਠ	ਠ	ਠ	С	Ö	CI	CI	Ö	ច	CI	CI	, CI,	Cl [‡]	Ö	Ö	I	CI	Ö	CI	రె	Ö
. A3 €	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yl	pyrazol-1-yi	pyrazol-1-yl	pyrazol-1-yi	3-CH ₃ -pyrazol-1-yl	3,5-(CH ₃) ₂ -pyrazol-1-yl	3-CF ₃ -pyrazol-1-yl	3,5-(CF ₃) ₂ -pyrazol-1-yl	4-Br-pyrazol-1-yl
R ^{1A} R ^{2A}	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂)-(CH ₃)-(CH ₂)-	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	$-(CH_2)_2$ -CH (CH_3) - $(CH_2)_2$ -
3	z	z	z	Ż	z	z	z	z	Z	z	Z	Z	Z	Z	Ν	N	N	z	z	z ·	z	z	z	z	z	Z
O.	2-CI-6-F-Ph	(2,3-(F) ₂ -Ph	2,4-(F)2-Ph	2,5-(F) ₂ -Ph	2,6-(F) ₂ -Ph	3,4-(F) ₂ -Ph	3,5-(F) ₂ -Bh	2,3,4-(F)3-Ph	2,3,6-(F) ₃ -Ph	2,4,6-(F) ₃ -Ph	2,3,4,5,6-(E) ₅ -Ph	2,3,4,5,6-(F) ₅ -Ph	pyridin-2-yl	6-CI-pyridin-2-yl	3-CI-5-CF ₃ -pyridin-2-yl	pyridin-3-yl	6-Cl-pyridin-3-yl	pyridin-4-yl	S		H Ph	Ph	Ph	The Physical	لا بالمنسواة	yd 💮
Comp	1-1216	1-1247	1-1218	1-1219	1-1220	1-1221	1.1222	(1-1223	11:12:24	1.1225	1-1226	11-1227	1-1228	1-1229	1-1230	1-1231	1-1232	1-1233	1-1234	1-1235	1-1236	1-1237	1-1238	1-1239	1-1240	1-1241

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R ^{6A}	Ξ	T	Ξ	=	I	I	I	I	I	I	Η	Н	Н	Н	Н	Н	Н	Н	н	Ŧ	Н	Н	I	н	Н	Η	I	-
R ^{4A}	ō	Ö	ō	· ·:	Ö	. 13	ō	Ö	CI	CI	ច	ਹ	C	. Cl	Cl	Cl	CI	CI	CI	CI	CI	CI	CI	IJ	CI.	CI		7
R ^{3A}	4-CH ₃ -pyrazol-1-yl	imidazol-1-yl			1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1 2 4-triazol-1-vi															
R ^{1A} R ^{2A}	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₃) ₃ -CH(CH ₃)-(CH ₃) ₂ -	7/7	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	$-(CH_2)_2$ - $CH(CH_3)$ - $(CH_2)_2$ -	$-(CH_2)_2$ -CH(CH ₃)- $(CH_2)_2$ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	- (IC) - (IC) IC - (IC) -
3	z	z	z		z	z	Z	Z	z	z	z	z	z	z	z	z	Z	Z	Z	Z	Z	N	Z	Z	Z	z	z	z
V O	- Sho	Phat			Ph	1-Naph	2-Naph	2-F-Ph.	2-CI-Ph	2-Br-Ph	2-I-Ph	2-CH ₃ -Ph	: 2-CF ₃ -Ph	2-CN-Ph	12-OCH ₃ -Ph	1.2-NO ₂ -Ph	1:2-NH ₂ -Ph	1. 2-Ph-Ph	3-F-Ph	3-CI-Ph	3-Br-Ph	3-I-Ph	13-CH ₃ -Rh	113-CF ₃ -Ph	3-CN-Ph	3-OCH ₃ -Ph	3-OCF ₃ -Ph	AD. ON-S
	1-1242	1-1243	1-1244		1-1245	1-1246	1-1247	1-1248	1-1249	1-1250	1,1251	1-1252	1-1253	1-1254	1-1255	1-1256	1-1257	1-1258	1-1259	1-1260	1-1261	1-1262	1-1263	1-1264	1-1265	1-1266	1-1267	4. 12BB

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R ² CH(CH ₃)-(CH ₃) ² 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2-CH(CH ₃)-(CH ₃) ² 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,2-CH(CH ₃)-(CH ₂) ² 1,2,4-triazol-1-yl 1,2,4-triazol-1-yl 1,2,2-CH(CH ₃)-(CH ₂) ² 1,2,4-triazol-1-yl 1,2,2-triazol-1-yl 1,2,2-triazol-1-yl 1,2,2-CH(CH ₃)-(CH ₂) ² 1,2,4-triazol-1-yl 1,2,2-triazol-1-yl 1,2,2-CH(CH ₃)-(CH ₂) ² 1,2,4-triazol-1-yl 1,2,2-triazol-1-yl 1,2,2-t	ኤ ጀ	I	I	ェ	I	I	I	I	н	Ξ	н	Н	Η	Н	Н	Н	Н	H	Ŧ	I	I	Н	I	I	エ	I	ட	I	Ι	エ	I	-
R ⁻ C 2)2-CH(CH ₃)-(CH ₂)2- 1, 2)2-CH(CH ₃)-(CH ₂)2- 1, 2)2-CH(CH ₃)-(CH ₂)2- 1, 2)2-CH(CH ₃)-(CH ₂)2- 2)2-CH(CH ₃)-(CH ₂)2- 2)2-	ሊ	5	ਠ	ਹ	ច	రె	ਹ	CI	Cl	CI	CI	CI	CI	Cl	CI	CI	Ö	, CI	ຼັ ເວ	; IO	CI	IJ	CI	<u>.</u>	ਹ	ರ	C	CI	CI	CI	ਠ	
R ² 2-CH(CH ₃)-(CH ₂) ² - H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ - H	R	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-třiazol-1-yl	1,2,4-triazol-1-yl 1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl								
	÷	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	:H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	:H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	:H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	:H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	3H ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	
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4-GI-Ph 4-CI-Ph 4-CI-Ph 4-CI-Ph 4-CCH ₃ -Ph 4-CCH ₃ -Ph 4-CCH ₃ -Ph 4-CCH ₃ -Ph 4-CCH ₃ -Ph 4-CCH ₃ -Ph 4-NH ₂ -Ph 4-NH ₂ -Ph 2-CI-4-F-Ph 2-CI-4-F-Ph 2-CI-6-F-Ph 2-GI-6-F-Ph	No.	1-1270	1-1271	1-1272	1-1273	1-1274	1-1275	1-1276	1-1277	1-1278	1-1279	1=1280	1-1281	1-1282	1-1283	1-1284	1-1285	1-1286	1-1287	1-1288	1-1289	11-1290	1-1294	1-1292	1.1293	1-1294	1-1295	1-1296	1-1297	M=1298 3	1-1299	

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R. Marchen and R. Marchen, R.	CH2)2-CH(CH3)7-(CH2)2-	-(CH ₂)2-CH(CH ₃)-(CH ₂)2-	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -		(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -	-(CH ₂) ₂ -CH(CH ₃)-(CH ₂) ₂ -
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1-1442	2.Ph-Ph	z			-CH2CH2-O-CH2CH2-	pyridin-2-yl	ច	I	T
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1-1447	3.CH3-Ph	Z			-CH2CH2-O-CH2CH2-	pyridin-2-yl	ฮ	I	I
1-1448	3-CF3-Ph	z			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yi	ฮ	I	I
1-1449	SON-Ph	z			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	ਹ	I	ェ
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1-1455	4.F.Ph	N	4 - 4 -	10.00	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	ö	I	Ŧ
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1-1460	4.0F3-Ph	N			-CH2CH2-O-CH2CH2-	pyridin-2-yl	ਠ	I	I
1-1461	4.CN-Ph	N			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	Ö	Ŧ	Ŧ
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1463	400F3-Ph	Z	٠		-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	บ	I	I
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1-1466	4-Ph-Ph	Z			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	IO .	H	I
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1-1469	2/3-(F)2-Ph	Z			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yi	IJ	Н	I
1-1470	2'4-(F) ₂ -Ph	Z			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yi	I)	Ι	Ξ
1-1471	2,5-(F) ₂ -Ph	z			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	IJ	Н	I
1-1472	2,6-(F) ₂ -Ph	z			-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	IJ	H	I
1-1473	3,4-(F) ₂ -Ph	z			-CH2CH2-O-CH2CH2-	pyridin-2-yl	IJ	н	I
1-1474	3,5-(F)2-Ph	z			-CH2CH2-O-CH2CH2-	pyridin-2-yl	IO	H	I
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1-1476	;	z	· •CH2CH2•O•CH2CH2•	pyridin-2-yl	S	I	I
1-1477		ż	-CH2CH2-O-CH2CH2-	pyridin-2-yi	ਠ	T	I
1-1478		z	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	ರ	E	I
1-1479	2,3,4,5,6-(F) ₅ -Ph	N	-CH2CH2-O-CH2CH2-	pyridih-2-yl	ਠ	ய	L
1-1480	pyridin-2-yl	z	-CH2CH2-O-CH2CH2-	pyridin-2-yl	ਹ	I	I
1-1481		z	-CH2CH2-O-CH2CH2-	pyridin-2-yl	ō	I	I
1-1482	2-yl	z	-CH2CH2-O-CH2CH2-	pyridin-2-yl	ਹ	I	I
1-1483	-	z	-CH2CH2-O-CH2CH2-	pyridin-2-yl.	ਠ	Ŧ	I
1-1484		z	-CH2CH2-O-CH2CH2-	pyridin-2-yi	ਹ	Ŧ	I
1-1485	pyridin-4-yl	z	CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyridin-2-yl	ਠ	Ŧ	I
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1-1486	>0	z	-CH2CH2-O-CH2CH2-	pyridin-2-yi	ច	I	I
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1-1487		 · ẓ	-CH2CH2-O-CH2CH2-	pyridin-2-yl	ō	I	I
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1-1489	h h	z	-CH2CH2-O-CH2CH2-	3-CH ₃ -pyridin-2-yl	Ö	I	Ŧ
1-1490	- Hd	z	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	4-CH ₃ -pyridin-2-yi	CI	Ŧ	Τ
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1-1494	I home with the state of the st	Z.	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	2,6-(Cl) ₂ -pyridin-4-yi	ਠ	I	I
1-1495	Hd.	Z	-cH ₂ CH ₂ -O-CH ₂ CH ₂ -		ō	I	I
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1-1497	ud.	z	-CH2CH2-O-CH2CH2-		ō	Ξ	I
1-1498	Ph	z	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	pyrimidin-2-yl	CI	Τ	Τ
1-1499	treated by Physical about	z	-CH2CH2-O-CH2CH2-	pyridazin-3-yi	Ö	Ι	I
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R.24	-CH2CH2-O-CH2CH2-	-CH;CH;-O-CH;-CH;-	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-cH ₂ cH ₂ -O-cH ₂ cH ₂ -	-CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	CH2CH2-O-CH2CH2-	CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-cH ₂ cH ₂ -0-cH ₂ cH ₂ -	CH2CH2-O-CH2CH2-	-cH ₂ CH ₂ -0-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-		-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH3CH2-	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	
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N -OhjOhj-OchjOhj- 11244fiazol-1y/l CI H <	3.BPPh	z	-CH2CH2-O-CH2CH2-	1,2,4-triazol-1-yl	ច	Ξ	エ
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N -ChyCh2-Och2Oh2 1.24-triazol-1-yr CI H H N -Ch2Ch2-Och2Oh2 1.2	3-CH3-Ph	z	-CH2CH2-O-CH2CH2-	1,2,4-triazol-1-yl	ច	Ξ	I
N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2.4-thazol-1-yl Cl H H N -ChyCh-O-ChyChy 1.2	3-CE3-Ph	z	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	1,2,4-triazol-1-yl	ច	I	ェ
N - Chych-O-Chyche 1,2,4-thazol-1-yl Cl H	3-CN-Ph	z	-CH2CH2-O-CH2CH2-	1,2,4-triazol-1-yl	ច	I	I
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N -OH-OH-O-OH-OH-OH-OH-OH-OH-OH-OH-OH-OH-O	3-NO2-Rh	z	-CH2CH2-O-OH2CH2-	1,2,4-triazol-1-yi	ច	I	I
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N	4-F-Ehr	z	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	1,2,4-triazol-1-yl	<u>5</u>	Ξ.	エ
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N	2,3-(E)2-Ph	z	-CH2CH2-O-CH2CH2-	1,2,4-triazol-1-yl	ರ	Ŧ	エ
N - CH2CH2CH2- 1,2,4-triazol-1-yl Cl H H	2,4-(E)2-Rh	Z	-CH2CH2-O+CH2CH2-	1,2,4-triazol-1-yl	ວ	Ŧ	エ
	2,5-(E)2-Bh	N	-cH2cH2-0-cH2cH2-	1,2,4-triazol-1-yl	ច	I	エ
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•	R34	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl.	1,2,4-trlazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,4-triazol-1-yl	1,2,4-triazol-1-yl	1,2,4-triazol-1-yi	1,2,3-triazol-1-yi	1,2,5-triazol-1-yl	tetrazol-1-yl	tetrazol-2-yi	pyrazol-2-yl	pyrazol-1-yl
	R ^{1A} R ^{2A}	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	CH ₂ CH ₂ -O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	-CH ² CH ² -O-CH ² CH ² -	-cH ₂ CH ₂ O-CH ₂ CH ₂ -	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH2CH2-O-CH2CH2-	-CH ₂ (CH ₂) ₂ CH ₂ -	-CH ₂ (CH ₂) ₂ CH ₂ -
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	Comp. No.	75	1-1676	1-1677	1-1678	1-1679	1-1680	1-1681	1-1682	1-1683	1-1684	1-1685	1-1686	1-1687	1-1688	1-1689	1-1690	1-1691	1-1692	1-1693

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Comp. No.	2-1 Ph	2-2 Ph	2-3	2-4 2-Naph	2-54 2-F-Ph	2-6 2-CI-Ph	2-7 2-Br-Ph	2-8 2-I-Ph	2-9 2-CH ₃ -Ph	2-10 2-CF ₃ -Ph	2-11 2-CN-Ph	2-12 2-12 2-0CH ₃ -Ph	2-13 2-NO ₂ -Ph	2-14 2-NH ₂ -Ph	2-15 2-Ph-Ph	2-16 Sept. 3-F-Ph

Table 2

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R ^{6A}	I	I	エ	ェ	I	I	I	I	I	ェ	I	I.	I	Н	Н	H	I	H	I	エ	エ	I	エ	エ	エ
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 Comp. No.	2-112	2-113	2-114	2-115	2-116	2-117	2-118	2-119	2-120	2-121	2-122	2-123	2-124	2-125	2-126	2-127	2-128	2-129	2-130	2-131	2-132	2-133	2-134	2-135	2-136

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Comp. No. QA Za Zb Zc Zc Zc R4A R5A R5A R6A R6A <th>in the second supplemental designation of the second secon</th> <th></th> <th></th> <th></th> <th></th> <th></th> <th></th>	in the second supplemental designation of the second secon						
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QA	4-NO ₂ -Ph	4-NH ₂ -Ph	4-Ph-Ph	2-CI-4-F-Ph	2-CI-6-F-Ph	2,3-(F) ₂ -Ph	2,4-(F) ₂ -Ph	2,5-(F) ₂ -Ph	2,6-(F) ₂ -Ph	3,4-(F) ₂ -Ph	3,5-(F) ₂ -Ph	2,3,4-(F) ₃ -Ph	2,3,6-(F) ₃ -Ph	3-50-7-2,4,6-(F) ₃ -Ph	3,51-18-2,3,4,5,6-(F) ₅ -Ph	3-52 - 1 - 2,3,4,5,6-(F) ₅ -Ph	pyridin-2-yl	3-54 - 6-CI-pyridin-2-yl	3-CI-5-CF ₃ -pyridin-2-yl	pyridin-3-yl	6-Cl-pyridin-3-yl	pyridin-4-yl
Comp. No.	3-37	3-38	3-39	3-40	3-41	3-42	3-43	3-44	3-45	3-46	3-47	3-48	3-49	3-50	3-51	3-52	3-53	3-54	3-55	3-56	3-57	3-58

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Q^{A}	S	0 = N = 0	Ph.	Ph	Ph	Ph	ЪР	Ph	Ph	Ph	Ph	Ph	Ph	Ph	Ph	Ph	Ph
Comp. No.	3-59	3-60	3-61	3-62	3-63	3-64	3-65	3-66	3-67	3-68	3-69	3-70	3-71	3-72	3-73	3-74	3-75

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Comp. No.	3-99	3-100	3-101	3-102	3-103	3-104	3-105	3-106	3-107	3-108	3-109	3-110	3-111	3-112	3-113	3-114	3-115	3-116	3-117	3-118	3-119	3-120	3-121

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	2,6-(F) ₂ -Ph	3,4-(F) ₂ -Ph	3,5-(F) ₂ -Ph	2,3,4-(F) ₃ -Ph	2,3,6-(F) ₃ -Ph	2,4,6-(E) ₃ -Ph		2,3,4,5,6-(F) ₅ -Ph	pyridin-2-yl	6-Cl-pyridin-2-yl	3-CI-5-CF ₃ -pyridin-2-y	pyridin-3-yl	6-CI-pyridin-3-yl	pyridin-4-yl)_S	0			0 O	Ph
Comp. No	3-122	3-123	3-124	3-125	3-126	3-127	3-128	3-129	3-730	3-131	3-132	3-133	3-134	3-135	The state of the s	3-136	Special Control of the Control of th		3-137		3-138

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R ^{3A}	O(CH;), CH;	S. NO	ON=C(CH ₃) ₂	NH(CH ₃)NH ₂	N(CH ₃)N=C(CH ₃) ₂	N(CH ₃)N=(Ph)CH ₃	C(=NOH)NH ₂	C(=NOCH ₃)NH ₂	C(CH ₃)=NOCH ₂ CH ₃	C(CH ₃)=NOCH ₂ CH=CHCI	C(CH ₃)=NOCH ₂ CH ₂ OCH ₃	pyridin-2-yl	pyrazin-2-yl	pyrazol-1-yl	1,2,4-triazol-1-yl	T	I	T
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Comp. No.	3-139	3-140	3-141	3-142	3-143	3-144	3-145	3-146	3-147	3-148	3-149	3-150	3-151	3-152	3-153	3-154	3-155	3-156

Table 4

Comp. No.	m.p. (°C) or n D20		1-33	* 2	·	1-68	108∼109℃
1-5	* 1		1-36	87∼90℃		1-69	97∼98℃
1-6	1,6119	÷	1-37	88∼89℃		1-73	119∼120℃
1-11	1,6050	7 m	1-38	1,6388		1-85	86∼89℃
1-12	95∼98℃		1-39	1,5907		1-87	99∼100℃
1-13	1,5639	,	1-40	90∼94℃		1-93	51∼52℃
1-14	1,5914		1-41	83∼85℃	ž.	1-102	51∼54℃
1-15	1,6112		1-42	112~115℃		1-104	1,5935
1-21	1,6140		1-45	106~107℃		1-108	74∼76℃
1-22	1,6150		1-46	123~124°C		1-117	1,6027
1-25	1,5950		1-56	*3		1-121	111~112℃
1-30	144~147℃		1-57	*4	65	1-165	97∼99℃
1-31	165°C		1-61	97∼98℃		1-175	136~138℃
1-32	166~167°C	ではから	1-62-	_137∂_139°C		1-235	98∼99℃

	1-238	128∼130℃
	1-303	169~170℃
	1-304	206~207℃
	1-311	183~184℃
	1-435	158~160℃
	1-506	1,5915
	1-507	73~74℃
	1-522	1,5765
* !	1-523	1,5825
	1-524	1,5850
	1-532	82∼83℃
4 % 4 %	1-543	66∼68℃
	1-550	1,5962
	1-563	97~98°C
	1-564	82~85℃

1-574	52∼54℃
1-575	68~71℃ -
1-579	* 5
1-580	1,6088
1-586	1,5830
1-587	103∼105℃
1-591	82~83℃
1-629	1,5923
1-631	1,5682
1-651	129∼130℃
1-714	156℃
1-715	134∼135℃
1-722 ***********************************	132~134℃ - ***
1-1309	92~93℃

1-1429	153∼155℃
1-1693	149~151℃

- *1: 1H NMR(CDCl3, 300MHz)\delta 1.80-1.85(4H, m), 3.54-3.58(4H, m), 4.278(2H, s), 7.082H, d, J=6.9Hz), 7.21-7.31(3H, m), 8.31, 8.31(1H, s).
- *2: 1H NMR(CDCl3, 300MHz) & 4.34(2H,S), 4.43(4H,S), 5.76(2H,S), 7.07(2H,d), 7.21-7.31(3H,m), 8.34(1H,S).
- 5 *3: 1H NMR(CDCl3,300MHz)δ 1.77-1.85(4H,m), 3.51-3.56(4H,rm), 4.24(2H,s), 6.76-6.93(3H,m), 7.22-7.29(1H,m), 8.30(1H,s).
 - *4: 1H NMR(CDCl3, 300MHz)δ 1.83-1.87(4H,m), 3.52-3.57(4H,πn), 4.24(2H,s), 6.94-7.25(4H,m), 8.32(1H,s).
- *5: 1H NMR(CDCl3, 300MHz)δ 1.59-1.65(6H,m), 3.29-3.31(4H,m), 4.12(2H,s),

 7.29-7.48(4H,m), 8.46(1H,s).

Synthesis Example 7 (Synthesis of an intermediate)

First step

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To a suspension of formamidine acetate (46g, 0.44mol) and ethanol (300ml), 28% methanol solution of sodium methoxide (250g, 1.3 mol) was added under ice cooling and, after stirring the mixture for 1 hour under continuous ice cooling diethyl benzylmalonate (100g, 0.4mol) was added thereto. After stirring the mixture for 2 hours under ice cooling and for 19 hours at room temperature and then refluxed for 4 hours. After finishing the reaction, the precipitation, formed by adding concentrated hydrochloric acid (130g) under ice cooling, was filtered, washed with ethanol and then with diethyl ether, and dried in a desiccator to obtain 5-benzyl-1H-purimidine-4,6-dione (145g) which was used in the next reaction without purification.

Second step

$$\begin{array}{c|c} C \\ \hline \end{array}$$

To 5-benzyl-1H-pyrimidine-4,6-dione (145g), phosphorus oxychloride (300ml) and dichloroethane (200ml) were added and the mixture was refluxed for 3 hours. After finishing the reaction, the solvent and an excess of phosphorus oxychloride were removed under reduced pressure. After adding ice water and dichloromethane to the reaction mixture, the precipitation was removed and the filtrate was extracted with dichloromethane. The dichloromethane layer was dried with anhydrous magnesium sulfate, and filtered with a glass filter, filled with silica gel, by using ethyl acetate. The filtrate was concentrated under reduced pressure and the obtained crude product was dissolved in ethanol, to which ice water was added, and the formed precipitation was filtered, washed with water and then with diethyl ether, and dried in a desiccator to obtain 5-benzyl-4,6-dichloropyrimidine (51.8g)

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mp 91-92°C.

Synthesis Example 8 (Synthesis of an intermediate)

15 First step

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Ethyl 2-pyridylimidate (45g, 0.3 mol) and ammonium chloride (19.3g, 0.36 mol) were suspended in ethanol (150ml) and the mixture was refluxed for 4 hours. After finishing the reaction, the reaction solution was concentrated to about 1/3 of the volume under reduced pressure. The precipitation, formed by adding diethyl ether (100ml) thereto, was filtered, washed with diethyl ether and then with acetone, and dried in a desiccator to obtain 2-amidinopyridine hydrochloride (42.15g).

Second step

To a suspension of 2-amidinopyridine hydrochloride (25g, 0.1mol) and

ethanol, 28% methanol solution of sodium methoxide (60g, 0.31 mol) was added under ice cooling and, after stirring the mixture for 15 minutes under continuous ice cooling, diethyl benzylmalonate (100g, 0.4mol) was added thereto. After stirring the mixture for 1.5 hours under ice cooling and for 1 hour at room temperature, it was refluxed for 4 hours. After finishing the reaction, the precipitation, formed by adding concentrated hydrochloric acid (32g) under ice cooling, was filtered, washed with ethanol and then with diethyl ether, and dried in a desiccator to obtain 5-benzyl-2-pyridin-2-yl-1H-pyrimidine-4,6-dione hydrochloride (38.7g) which was used in the next reaction without purification.

Third step

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The confidence of the second To 5-benzyl-2-pyridin-2-yl-1H-pyrimidine-4,6-dione hydrochloride (38.7g), oxychloride (200ml) was added and the mixture was refluxed for 3 hours. After finishing the reaction, an excess of phosphorus oxychloride was removed under reduced pressure. After adding ice water, and dichloromethane to the reaction mixture, the precipitation was removed and the filtrate was extracted with dichloromethane. The dichloromethane layer was dried with anhydrous magnesium sulfate, and filtered with a glass filter, filled with silica gel, by using ethyl acetate. The filtrate was concentrated under reduced pressure and the obtained product was dried in a desiccator to obtain 5-benzyl-4,6-dichloro-2-pyridin-2-yl-pyrimidine (15.8g) which was used in the next reaction without purification.

mp 96-97°C.

Synthesis Example 9 (Synthesis of an intermediate)

First step

To a suspension of thiourea (25g, 0.1mol) and ethanol (300ml), 28% methanol solution of sodium methoxide (58g, 0.3 mol) and diethyl benzylmalonate (25g, 0.1mol) were added under ice cooling and, after stirring for 1 hour at room temperature, the mixture was refluxed for 4 hours. After finishing the reaction, the precipitation, formed by acidifying the mixture through addition of concentrated hydrochloric acid under ice cooling, was filtered, washed with ethanol and then with diethyl ether, and dried in a desiccator to obtain 5-benzyl-2-mercaptopyrimidine-4,6-dione (23g) which was used in the next reaction without purification.

Second step

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To a solution of 5-benzyl-2-mercaptopyrir nidine-4,6-dione (23g, 0.1mol) in methanol (300ml), 28% methanol solution of sodium methoxide (29g, 0.15 mol) was added dropwise under ice cooling. Then methyl iodide (7.5ml, 0.12mol) was added to the mixture, which was stirred at room temperature for 1 hour. After finishing the reaction, the reaction solution was poured into ice water, acidified with hydrochloric acid, and the formed crystals were filtered and dried in a desiccator to obtain 5-benzyl-2-methylthiop yrimidine-4,6-dion (24.8g).

Third step

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To 5-benzyl-2-methylthiopyrimidine-4,6-dione (24.8g), phosphorusoxychloride (200ml) was added and the mixture was refluxed for 3 hours. After finishing the reaction, an excess of phosphorus oxychloride was removed under reduced pressure. After adding ice water and dichloromethane to the reaction mixture, the precipitation was removed and the filtrate was extracted with dichloromethane. The dichloromethane layer was dried with anhydrous magnesium sulfate, and filtered with a glass filter, filled with silica gel, by using ethyl acetate. The filtrate was concentrated under reduced pressure and the obtained product was dried in a desiccator to obtain 5-benzyl-4,6-dichloro-2-methylthiopyrimidine (20.2g) which was used in further reaction without purification.

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Synthesis Example 10 (Synthesis of an intermediate)

To pyrazinecarbonitrile (11.7g, 0.11mol), 28% methanol solution of sodium methoxicle (2.0g, 10mmol) was added and the mixture was refluxed for 4 hours and, after adding arramonium chloride (6.4g, 0.12mol), for further 6 hours. After finishing the reaction, the precipitation, formed by adding diethyl ether (50ml) to the mixture, was filtered, washed with diethyl ether and then with acetone, and dried in a desiccator to obtain amidinopyrazine hydrochloride (17.2g), which was used in further reaction without purification.

Synthesis Example 11 (Synthesis of an intermediate)

3-Fluorobenzyl bromide (18.9, 0.1mol), diethyl mal onate (120ml, 0.8mol) and potassium carbonate (30g, 0.22mol) were suspended in acetone (60ml) and stirred at room temperature for 10 hours. After finishing the reaction, the precipitation was filtered and washed with acetone. The solvent and an excess of diethyl malonate were removed under reduced pressure and the residue was purified by flush column chromatography (eluent n-hexane: ethyl acetate = 4:1) to obtain diethyl 3-fluorobenzylmalonate (23.6g), which was used in further reaction without purification.

<u>Test Example 1</u>: Test for effect of foliage application against Pyricularia oryzae

Preparation of testing compound

Active compound: 5 parts by weight

5 Organic solvent: Acetone 142.5 parts by weight

Emulsifier: Polyoxyethylene alkyl phenyl ether 7.5 parts by weight

The above-mentioned active compound, acetone and emulsifier were mixed, diluted to a prescribed concentration with water and used for test.

Test method

Paddy rice (variety: KOSHIHIKARI) was cultivated in a plastic pot of 4cm diameter. At its 1.5-2 leaf stage a previously prepared diluted solution of an active compound of the prescribed concentration was sprayed in an amount of 6ml per 3 pots. One day after spraying, a suspension of spores of artificially cultured Pyricularia oryzae was inoculated by spraying (once) and infected in keeping at 25°C and 100% relative humidity. Seven days after the inoculation, the contraction rate per pot was classified and evaluated to obtain the controlling value (%). Phytotoxicity was also studied at the same time. This test is an average of the results of 1 section 3 pots.

Evaluation of contraction rate and calculation method of controlling value are as follows

	Contraction rate	Lesion area ratio (%)
	0	0
20	, 0.5	less than 2
	1	2-less than 5
	2	5-less than 10
	3	10-less than 20
	4	20-less than 40
25	5	more than 40

Controlling value (%) = $(1 - \{\text{contraction rate of treated section} + \text{contraction rate of untreated section}) \times 100$

Test results

Compounds of the compound numbers 1-5, 1-11, 1-14, 1-15, 1-1 6, 1-17, 1-22, 1-33, 1-36, 1-37, 1-45, 1-56, 1-57, 1-68, 1-86, 1-87, 1-102 and 1-238 showed controlling values of more than 80% at the chemical concentration (500 ppm). No phytotoxicity was observed.

5 Test Example 2: Test for effect of foliage application against Sphaerotheca fuliginea

Test method

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Cucumber (variety: SAGAMI HANPAKU) was cultivated in a plastic pot of 4cm diameter. A diluted solution of an active compound of the prescribed concentration, prepared in a similar manner as in the above-mentioned Test Example 1, was sprayed to seedlings reached to cotyledon in an amount of 6ml per 3 pots. One day after the spraying, a suspension of spores, prepared by washing spores of Sphaerotheca fuliginea taken from previously infected cucumber into distilled water, was inoculated to the plant to be treated by spraying (once) and infected in a green house. Seven days after the inoculation, the contraction rate per pot was classified and evaluated to obtain the controlling value (%). Phytotoxicity was also studied at the same time. This test is an average of the results of 1 section 3 pots.

Evaluation of contraction rate and calculation method of controlling value are as follows

	Contraction rate	Lesion area ratio (%)
	0	0
	0.5	less than 2
20	1	2-less than 5
	2	5-less than 10
olew.	3 ⋅ 10 ⋅ 10 ⋅ 10 ⋅ 10 ⋅ 10 ⋅ 10 ⋅ 10 ⋅ 1	10-less than 20
	4 ·	20-less than 40
	5	more than 40

Controlling value (%) = $(1 - \{\text{contraction rate of treated section} \div \text{contraction rate of untreated section}\}) \times 100$

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Test results

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Compounds of the compound numbers 1-5, 1-6, 1-11, 1-14, 1-15, 1-16, 1-17, 1-46, 1-56, 1-57, 1-68, 1-86 and 1-87 showed controlling values of more than 80% at the chemical concentration (500 ppm). No phytotoxicity was observed.

Test Example 3: Test for effect of foliage application against Phytophthora infestans

Test method

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Tomato (variety: REGINA) was cultivated in a plastic pot of 4cm diameter. A cliluted solution of an active compound of the prescribed concentration, prepared in a similar manner as in the above-mentioned Test Example 1, was sprayed to seedlings reached to 2-3 leaf stage in an amount of 6ml per 3 pots. One day after the spraying, a suspension of zoosporangia, prepared by washing zoosporangia of Phytophthora infestans formed on the lesion of the previously infected tomato into distilled water by using a brush, was inoculated to the plant to be treated by spraying (once) and infected in keeping at 20°C and 100% relative humidity. Four days after the inoculation, the contraction rate per pot was classified and evaluated to obtain the controlling value (%). Phytotoxicity was studied at the same time. This test is an average of the results of 1 section 3 pots.

Evaluation of contraction rate and calculation method of controlling value are as follows

." ፣ "	Contraction rate	Lesion area ratio (%)
15	0	0
	0.5	less than 2
	1	2-less than 5
	2	5-less than 10
	3	10-less than 20
20	4	20-less than 40
	5	more than 40

Controlling value (%) = $(1 - \{\text{contraction rate of treated section} \div \text{contraction rate of untreated section}\}) \times 100$

Test results

Compounds of the compound numbers 1-5, 1-165 and 1-238 showed controlling values of more than 80% at the chemical concentration (500 ppm). No phytotoxicity was observed.

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Test Example 4: Test for effect of foliage application against Alternaria mali

Test method

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A nursery stock (variety: OREGON SUPER DELICIOUS) was cultivated in a plastic pot of 30cm diameter and its leaves, which had reached at perfect extension stage, were detached from the petiole, were cultivated under hydroponic condition by using a water-holding carrier. After that, a diluted solution of an active compound of the prescribed concentration, prepared in a similar manner as in the above-mentioned Test Example 1, was sprayed to the leaves in an amount of 6ml per 3 leaves. One day after the spraying, a suspension of spores of artificially cultured Alternaria mali was inoculated to the leaves by spraying (once) and infected by transferring them into a moisturizing box and keeping at 20°C. Four days after the inoculation, the contraction rate per pot was classified and evaluated according to the following standard and the controlling value (%) was obtained. Phytotoxicity was also studied at the same time. This test is an average of the results of 1 section 3 leaves.

Evaluation of contraction rate and caluculation method of controlling value are as follows

15	Contraction r	rate Lesion area ratio (%)	
•	0	0	en en en en en en en en en en en en en e
	0.5	less than 2 2-less than 5	
	2	5-less than 10	a Land Mark Her Contra
20	3	10-less than 20	us the significant of the second second
	4	20-less than 40	and the second of the second of the
, all	,5	more than 40	en en la compagniar de la compagnia de la compagnia de la compagnia de la compagnia de la compagnia de la comp

Controlling value (%) = $(1 - \{\text{contraction rate of treated section} + \text{contraction rate of untreated section}\}) \times 100$

25 Test results

Compounds of the compound numbers 1-5, 1-14, 1-33, 1-36, 1-41, 1-42, 1-46, 1-56, 1-102, 1-121, 1-304, 1-311, 1-435, 1-520 and 1-523 showed controlling values of more than 80% at the chemical concentration (500 ppm). No phytotoxicity was observed:

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Formulation Example 1 (Granule)

To a mixture of the compound of the present invention No. 1-5 (10 parts), beantonite (montmorillonite) (30 parts), talc (58 parts) and ligninsulfonate salt (2 parts), water (25 parts) is added, well kneaded, made into granules of 10-40 mesh by an extrusion granulator and diried at 40-50°C to obtain granules.

Formulation Example 2 (Granules)

Clay mineral particles having particle size distribution in the range of 0.2-2mm

(95 parts) are put in a rotary mixer. While rotating it, the compound of the present invention No. 1-56 (5 parts) are sprayed together with a liquid diluent, wetted uniformly and dried at 40-50°C to obtain granules.

Formulation Example 3 (Emulsifiable concentrate)

The compound of the present invention No. 1-57 (30 parts), xylene (55 parts), polyoxyethylene alkyl phenyl ether (8 parts) and calcium alkylbenzenesulfonate (7 parts) are mixed and starred to obtain an emulsifiable concentrate.

15 Formulation Example 4 (Wettable powder)

The compound of the present invention No. 1-238 (15 parts), a mixture of white carbon (hydrous amorphous silicon oxide fine powder) and powder clay (1:5) (80 parts), sodium alkylbenzenesulfonate (2 parts) and sodium alkylbenzenesulfonate-formalin-conden sate (3 parts) are crushed and mixed to make a wettable powder.

20 <u>Formulation Example 5</u> (Water dispersible granule)

The compound of the present invention No. 1-14 (20 parts), sodium ligninsulfonate (30 parts), bentonite (15 parts) and calcined diatomaceous earth powder (35 parts) are well mixed, add ed with water, extruded with 0.3mm screen and dried to obtain water dispersible granules.

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Claims

1) The use of benzylpyrimidine derivatives represented by the formula (I) for combating undesired microorganisms in agriculture and horticulture,

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wherein

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R¹ and R² form, together with the nitrogen atom to which they are bonded, a 3 to 10-membered heterocyclic group that may be optionally substituted, and may contain further one to three hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_n, besides the nitrogen atom to which R¹ and R² are bonded,

n

 \mathbb{R}^3

 \mathbb{R}^3

represents 0, 1 or 2,

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represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5-10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted with a group selected from the group consisting of halogen, alkyl and haloalkyl, or

25

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represents a group selected from the group consisting of the following groups A-H and J-M

in which

R⁷ represents hydrogen atom, alkyl or haloalkyl, and

R⁸ represents alkyl, phenyl, alkoxy or cyano, or

R⁷ and R⁸ form, together with the carbon atom to which they are bonded, cycloalkylidene,

R represents alkyl, haloalkenyl or benzyl,

represents hydrogen atom or alkyl, and the same

R¹¹ represents alkyl, alkoxyalkyl, dialkylaminoalkyl, phenyl, benzyl or cyano,

R¹² represents alkyl or phenyl,

0 R¹³ represents alkyl or benzyl,

R¹⁴ represents hydrogen atom or alkyl,

R¹⁵ represents hydrogen atom, haloalkyl or phenyl,

R¹⁶ represents hydrogen atom or alkyl,

R¹⁷ represents hydrogen atom, alkyl or haloalkyl,

15 R¹⁸ represents alkyl or phenyl,

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R¹⁹ represents hydrogen atom or alkyl,

 R^{20} represents alkyl,

 R^{21} represents alkyl,

alkoxyalkyl, phenoxyalkyl haloalkenyl, R^{22} alkenyl, represents alkyl, alkoxycarbonylalkyl,

 R^{23} represents alkyl,

represents hydrogen atom or alkyl, R^{24}

 R^{25} represents alkyl or phenyl,

R²⁴ and R²⁵ form, together with the nitrogen atom to which they are bonded, a 5 to 8-membered, saturated, monoheterocyclic group that may be optionally substituted, and may contain one or two further hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O), besides the nitrogen atom to which R²⁴ and R²⁵ are bonded,

represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl or group

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R⁵ and R⁶ each independently represents hydrogen atom, halogen, alkyl, haloalkyl, or phenyl that may be optionally substituted, and and their speak in the first

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represents aryl that may be optionally substituted or a 5 or 6-membered heterocyclic group that contains one hetero atom selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted.

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2) Benzylpyrimidine derivatives represented by the formula

$$\mathbb{R}^{6A}$$
 \mathbb{R}^{4A}
 \mathbb{R}^{4A}
 \mathbb{R}^{3A}
 \mathbb{R}^{3A}
 \mathbb{R}^{4A}
 wherein

R^{1A} and R^{2A} form, together with the nitrogen atom to which they are bonded, a 3 to 10-membered heterocyclic group that may be optionally substituted, and may contain one to three further hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_{mb} besides the nitrogen atom to which R^{1A} and R^{2A} are bonded,

m represents 0, 1 or 2,

represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenylalkyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted with a group selected from the group consisting of halogen, alkyl and haloalkyl, or

R^{3A} represents a group selected from the group consisting of the following groups A-H and J-M

in which

R^{7A} represents hydrogen atom, alkyl or haloalkyl, and

R^{8A} represents alkyl, phenyl, alkoxy or cyano, or

R^{7A} and R^{8A} form, together with the carbon atom to which they are bonded, cycloalkylidene,

R^{9A} represents alkyl, haloalkenyl or benzyl,

R^{10A} represents hydrogen atom or alkyl,

R^{11A} represents alkyl, alkoxyalkyl, dialkylaminoalkyl, phenyl, benzyl or cyano,

10 R^{12A} represents alkyl or phenyl,

R^{13A} represents alkyl or benzyl,

R^{14A} represents hydrogen atom or alkyl,

R^{15A} represents hydrogen atom, haloalkyl or phenyl,

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R^{16A} represents hydrogen atom or alkyl,

15 R^{17A} represents hydrogen atom, alkyl or haloalkyl,

R^{18A} represents alkyl or phenyl,

R^{19A} represents hydrogen atom or alkyl,

R^{20A} represents alkyl,

R^{21A} represents alkyl,

R^{22A} represents alkyl, alkenyl, haloalkenyl, alkoxyalkyl, phenoxyalkyl or alkoxycarbonylalkyl,

R^{23A} represents alkyl,

R^{24A} represents hydrogen atom or alkyl,

R^{25A} represents alkyl or phenyl,

10 R^{24A} and R^{25A} form, together with the nitrogen atom to which they are bonded, a 5 to 8-membered, saturated-monoheterocyclic group that may be optionally substituted, and may contain further one or two hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_n, besides the nitrogen atom to which R^{24A} and R^{25A} are bonded,

15 R^{4A} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl or group

20 R^{5A} and R^{6A} each independently represents hydrogen atom, halogen, alkyl, haloalkyl, or phenyl that may be optionally substituted, and

Q^A represents aryl that may be optionally substituted, a 5 or 6-membered heterocyclic group that contains one hetero atom selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted,

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provided that, the following cases (T-1)-(T-6) are excluded:

(T-1) the case in which group

$$-N$$
 R^{1A} R^{2A}

represents 1-pyrrolyl, 1-imidazolyl, 3-oxopiperidino or 4-oxopiperidino, R^{3A} represents hydrogen atom, and Q^A represents 1-naphthyl or phenyl group that may be optionally substituted by one or two groups selected from the group consisting of chloro, methyl, ethyl and trifluoromethyl,

(T-2) the case in which group

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$$-N$$
 R^{1A}

represents 3-oxopiperidino, 4-oxopiperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino, 4-ethylpiperazino, 4-(2-hydroxyethyl)piperazino or morpholino, R^{3A} represents amino, R^{4A} represents hydrogen atom, and Q^A represents 3-pyridyl or phenyl group that may be optionally substituted by one to three groups selected from the group consisting of fluoro, chloro, bromo, methyl, ethyl, isopropyl, trifluoromethyl, hydroxy, methoxy and 4-chlorobenzyloxy,

(T-3) the case in which group

$$-N$$
 R^{1A} R^{2A}

represents piperidino, 4-hydroxypiperidino, 4-methylpiperazino, morpholino, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl or 6,7-dimethoxy-1-(3,4-dimethoxyben-zyl)-1,2,3,4-tetrahydroisoquinolin-2-yl, R^{3A} represents

chloro, dimethylamino, anilino, 2-(2-hydroxyethoxy)ethylamino, piperidino, 4-hydroxy

R^{4A} represents hydrogen atom, and Q^A represents phenyl group that may be optionally

substituted by one or two groups selected from the group consisting of methyl and methoxy,

(T-4) the case in which group

represents 1-pyrrolidinyl, piperidino, morpholino or 1-pyrrolyl, R^{3A} represents methyl or methoxymethyl, R^{4A} represents chloro, and Q^A represents phenyl or 1-naphthyl, (T-5) the case in which group

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represents 1-azilidinyl, piperidino or morpholino, R^{3A} represents methylthio, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, iso-butoxy or allyloxy,

(T-6) the case in which group

- represents 1-azilidinyl, R^{3A} represents hydrogen atom or amino, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy, ethoxy or allyloxy.
 - 3) Compounds set forth in Claim 2, wherein

R^{1A} and R^{2A} form, together with the nitrogen atom to which they are bonded, a heterocyclic group which is a monovalent group derived from a heterocycle selected from the group consisting of aziridine, azetidine, pyrrolidine, 3-pyrroline, piperidine, perhydroazepine, perhydroazocine, perhydro-1,2-diazepine, perhydro-1,2,5-oxadiazepine, 2-pyrazoline, thiazolidine, perhydroindole, 1,2,3,3a,4,7,7a-hepta-

 R^{3A}

 R^{3A}

perhydroquinoline, 1,2,3,6-tetrahydropyridine, hydroisoindole, quinoline, 1,4,5,6-tetrahydropyridazine, morpholine, thiomorpholine, thiomorpholine, pholine-1,1-dioxide, piperazine, pyrrole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, tetrazole and 1H-indazole and may be optionally substituted by one to three groups selected from the group consisting of fluoro, bromo, C1-4alkyl, hydroxyC₁₋₄alkyl, benzylthio, C_{1-4} alkoxy, C_{1-4} alkylthio, C14haloalkyl, C₁₋₄alkoxy-carbonyl, C₁₋₄haloalkylene, anilinoC₁₋₄alkyl, C₁₋₄alkoxyC₁₋₄alkyl, benzyloxycarbonyl, C_{1-7} haloalkyl-carbonyl, phenyl, benzyl, pyridyl, hydroxy, oxo, cyano, carboxy, carbamoyl, C₁₄alkoxy-carbonylC₁₄alkyl, C1-4alkyl-carbonylamino and C1-4haloalkyl-carbonylamino,

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represents hydrogen, chloro, cyano, hydroxy, amino, azido, C₁₋₆alkyl, C₁₋₆haloalkyl, C₁₋₆alkoxyC₁₋₆alkyl, C₃₋₇cycloalkyl, C₂₋₇alkenyl, C₂₋₇alkynyl, C₁₋₆alkoxy, C₁₋₆haloalkoxy, C₂₋₇alkenyloxy, C₂₋₇haloalkenyloxy, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, phenoxy, benzyloxy, phenyl that may be optionally substituted by one or two groups selected from the group consisting of chloro, C₁₋₆alkyl, C₁₋₆alkoxy and C₁₋₆haloalkyl, phenylC₁₋₄alkyl that may be optionally chloro-substituted, or phenoxyC₁₋₄alkyl that may be optionally chloro-substituted, or

15

represents a heterocyclic group which is a monovalent group derived from a heterocycle selected from the group consisting of pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, thiophene, thiazole, pyridine, quinoline, isoquinoline, pyrazine, pyridazine, pyrimidine, imidazole, pyrazole, tetrazole, 1,2,4-triazole and 2,3-dihydroindole, and may be optionally substituted by a group selected from the group consisting of chloro, bromo, C₁₋₆alkyl and C₁₋₆haloalkyl, or

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R^{3A} represents a group selected from the group consisting of the following groups A-H

in which

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 R^{7A} represents hydrogen atom, C_{1-6} alkyl or C_{1-6} haloalkyl,

R^{8A} represents C₁₋₆alkyl, phenyl, C₁₋₆alkoxy or cyano,

R^{7A} and R^{8A} form, together with the carbon atom to which they are bonded, C₅₋₈cycloalkylidene,

R^{9A} represents C₁₋₆alkyl, C₂₋₇haloalkenyl or benzyl,

R^{10A} represents hydrogen atom or C₁₋₆alkyl,

R^{11A} represents C₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, di(C₁₋₆alkyl)aminoC₁₋₆alkyl, phenyl, benzyl or cyano,

R^{12A} represents C₁₋₆alkyl or phenyl,

R^{13A} represents C₁₋₆alkyl or benzyl,

R^{14A} represents hydrogen atom or C_{1.6}alkyl,

R^{15A} represents hydrogen atom, C₁₋₆haloalkyl or phenyl,

15 R^{16A} represents hydrogen atom or C_{1-6} alkyl,

20

R^{17A} represents hydrogen atom, C₁₋₆alkyl or C₁₋₆haloalkyl,

R^{18A} represents C₁₋₆alkyl or phenyl,

R^{19A} represents hydrogen atom or C₁₋₆alkyl,

R^{20A} represents C₁₋₆alkyl,

R^{21A} represents C₁₋₆alkyl,

 R^{22A} represents C_{1-6} alkyl, C_{2-7} alkenyl, C_{2-7} haloalkenyl, C_{1-6} alkoxy C_{1-6} alkyl, phenoxy C_{1-6} alkyl or C_{1-6} alkoxycarbonyl C_{1-6} alkyl,

R^{23A} represents C₁₋₆alkyl,

R^{24A} represents hydrogen atom or C₁₋₆alkyl,

10 R^{25A} represents C₁₋₆alkyl or phenyl,

R^{24A} and R^{25A} form, together with the nitrogen atom to which they are bonded, a saturated-monoheterocyclic group which is a monovalent group derived from a monoheterocycle selected from the group consisting of pyrrolidine, piperidine, morpholine and piperazine and may be optionally substituted with C₁₋₄alkyl,

represents hydrogen atom, fluoro, chloro, cyano, C₁₋₆alkyl, C₁₋₆haloalkyl, C₂₋₇alkenyl, C₂₋₇alkynyl, C₁₋₆alkoxy, C₁₋₆haloalkoxy, C₁₋₆alkylthio, C₁₋₆haloalkylthio, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl or pyrazolyl that may be optionally C₁₋₆alkyl-substituted or C₁₋₆haloalkyl-substituted,

R^{5A} and R^{6A} each independently represents hydrogen atom, fluoro, C₁₋₄alkyl, C₁₋₄haloalkyl or phenyl, and

Q^A represents naphthyl, phenyl that may be optionally substituted, pyridyl that may be optionally substituted, thienyl that may be optionally substituted, or furyl that may be optionally substituted, wherein substituents to phenyl, pyridyl, thienyl and furyl are one to five groups selected from the group consisting of fluoro, chloro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, cyano, nitro, amino and phenyl,

provided that, the following cases (T-1)-(T-6) are excluded:

(T-1) the case in which group

$$-N(R^{1A}$$

represents 1-pyrrolyl, 1-imidazolyl, 3-oxopiperidino or 4-oxopiperidino, R^{3A} represents hydrogen atom, and Q^A represents 1-naphthyl or phenyl group that may be optionally substituted by one or two groups selected from the group consisting of chloro, methyl, ethyl and trifluoromethyl,

(T-2) the case in which group

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$$-N$$
 R^{1A} R^{2A}

represents 3-oxopiperidino, 4-oxopiperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino, 4-ethylpiperazino, 4-(2-hydroxyethyl)piperazino or morpholino, R^{3A} represents amino, R^{4A} represents hydrogen atom, and Q^A represents 3-pyridyl or phenyl group that may be optionally substituted by one to three groups selected from the group consisting of fluoro, chloro, methyl, ethyl, isopropyl, trifluoromethyl and methoxy,

(T-3) the case in which group

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$$-N(R^{1A})$$

represents piperidino, 4-hydroxypiperidino, 4-methylpiperazino or morpholino, R^{3A} represents chloro, dimethylamino, anilino, piperidino, 4-methylpiperazino or morpholino, R^{4A} represents hydrogen atom, and Q^A represents phenyl group that may be optionally substituted by one or two groups selected from the group consisting of methyl and methoxy.

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(T-4) the case in which group

$$-N$$
 R^{1A} R^{2A}

represents 1-pyrrolidinyl, piperidino, morpholino or 1-pyrrolyl, R^{3A} represents methyl or methoxymethyl, R^{4A} represents chloro, and Q^A represents phenyl or 1-naphthyl,

(T-5) the case in which group

$$-N$$
 $R^{1/2}$

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represents 1-azilidinyl, piperidino or morpholino, R^{3A} represents methylthio, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy or iso-butoxy,

(T-6) the case in which group

$$-N$$
 R^{1A}

represents 1-azilidinyl, R^{3A} represents hydrogen atom or amino, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy or ethoxy.

20 4) Compounds set forth in Claim 2, wherein

R^{1A} and R^{2A} form, together with the nitrogen atom to which they are bonded, a heterocyclic group which is a monovalent group derived from a heterocycle selected from the group consisting of aziridine, azetidine, pyrrolidine, 3-pyrroline, piperidine, perhydroazepine, perhydroazepine, perhydroazepine, perhydroazepine, perhydroazepine, perhydro-1,2,5-oxadiazepine, 2-pyrazoline, thiazolidine, perhydroindole, 1,2,3,3a,4,7,7a-heptahydroisoindole, 1,2,3,6-tetrahydropyridine, perhydroquinoline, perhydroisoquinoline, 1,4,5,6-tetrahydropyridazine, morpholine, thiomorpholine, thiomorpholine, thiomorpholine, 1,2,3-triazole,

1,2,4-triazole, tetrazole and 1H-indazole and may be optionally substituted with 1-3 groups selected from the group consisting of fluoro, bromo, methyl, ethyl, n-propyl, fluoromethyl, trifluoromethyl, 2,2,2-trifluoroethyl, methoxy, methylthio, benzylthio, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, anilinomethyl, methoxycarbonyl, ethoxycarbonyl, dichloromethylene, difluoromethylene, acetyl, trifluoromethylcarbonyl, trichloromethylcarbonyl, benzyloxycarbonyl, 1,1,2,2-tetrafluoroethylcarbonyl, perfluoroethylcarbonyl, perfluoroheptylcarbonyl, cyano, carboxy, 2-pyridyl, hydroxy, oxo, ethox yearbonylmethyl, methylcarbonylamino and trifluoromethylcarbonylamino,

 R^{3A}

 R^{3A}

represents hydrogen, chloro, cyano, hydroxy, amino, azido, methyl, ethyl, iso-propyl, tert-butyl, trifluoromethyl, methoxymethyl, cyclopropyl, allyl, ethynyl, 1-propynyl, methoxy, ethoxy, n-propyloxy, n-butyloxy, 2,2,2-trifluoroethyloxy, allyloxy, 2-methyl-4-pentenyloxy, 3-chloro-4,4,4-trifluoro-2-butenyloxy, methylthio, ethylthio, n- or iso-propylthio, n-, sec- or tert-butylthio, allylthio, 3,3-dichloroallylthio, methylsulfinyl, methylsulfonyl, phenoxy, benzyloxy, phenyl that may be optionally substituted with 1-2 groups selected from the group consisting of chloro, methyl, methoxy and trifluoromethyl, benzyl that may be optionally chloro-substituted, or phenoxymethyl that may be optionally chloro-substituted, or

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represents a heterocyclic group which is a monovalent group derived from a heterocycle selected from the group consisting of pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, thiophene, thiazole, pyridine, quinoline, isoquinoline, pyrazine, pyridazine, pyrimidine, imidazole, pyrazole, tetrazole, 1,2,4-triazole and 2,3-dihydroindole, and may be optionally substituted by a group selected from the group consisting of chloro, bromo, methyl and trifluoromethyl, or

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represents a group selected from the group consisting of the following groups A-H and J-M

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in which

R^{7A} represents hydrogen atom, methyl or trifluoromethyl,

R^{8A} represents methyl, iso- or tert-butyl, neo-pentyl, phenyl, ethoxy or cyano, or

R^{7A} and R^{8A} form, together with the carbon atom to which they are bonded, cyclopentylidene or cyclohexylidene,

R^{9A} represents methyl, 3,3-dichloroallyl or benzyl,

R^{10A} represents hydrogen atom, methyl or ethyl,

R^{11A} represents methyl, ethyl, iso-propyl, methoxyethyl, dimethylaminoethyl, phenyl, benzyl or cyano,

R^{12A} represents methyl or phenyl,

R^{13A} represents methyl or benzyl,

R^{14A} represents hydrogen atom or methyl,

R^{15A} represents hydrogen atom, 2,2,2-trifluoroethyl or phenyl,

15 R^{16A} represents hydrogen atom or methyl,

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- R^{17A} represents hydrogen atom, methyl or trifluoromethyl,
- R^{18A} represents methyl or phenyl,
- R^{19A} represents hydrogen atom or methyl,
- R^{20A} represents methyl, ethyl, n- or iso-propyl,
- $\mathbb{R}^{21\text{\AA}}$ represents methyl or ethyl,
 - \mathbb{R}^{22A} represents methyl, ethyl, n-propyl, n- or tert-butyl, allyl, 2-chloro-2-propenyl, 3-chloro-2-propenyl, 3,3-dichloro-2-propenyl, 2-methoxyethyl, 2-phenoxypropyl or tert-butoxycarbonylmethyl,
 - R^{23A} represents methyl,
- R^{24A} represents hydrogen atom or methyl, 10
 - R^{25A} represents iso-propyl or phenyl,
 - R^{24A} and R^{25A} form, together with the nitrogen atom to which they are bonded, a saturated-monoheterocyclic group which is a monovalent group derived from a monoheterocycle selected from the group consisting of pyrrolidine, piperidine, morpholine and piperazine and may be optionally substituted by methyl,
 - represents hydrogen atom, chloro, cyano, methyl, trifluoromethyl, allyl, ethynyl, 1-propynyl, methoxy, 2,2,2-trifluoroethoxy, methylthio, C₁₋₆haloalkylthio, be that may methylsulfonyl or pyrazolyl methylsulfinyl, methyl-substituted or trifluoromethyl-substituted,
- R^{5A} and R^{6A} each independently represents hydrogen atom, fluoro, methyl, ethyl, 20 iso-propyl, trifluoromethyl or phenyl, and
- QA represents naphthyl, phenyl that may be optionally substituted, pyridyl that may be optionally substituted, thienyl that may be optionally substituted, or furyl that may be optionally substituted, wherein substituents to phenyl, pyridyl, thienyl and furyl are 1 to 5 groups selected from the group consisting of fluoro, chloro, methyl, tert-butyl, trifluoromethyl, methoxy, trifluoromethoxy, cyano, nitro, amino and phenyl,

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provided that, the following cases (T-1)-(T-6) are excluded:

(T-1) the case in which group

$$-N$$
 R^{1A}

represents 1-pyrrolyl, 1-imidazolyl, 3-oxopiperidino or 4-oxopiperidino, R^{3A} represents hydrogen atom, and Q^A represents 1-naphthyl or phenyl group that may be optionally substituted with 1 to 2 groups selected from the group consisting of chloro, methyl and trifluoromethyl,

(T-2) the case in which group

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represents 3-oxopiperidino, 4-oxopiperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino, 4-ethylpiperazino, 4-(2-hydroxyethyl)piperazino or morpholino, R^{3A} represents amino, R^{4A} represents hydrogen atom, and Q^A represents 3-pyridyl or phenyl group that may be optionally substituted by one to three groups selected from the group consisting of fluoro, chloro, methyl, trifluoromethyl and methoxy,

(T-3) the case in which group

$$-N$$
 R^{1A} R^{2A}

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represents piperidino, 4-hydroxypiperidino, 4-methylpiperazino or morpholino, R^{3A} represents chloro, dimethylamino, anilino, piperidino, 4-methylpiperazino or morpholino, R^{4A} represents hydrogen atom, and Q^A represents phenyl group that may be optionally substituted by one or two groups selected from the group consisting of methyl and methoxy,

(T-4) the case in which group

THE REPORT OF THE PROPERTY OF

represents 1-pyrrolidinyl, piperidino, morpholino or 1-pyrrolyl, R^{3A} represents methyl or methoxymethyl, R^{4A} represents chloro, and Q^A represents phenyl or 1-naphthyl,

(T-5) the case in which group

represents 1-azilidinyl, piperidino or morpholino, R^{3A} represents methylthio, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy,

(T-6) the case in which group

represents 1-azilidinyl, R^{3A} represents hydrogen atom or amino, R^{4A} represents chloro, and Q^{A} represents phenyl group substituted by methoxy.

Constitution of the second section of the second

15 5) A process for the preparations of the compounds of the formula (IA)

$$R^{1A}$$
 R^{2A} R^{5A} N R^{6A} N R^{3A} R^{3A} R^{3A} R^{3A}

wherein

R^{1A} and R^{2A} form, together with the nitrogen atom to which they are bonded, a 3 to 10-membered heterocyclic group that may be optionally substituted, and may contain further one to three hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and S(O)_m, besides the nitrogen atom to which R^{1A} and R^{2A} are bonded,

in represents 0, 1 or 2,

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R^{3A} represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkernyl, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenylalkyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted with a group selected from the group consisting of halogen, alkyl and haloalkyl, or

R^{3A} represents a group selected from the group consisting of the following groups A-H and J-M

in which

R^{7A} represents hydrogen atom, alky 1 or haloalkyl, and

R8A represents alkyl, phenyl, alkoxy or cyano,

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or cyclohexylidene,

R^{9A} represents alkyl, haloalkenyl or benzyl,

R^{10A} represents hydrogen atom or alkyl,

R^{11A} represents alkyl, alkoxyalkyl, dialkylaminoalkyl, phenyl, benzyl or cyano,

R^{12A} represents alkyl or phenyl,

R^{13A} represents alkyl or benzyl,

R^{14A} represents hydrogen atom or alkyl,

R^{15A} represents hydrogen atom, haloalkyl or phenyl,

R^{16A} represents hydrogen atom or alkyl,

10 R^{17A} represents hydrogen atom, alkyl or haloalkyl,

R^{18A} represents alkyl or phenyl

R^{19A} represents hydrogen atom or alkyl,

R^{20A} represents alkyl,

R^{21A} represents alkyl,

15 R^{22A} represents alkyl, alkenyl, haloalkenyl, alkoxyalkyl, phenoxyalkyl or alkoxycarbonylalkyl,

R^{23A} represents alkyl,

R^{24A} represents hydrogen atom or alkyl,

R^{25A} represents alkyl or phenyl

R^{24A} and R^{25A} form, together with the nitrogen atom to which they are bonded, a 5 to 8-membered saturated-monoheterocyclic group that may be optionally substituted, and may contain further one or two hetero atoms selected; from the group consisting of nitrogen atom, oxygen atom and S(O)_n, besides the nitrogen atom to which R^{24A} and R^{25A} are bonded,

R^{4A} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl or group

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$$-N_{R^{2A}}^{R^{1A}}$$

R^{5A} and R^{6A} each independently represents hydrogen atom, halogen, alkyl, haloalkyl, or phenyl that may be optionally substituted, and

Q^A represents aryl that may be optionally substituted or a 5 or 6-membered heterocyclic group that contains one hetero atom selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted,

provided that, the following cases (T-1)-(T-6) are excluded:

(T-1) the case in which group

$$-N$$
 R^{1A}

represents 1-indolyl, 1-pyrrolyl, 1-imidazolyl, 3-oxopiperidino or 4-oxopiperidino, R^{3A} represents hydrogen atom, and Q^A represents 1-naphthyl or phenyl group that may be optionally substituted by one or two groups selected from the group consisting of chloro, bromo, methyl, ethyl and trifluoromethyl,

(T-2) the case in which group

$$-N(R^{1A})$$

represents 3-oxopiperidino, 4-oxopiperidino, 4-hydroxypiperidino, 4-carbamoylpiperidino, 4-methylpiperazino, 4-ethylpiperazino, 4-(2-hydroxyethyl)piperazino or morpholino, R^{3A} represents amino, R^{4A} represents hydrogen atom, and Q^A represents 3-pyridyl or phenyl group that may be optionally substituted by one to three groups selected from the group consisting of fluoro, chloro, bromo, methyl, ethyl, isopropyl, trifluoromethyl, hydroxy, methoxy and 4-chlorobenzyloxy,

(T-3) the case in which group

$$-N(R^{1A})$$

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represents piperidino, 4-hydroxypiperidino, 4-methylpiperazino, morpholino, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl or 6,7-dimethoxy-1-(3,4-dimethoxy-benzyl)-1,2,3,4-tetrahydroisoquinolin-2-yl, R^{3A} represents

chloro, dimethylamino, anilino, 2-(2-hydroxyethoxy)ethylamino, piperidino, 4-hydroxy-piperidino, 4-carbamoylpiperidino, 4-methylpiperazino or morpholino,

R^{4A} represents hydrogen atom, and Q^A represents phenyl group that may be optionally substituted by one or two groups selected from the group consisting of methyl and methoxy,

(T-4) the case in which group

$$-N^{R^{1A}}$$

represents 1-pyrrolidinyl, piperidino, morpholino or 1-pyrrolyl, R^{3A} represents

methyl or methoxymethyl, R^{4A} represents chloro, and Q^A represents phenyl or 1-naphthyl,

(T-5) the case in which group

$$-N$$
 R^{1A}

represents 1-azilidinyl, piperidino or morpholino, R^{3A} represents methylthio, R^{4A} represents chloro, and Q^A represents phenyl group substituted by methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, iso-butoxy or allyloxy,

(T-6) the case in which group

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$$-N(R^{1A}$$

represents 1-azilidinyl, R^{3A} represents hydrogen atom or amino, R^{4A} represents chloro, and O^A represents phenyl group substituted by methoxy, ethoxy or allyloxy,

characterized in that

a) In case that R^{3A} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl or alkenyl:

compounds of the formula (II)

Xa represents halogen, preferably chloro or bromo,

represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and

R^{4Aa} represents hydrogen atom, halogen, alkyl, haloalkyl or alkenyl,

Simplifying the page of the legistic

R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

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are reacted with compounds of the formula (III)

$$R^{1A}$$
 R^{2A} M M

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wherein

R^{1A} and R^{2A} have the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder,

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 in case that R^{3A} represents alkylsulfinyl or alkylsulfonyl and R^{4A} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy or group

$$-N$$
 R^{1A}

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R^{3A}

or

represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, phenyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and R^{4A} represents alkylsulfinyl or alkylsulfonyl:

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their process to a rotal court in 1986 of continues.

compounds of the formula (IAb)

$$R^{1A}$$
 R^{2A}
 R^{6A}
 R^{6A}
 R^{4Ab}
 R^{4Ab}
 R^{3Ab}
 R^{3Ab}
 R^{3Ab}

wherein

R^{3Ab} represents alkylthio, and R^{4Ab} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy or group

R^{3Ab}

represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and R^{4Ab} represents alkylthio,

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 R^{1A} , R^{2A} , R^{5A} , R^{6A} and Q^{A} have the same definition as aforementioned, are reacted with an oxdizing agent in the presence of innert solvents,

or,

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c)

in case that R^{3A} represents cyano, hydroxy, azido, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkylthio, alkenylthio, haloalkenylthio, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom; oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or represents the aforementioned group A, group B, group C, group F, group G or group H, and

R^{4A} represents hydrogen a tom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, cyamo or group

$$-N$$
 R^{1A} R^{2A}

5 compounds of the formula (IAc)

10 wherein

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Xc represents halogen, preferably chloro, bromo or iodo, or methylsulfonyl,

R^{4Ac} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkynyl, alkoxy, haloalkoxy, cyano or group

same in the day in which

R^{1A}, R^{2A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are reacted with compounds of the formula (IV)

The description of exercise section in the contraction of the contract

$$Y-R^{3Ac}$$
 (IV)

त्माच्य के तुन्दाक्ष्यों ते आकार्यक कार क्षानुक ने बिक्स विकोश तो है। तो विकास है । ता ता ता ति कार कार कार का

wherein

R^{3Ac} represents cyano, hydroxy, azido, alkynyl, alkoxy, haloalkoxy, alkenyloxy, haloalkenyloxy, alkyl thio, alkenylthio, haloalkenylthio, phenoxy that may

be optionally substituted, benzyloxy that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or represents the aforementioned group A, group B, group C, group F, group G or group H,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder, and if appropriate, in the presence of a catalyst,

or

10 d) in case that R^{3A} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and

R^{4A} represents cyano, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio or group

$$-N$$
 R^{1A}

compounds of the formula (IAd)

$$\begin{array}{c|c}
R^{1A} & R^{2A} \\
Q^{A} & N & N \\
Xd & N & R^{3Ad}
\end{array}$$
(IAd)

Xd represents halogen, preferably chloro, bromo or iodo, or methylsulfonyl,

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R^{3Ad} represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkylthio, alkenylthio, haloalkenylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl,

 R^{1A} , R^{2A} , R^{5A} , R^{6A} and Q^{A} have the same definition as aforementioned,

are reacted with compounds of the formula (V)

$$Y-R^{4Ad}$$
 (V)

wherein

Y represents hydrogen, sodiurn, potassium, copper, trimethylsilyl or tetraalkylammonium,

R^{4Ad} represents cyano, alkynyl, alk-oxy, haloalkoxy, alkylthio, haloalkylthio, or group

$$-N$$
 R^{1A} R^{2A}

in the presence of innert solvents, and if appropriate, in the presence of an acid binder, and if appropriate, in the presence of a catalyst,

or

e) in case that R^{3A} represents hydroger, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkylthio, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, and

R^{4A} represents hydrogen:

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compounds of the formula (IAe)

$$R^{5A}$$
 R^{5A}
 R^{5A}
 R^{5A}
 R^{5A}
 R^{3Ae}
(IAe)

wherein

Xe represents halogen, preferably ch loro, bromo or iodo,

represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkylthio, phenyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl,

R^{1A}, R^{2A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are hydrogenated in the presence of irmert solvents, and if appropriate, in the presence of a catelyst, and if appropriate, in the presence of an acid binder,

or

in case that R^{3A} represents hydrogen, hal ogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfo nyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero at oms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or the aforementioned groups A-H or groups J-M?

R^{4A} represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group.

$$-N(R^{1A})$$

compounds of the formula (IAf)

$$(R^{26A})_q$$
 $(CH_2)_p$
 R^{6A}
 (IAf)

wherein '

 \mathbb{R}^3

represents hydrogen, halogen, cyano, hydroxy, amino, azido, alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, phenoxy that may be optionally substituted, benzyloxy that may be optionally substituted, phenyl that may be optionally substituted, phenylalkyl that may be optionally substituted, phenoxyalkyl that may be optionally substituted, or 5 to 10-membered heterocyclic group that contains one to four hetero atoms selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom and may be optionally substituted by a group selected from the group consisting of halogen, alkyl and haloalkyl, or the aforementioned groups A-H or groups J-M,

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represents hydrogen atom, halogen, cyano, alkyl, haloalkyl, alkynyl, alkoxy, haloalkoxy, alkylthiö, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

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$$-N$$
, R^{1A}

R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

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R^{26A} represents alkyl, p - represents 1 or 2, q represents 0, 1 or 2,

are reacted with diffuorocarbene derived from sodium chlorodifluoroacetate or with dichlorocarbene derived from chloroform, in the presence of innert solvents, and if appropriate, in the presence of a phase -

transfer catalyst,

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or

g) in case that R^{3A} represents amino:

compounds of the formula (IAg)

$$R^{6A}$$
 R^{4A}
 N
 N
 N
 N
 N
 N
 N

wherein

R^{1A}, R^{2A}, R^{4A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are hydrogenated or reacted with metal hydride in the presence of innert solvents, and if appropriate, in the presence of a catalyst,

or

h) in case that R^{3A} represents halogen:

First step:

compounds of the formula (IAh)

wherein

R^{1A}, R^{2A}, R^{4A}, R^{5A}, R^{6A} and Q^A have the same definition as afore mentioned,

are reacted with nitrite ester or nitrous acid in the presence of impert solvents, and if appropriate, in the presence of acid catalyst to form a diazonium salt,

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Second step:

The diazonium salts obtained in the above-mentioned first step is reacted according to Sandmeyer process or Gattermann process in the presence of copper halide, potassium halide or copper powder,

in the presence innert sollvents, and if appropriate, in the presence of acid catalyst,

or

i) in case that R^{3A} represents the aforementioned group E:

First step:

compounds of the aforementioned formula (IAh) are reacted with dimethylformamide dimethylacetal in the presence of innert solvents,

Second step:

compounds of the formula (VI), obtained in the above-mentioned first step,

wherein

R^{1A}, R^{2A}, R^{4A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned, are reacted with compounds of the formula (VII)

$$H_2N^O$$
R^{13A} (VII)

wherein

 $R_{\rm fr}^{\rm 13A}$ has the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder, and if appropriate, in the presence of an acid catalyst,

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j) in case that R^{3A} represents the aforementioned group D:

compounds of the formula (IAh) are reacted with compounds of the formula (VIII)

wherein

R^{26A} represents chloro or group

wherein

R^{12A} has the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of an acid binder,

or

k) In case that R^{3A} represents the aforementioned group K, and

R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

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$$-N(R^{1A})$$

compounds of the formula (IAk)

R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulficonyl, or group

$$-N$$
 R^{1A} R^{2A}

and

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R^{1A}, R^{2A}, R^{5A}, R^{6A} and Q^A have the same definition as aforementioned,

are reacted with compounds of the formula (IX)

$$R^{20A}$$
-Mg-Xk (IX)

wherein-

Xk represents halogen, preferably chloro, bromo or iodo,

R^{20A} has the same definition as aforementioned,

in the presence of innert solvents,

or

l) In case that R^{3A} represents the aforementioned group L or group M, and

15 R^{4A} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

$$-N$$
 R^{1A}

compounds of the formula (IAI)

wherein

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R^{27A} represents alkyl,

R^{4Al} represents hydrogen atom, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, alkylsulfonyl, or group

$$-N$$
 R^{1A} R^{2A}

) an

and R^{1A} , R^{2A} , R^{5A} , R^{6A} and Q^{A} have the same definition as aforementioned,

are reacted with compounds of the formula (X)

$$H_2N-R^{28A}$$
 (X)

wherein

condition to land through the first

15. R^{28A} represents group

-O-R^{22A}

or group

wherein

R^{22A}, R^{24A}, and R^{25A} have the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of acid binder, and if appropriate, in the presence of acid catalyst,

or

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$$-N(R^{1A})$$

m) in case that R^{3A} represents the aforementioned group J, and compounds of the formula (IAk) are reacted with compounds of the formula (XI)

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R^{19A} has the same definition as aforementioned,

in the presence of innert solvents, and if appropriate, in the presence of acidbinder, and if appropriate, in the presence of acid catalyst.

Production is all to differ the product in a provide

- Process for combating undesired microorganisms, characterized in that benzylpyrimidine derivatives of the formula (I) according to claim 1 are applied to the microorganisms and / or their habitat.
 - 7) An agrohorticultural fungicide comprising a benzylpyrimidine derivative of the formula (I) according to claim 1, and -optionally- extenders and/or carriers and/or surfactants and/or further formulation antiliaries.
 - 8) Process for the preparation of microbicidal compositions, characterized in that benzylpyrimidine derivatives of the formula (I) according to claim 1 are mixed with extends and / or surface active agents.

In onal Application No PCT/EP2005/001383

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